

SEARCH REQUEST FORM

**Requestor's
Name:**

David Lukton

Serial
Number:

09/086, 327

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308-3213

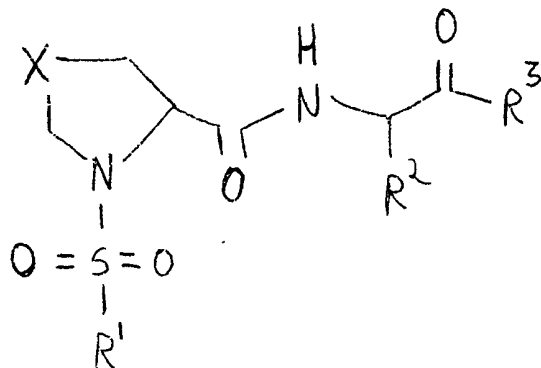
Art Unit:

1654

Rm 9B05

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



$X = -CH_2-$, $-O-$, or

$$= \text{---N---}, \text{---S---}$$
$$R^1, R^2, R^3 = \text{anything}$$
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Terminal time:

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CPU time:

Total time:

Number of Searches:

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STIC

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Type of Search

N.A. Sequence

A.A. Sequence

Structure

Bibliographic

Vendors

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FILE COVERS 1967 - 10 Mar 1999 VOL 130 ISS 11
FILE LAST UPDATED: 10 Mar 1999 (19990310/ED)

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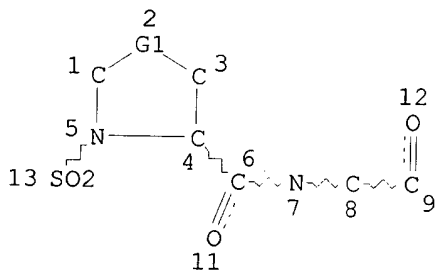
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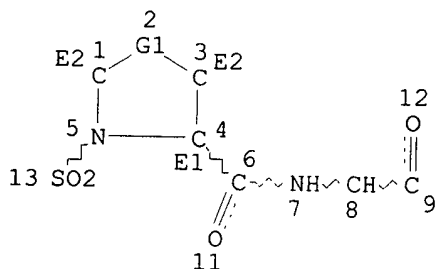
L3 STR



VAR G1=C/O/N/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L10 880 SEA FILE=REGISTRY SSS FUL L3
L11 STR



VAR G1=CH2/O/NH/S

NODE ATTRIBUTES:

HCOUNT IS E2 AT 1
 HCOUNT IS E2 AT 3
 HCOUNT IS E1 AT 4
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L12 629 SEA FILE=REGISTRY SUB=L10 SSS FUL L11
 L13 85 SEA FILE=HCAPLUS ABB=ON PLU=ON L12

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=> d bib abs hitrn 113 1-85

L13 ANSWER 1 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1999:113712 HCAPLUS

TI Preparation of N-sulfonylproline dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4

IN Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Baudy, Reinhardt Bernhard; Sarantakis, Dimitrios

PA Athena Neurosciences, Inc., USA; American Home Products Corporation

SO PCT Int. Appl., 294 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9906437 | A1 | 19990211 | WO 98-US16070 | 19980731 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |

PRAI US 97-904423 19970731

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = CH2X1; X1 = H, OH, acylamino, (un)substituted alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, CO2H, carboxyalkyl, carboxyaryl, carboxyheteroaryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyl, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z'; R11 = alkyl; Z' = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the

treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-OH (Ts = tosyl) with H-Tyr-OMe gave 75% of the corresponding ester, which underwent sapon. in quant. yield to give desired dipeptide Ts-Pro-Tyr-OH. All prepd. compds. have IC50 .1toeq. 15 .mu.M in a VLA-4 binding assay.

IT INDEXING IN PROGRESS

IT 220149-83-7P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220149-81-5

RL: RCT (Reactant)

(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220176-17-0P 220176-20-5P 220176-95-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 2 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1999:113711 HCAPLUS

TI Preparation of N-sulfonylprolylphenylalanine derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4

IN Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Lombardo, Louis John; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.

PA Athena Neurosciences, Inc., USA; American Home Products Corporation

SO PCT Int. Appl., 172 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 9906436 | A1 | 19990211 | WO 98-US15327 | 19980731 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRAI | US 97-903585 | | 19970731 | | |

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2NCHR3 form satd. heterocyclic group with the proviso that when monosubstituted, the substituent on the satd. heterocyclic group is not CO2H; R5 = (CH2)n-aryl, (CH2)n-heteroaryl; n = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyl, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with the proviso that when R1 = 2,4,6-Me3C6H2, R2NCHR3 = pyrrolidinyl ring and Q = C(O)NH, then R5 .noteq. benzyl; with the further proviso that when R1 = 4-MeC6H4, R2NCHR3 = pyrrolidinyl derived from

D-proline, and Q = C(O)NH, then R5 .noteq. benzyl derived from D-phenylalanine] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated coupling of Boc-L-Pro-OH with L-phenylalanine benzyl ester hydrochloride in the presence of N-methylmorpholine, followed by acidic deprotection, sulfonylation with MeSO₂Cl, and catalytic deprotection to give desired dipeptide MeSO₂-L-Pro-L-Phe-OH.

IT 220187-04-2P 220187-13-3P 220187-27-9P
220187-37-1P 220187-39-3P 220187-43-9P
220187-45-1P 220187-47-3P 220187-48-4P
220187-66-6P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 217450-71-0P 220186-85-6P 220186-87-8P
220186-88-9P 220186-91-4P 220186-95-8P
220186-96-9P 220186-97-0P 220186-98-1P
220186-99-2P 220187-00-8P 220187-05-3P
220187-06-4P 220187-07-5P 220187-08-6P
220187-10-0P 220187-11-1P 220187-12-2P
220187-14-4P 220187-15-5P 220187-16-6P
220187-17-7P 220187-18-8P 220187-19-9P
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220187-28-0P 220187-29-1P 220187-30-4P
220187-31-5P 220187-35-9P 220187-38-2P
220187-40-6P 220187-41-7P 220187-42-8P
220187-44-0P 220187-46-2P 220187-49-5P
220187-50-8P 220187-51-9P 220187-57-5P
220187-58-6P 220187-59-7P 220187-60-0P
220187-61-1P 220187-64-4P 220187-65-5P
220187-67-7P 220187-69-9P 220187-72-4P
220187-74-6P 220187-77-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220149-81-5

RL: RCT (Reactant)

(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220187-79-1P 220187-83-7P 220187-84-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 3 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1999:113710 HCAPLUS

TI Preparation of N-sulfonyl dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4

IN Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Baudy, Reinhardt Bernhard

PA Athena Neurosciences, Inc., USA; American Home Products Corporation

SO PCT Int. Appl., 151 pp.

CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|--|----------|-----------------|----------|
| PI | WO 9906435 | A1 | 19990211 | WO 98-US15314 | 19980730 |
| | W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |

PRAI US 97-904415 19970731

AB Disclosed are title compds. R1SO2NR2CR3R4QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1, (un)substituted cycloalkenyl; R1R2 may form heterocyclic ring; R3 = any group R1; R2R3 may form heterocyclic ring; R4 = any group R1; R3R4 may form cycloalkyl, (un)substituted heterocyclic ring; R5 = CHMe2, CH2X, :CHX1; X1 = H, OH, acylamino, optionally substituted alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, carboxy, carboxyalkyl, etc.; Q = C(X)NR7, X = O, S, R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyl, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, sulfonylation of cycloleucine (1-aminocyclopentanecarboxylic acid) with tosyl chloride, followed by peptide coupling with L-phenylalanine Me ester and sapon. gave desired title compd. 4-MeC6H4SO2-cycloleucyl-L-phenylalanine.

IT 220149-81-5

RL: RCT (Reactant)

(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 4 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1999:113709 HCAPLUS

TI Preparation of N-sulfonylated aminophenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4

IN Ashwell, Susan; Grant, Francine S.; Konradi, Andrei W.; Kreft, Anthony; Lombardo, Louis John; Pleiss, Michael A.; Sarantakis, Dimitrios; Semko, Christopher M.; Thorsett, Eugene D.

PA Athena Neurosciences, Inc., USA; American Home Products Corporation

SO PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DT Patent

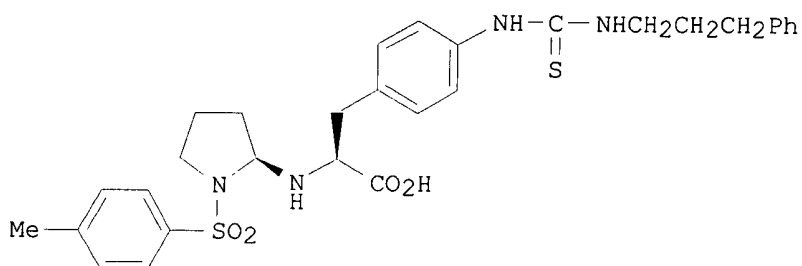
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|---|----------|-----------------|----------|
| PI | WO 9906434 | A1 | 19990211 | WO 98-US15312 | 19980730 |
| | W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, | | | |

KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
 NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
 UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 97-920353 19970731
 GI



I

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = NR12C(Z)NR8R8', NR12C(Z)R13; R12 = H, alkyl, aryl; R8, R8' = independently H, any group R1; R8R8' may form heterocyclic ring; R13 = satd. heterocycle; Z = O, S, NR13; x = 1-4; , (CH2)n-heteroaryl; n = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyl, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with 3-phenylpropyl isothiocyanate gave the corresponding urea I.

IT 220148-91-4P 220148-95-8P 220148-98-1P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220148-88-9P 220148-89-0P 220148-90-3P
 220148-92-5P 220148-93-6P 220148-94-7P
 220148-96-9P 220148-97-0P 220148-99-2P
 220149-00-8P 220149-10-0P 220149-13-3P
 220149-14-4P 220149-15-5P 220149-16-6P
 220149-17-7P 220149-18-8P 220149-19-9P
 220149-20-2P 220149-21-3P 220149-22-4P
 220149-23-5P 220149-24-6P 220149-25-7P
 220149-26-8P 220149-27-9P 220149-28-0P

220149-29-1P 220149-30-4P 220149-31-5P
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 220149-78-0P 220149-79-1P 220149-80-4P
 220150-60-7P 220150-61-8P 220202-29-9P
 220202-30-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220149-81-5 220149-83-7 220149-86-0

RL: RCT (Reactant)

(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 5 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1999:113708 HCAPLUS
 TI Preparation of N-sulfonyl phenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
 IN Dappen, Michael S.; Dressen, Darren B.; Grant, Francine S.; Pleiss, Michael A.; Robinson, Cynthia Y.; Sarantakis, Dimitrios; Thorsett, Eugene D.
 PA Athena Neurosciences, Inc., USA; American Home Products Corporation
 SO PCT Int. Appl., 190 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9906433 | A1 | 19990211 | WO 98-US15952 | 19980731 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRAI US 97-904416 | | 19970731 | | |
| AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted unsatd. heterocyclic ring; R5 = CH2X1; X1 = H, OH, optionally substituted acylamino, alkyl, aryloxy, aryl, aryloxyaryl, CO2H, carboxyalkyl, carboxyheteroaryl, etc.; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyl, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of | | | | |

these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, reaction of Ts-Gly-OH (Ts = tosyl) with oxalyl chloride in CH₂Cl₂, followed by peptide coupling with L-phenylalanine benzyl ester tosylate and catalytic hydrogenolysis, gave desired title compd. Ts-Gly-Phe-OH. All prepd. compds. have IC₅₀ .1 to req. 15 .mu.M in a VLA-4 binding assay.

IT 220176-98-7

RL: RCT (Reactant)

(prepn. of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 6 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1999:113707 HCAPLUS

TI Preparation of N-sulfonyl dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4

IN Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Lombardo, Louis John; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.

PA Athena Neurosciences, Inc., USA; American Home Products Corporation

SO PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| PI WO 9906432 | A1 | 19990211 | WO 98-US15325 | 19980731 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |

PRAI US 97-904417 19970731

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = Alk-X1, :CHY; Alk = alkyl chain of 1-10 carbon atoms; X1 = halo, CN, NO2, optionally substituted sulfonyl, sulfonyloxy, amino, alkyl, aryloxy, aryl, aryloxyaryl, carboxyalkyl, carboxyheteroaryl, etc.; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-OH (Ts = tosyl) with H-Asp(OCMe3)-OMe.HCl, followed by

.alpha.-ester sapon., gave gave desired title compd. Ts-Pro-Asp(OCMe3)-OH.
All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

IT 220176-17-0P 220176-18-1P 220176-19-2P
220176-20-5P 220176-21-6P 220176-22-7P
220176-23-8P 220176-24-9P 220176-28-3P
220176-33-0P 220176-34-1P 220176-38-5P
220176-40-9P 220176-41-0P 220176-42-1P
220176-43-2P 220176-44-3P 220176-45-4P
220176-46-5P 220176-47-6P 220176-48-7P
220176-49-8P 220176-50-1P 220176-51-2P
220176-52-3P 220176-72-7P 220176-73-8P
220176-74-9P 220176-75-0P 220176-76-1P
220176-77-2P 220176-78-3P 220176-79-4P
220176-80-7P 220176-81-8P 220176-82-9P
220176-83-0P 220176-84-1P 220176-85-2P
220176-86-3P 220176-87-4P 220176-88-5P
220176-89-6P 220176-90-9P 220176-91-0P
220176-92-1P 220176-94-3P 220176-95-4P
220176-96-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220176-98-7

RL: RCT (Reactant)

(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT 220177-04-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 7 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1999:12304 HCAPLUS

DN 130:66800

TI Preparation of D-amino acid derivatives as cysteine and serine protease inhibitors

IN Chatterjee, Sankar

PA Cephalon, Inc., USA

SO U.S., 43 pp., Cont.-in-part of U.S. Ser. No. 755,839, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------|------|----------|-----------------|----------|
| US 5852007 | A | 19981222 | US 97-795546 | 19970206 |
| PRAI US 96-755839 | | 19961126 | | |

OS MARPAT 130:66800

AB The compds. QC*(NR2R3)(R4)CONHC(R1)(R5)C(W1)(W2)Y [C* = carbon atom having a D-configuration; Q = GB(CHR20)q; R20 = H, alkyl; q = 0 -2; B = CO, etc.; G = aryl, etc.; R1 = H, alkyl, etc.; R2 = COR6, etc.; R6 = aryl, etc.; R3 = H, alkyl, etc.; further details on R2, R3, Q are given; R4, R5 = H, alkyl; W1 and W2 are selected such that W1 is H and W2 is O(CO)NHR26 where R26 is alkyl, or W1 and W2 are both alkoxy, or W1 is OH and W2 is selected from aralkyl, aralkyloxy, etc.; further details on W1 and W2 are given; Y = H, CH:N2, etc.; further details on Y and R1 are given] are prepd. Compds. of this invention in vitro showed IC50 values of 3 - 1000 nM against calpain I.

IT 192722-72-8P 192722-73-9P 192722-74-0P
192722-90-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of D-amino acid derivs. as cysteine and serine protease

inhibitors)

L13 ANSWER 8 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1998:799995 HCAPLUS
 DN 130:52736
 TI Preparation of biarylalkanoic acids as cell adhesion inhibitors
 IN Durette, Philippe L.; Hagmann, William K.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

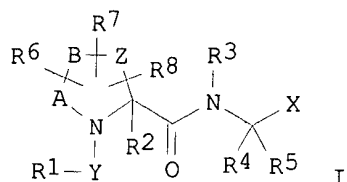
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|--|--------------|-----------------|----------|
| PI | WO 9853817 | A1 | 19981203 | WO 98-US10951 | 19980529 |
| | W: | AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| PRAI | US 97-47856 | | 19970529 | | |
| | GB 97-14316 | | 19970707 | | |
| | US 97-66831 | | 19971125 | | |
| | GB 98-680 | | 19980114 | | |
| OS | MARPAT 130:52736 | | | | |
| AB | Compds. R1YNR2CR3R4CONR5CR6R7X [R1 = (un)substituted alkyl, alkenyl, alkynyl, a cyclic group Cy, Cy-alkyl, Cy-alkenyl, Cy-alkynyl; R2, R3 independently are H or R1; or R2 and R3 together form a ring; R4, R5 independently are H, (un)substituted alkyl, alkenyl, alkynyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl; or R3 and R4 together form a ring; R5 = H or (un)substituted alkyl or Cy; R6 = diarylalkyl, -alkenyl, or -alkynyl; X = CO2H, PO3H2, PH(O)OH, SO2H, SO3H or ester derivs., carbamoyl group, or 5-tetrazolyl; Y = CO, OCO, NHCO or iminocarbonyl group, SO2, P(O)(ORi) (Ri =alkyl, alkenyl, alkynyl, aryl), COCO] were prepd. as cell adhesion inhibitors. Pharmaceutical compns. are described. Thus, N-(3,5-dichlorobenzenesulfonyl)-L-prolyl-L-4-(4-fluorophenyl)phenylalanine was prepd. by coupling of N-(3,5-dichlorobenzenesulfonyl)-L-proline with 4-iodo-L-phenylalanine and reaction with 4-fluorobenzenboronic acid. | | | | |
| IT | 217326-87-9 | | | | |
| | RL: RCT (Reactant) (prepn. of peptidyl biarylalkanoic acids as cell adhesion inhibitors) | | | | |
| IT | 217326-49-3P | 217326-50-6P | 217326-58-4P | | |
| | 217326-60-8P | 217326-62-0P | 217326-73-3P | | |
| | 217326-74-4P | 217326-75-5P | 217326-76-6P | | |
| | 217326-77-7P | 217326-78-8P | 217326-83-5P | | |
| | 217326-86-8P | 217326-88-0P | 217326-95-9P | | |
| | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of peptidyl biarylalkanoic acids as cell adhesion inhibitors) | | | | |
| IT | 217325-08-1P | 217325-09-2P | 217325-10-5P | | |
| | 217325-11-6P | 217325-12-7P | 217325-13-8P | | |
| | 217325-14-9P | 217325-15-0P | 217325-16-1P | | |
| | 217325-17-2P | 217325-18-3P | 217325-19-4P | | |
| | 217325-20-7P | 217325-21-8P | 217325-22-9P | | |
| | 217325-23-0P | 217325-24-1P | 217325-25-2P | | |
| | 217325-26-3P | 217325-27-4P | 217325-29-6P | | |
| | 217325-31-0P | 217325-54-7P | 217325-55-8P | | |
| | 217325-56-9P | 217325-58-1P | 217325-59-2P | | |
| | 217325-60-5P | 217325-61-6P | 217325-62-7P | | |
| | 217325-63-8P | 217325-64-9P | 217325-65-0P | | |
| | 217325-66-1P | 217325-67-2P | 217325-68-3P | | |
| | 217325-69-4P | 217325-94-5P | 217325-95-6P | | |

217325-96-7P 217325-97-8P 217325-98-9P
 217326-03-9P 217326-04-0P 217326-05-1P
 217326-06-2P 217326-08-4P 217326-09-5P
 217326-12-0P 217326-13-1P 217326-14-2P
 217326-15-3P 217326-16-4P 217326-17-5P
 217326-29-9P 217326-36-8P 217326-38-0P
 217326-42-6P 217326-43-7P 217326-44-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of peptidyl biarylalkanoic acids as cell adhesion inhibitors)

L13 ANSWER 9 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1998:799992 HCAPLUS
 DN 130:52724
 TI Preparation of heterocyclic dipeptide derivatives as cell adhesion inhibitors
 IN Durette, Philippe L.; Hagmann, William K.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.; Van Riper, Gail M.; Schmidt, Jack A.; Kevin, Nancy J.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 129 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 9853814 | A1 | 19981203 | WO 98-US10940 | 19980529 |
| | W: CA, JP, US | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| PRAI | US 97-48017 | | 19970529 | | |
| | GB 97-14314 | | 19970707 | | |
| | US 97-66525 | | 19971125 | | |
| | GB 98-686 | | 19980114 | | |
| OS | MARPAT 130:52724 | | | | |
| GI | | | | | |



AB Title compds. I [R1 = (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, Cy, Cy-C1-10 alkyl, Cy-C2-10 alkenyl, Cy-C2-10 alkynyl; R2, R5 = independently (un)substituted H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, aryl, aryl-C1-10 alkyl, heteroaryl, heteroaryl-C1-10 alkyl; R3 = H, (un)substituted C1-10 alkyl, Cy, Cy-C1-10 alkyl; R4 = H, any group R1; R3R4 form mono- or bicyclic ring contg. 0-2 heteroatoms N, O, S; R4R5 form 3-7 membered mono- or bicyclic ring contg. 0-2 heteroatoms N, O, S; R10, R11 = independently = any group R3, (un)substituted C2-10 alkenyl, C2-10 alkynyl; R10R11 may form 5-7 membered heterocyclic ring contg. 0-2 addnl. heteroatoms N, O, S; R6-R8 = independently any group R10, OR10, NO2, halo, S(O)mR10, SR10, SO3R10, NR10R11, COR10, CO2R10, O2R10, CN, CONR10R11, CF3, oxo, NR10S(O)mR11, etc.; two of R6-R8 may form 5-7 membered (un)satd. monocyclic ring contg. 0-3 heteroatoms N, O, S; Cy = cycloalkyl, heterocyclyl, aryl, heteroaryl; A, Z = independently C, C-C; B = bond, C, C-C, N, O, S, S(O)m; X = CO2R10, P(O)(OR10)(OR11), P(O)(R10)(OR11),

S(O)mOR10, CONR10R11, 5-tetrazolyl; Y = CO, O2C, NR11CO, SO2, P(O)(OR4), COCO; m = 1-2] = are antagonists of VLA-4 and/or .alpha.4.beta.7, and are useful for inhibition or prevention of cell adhesion and cell adhesion mediated pathologies. These compds. may be formulated into pharmaceutical compns. and are suitable for use in the treatment of asthma, allergies, inflammation, multiple sclerosis, and other inflammatory and autoimmune disorders. Thus, coupling of L-2-naphthylalanine tert-Bu ester (H-Nal-OtBu) (prepn. given) with Cbz-Pro-OH (Cbz = PhCH2O2C), followed by catalytic deprotection, sulfonylation with 3,5-Cl2C6H3SO2Cl, and acidic deesterification gave desired N-sulfonyldipeptide Cl2C6H3SO2-Nal-Pro-OH. Procedures for inhibition of VLA-4 dependent adhesion to a CS-1 conjugate and VCAM-IG fusion protein are given.

IT 217450-69-6P 217450-70-9P 217450-71-0P
 217450-72-1P 217450-73-2P 217450-75-4P
 217450-76-5P 217450-77-6P 217450-78-7P
 217450-79-8P 217450-80-1P 217450-81-2P
 217450-83-4P 217450-85-6P 217450-87-8P
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 217452-41-0P 217452-42-1P 217452-44-3P
 217452-46-5P 217452-47-6P 217452-48-7P
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 217452-56-7P 217452-61-4P 217452-62-5P
 217452-64-7P 217452-65-8P 217452-66-9P
 217452-71-6P 217452-96-5P 217452-97-6P
 217452-99-8P 217453-00-4P 217453-01-5P
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 217453-27-5P 217453-28-6P 217453-32-2P
 217453-37-7P 217453-38-8P 217453-39-9P
 217453-41-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic dipeptide derivs. as cell adhesion inhibitors)

IT 217326-62-0

RL: RCT (Reactant)

(prepn. of heterocyclic dipeptide derivs. as cell adhesion inhibitors)

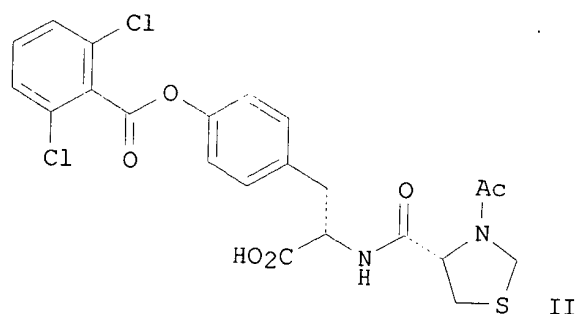
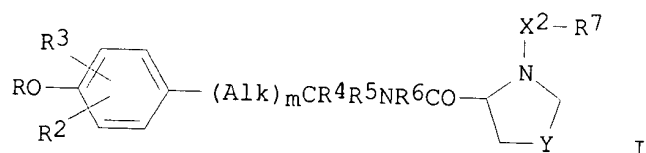
IT 217326-49-3P 217326-58-4P 217453-50-4P

217453-54-8P 217453-55-9P 217453-57-1P
 217453-58-2P 217453-59-3P 217453-65-1P
 217453-66-2P 217453-67-3P 217453-68-4P
 217453-69-5P 217453-70-8P 217453-75-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of heterocyclic dipeptide derivs. as cell adhesion inhibitors)

L13 ANSWER 10 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1998:795039 HCAPLUS
 DN 130:52733
 TI Preparation of tyrosine derivatives as antiinflammatory agents
 IN Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John
 PA Celltech Therapeutics Limited, UK
 SO PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------|--|----------|-----------------|----------|
| PI WO 9854207 | A1 | 19981203 | WO 98-GB1580 | 19980529 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| PRAI GB 97-11143 | | 19970530 | | |
| GB 97-22674 | | 19971027 | | |
| OS MARPAT 130:52733 | | | | |
| GI | | | | |



AB Tyrosine derivs. I [R = R1X1, (Hall)3CSO2; R1 = optionally substituted alkyl or arom. group; R2, R3 = independently H, halo, alkyl, alkoxy, OH, NO2; R4 = H, Me; R5 = (CH2)pCO2R8; R6 = H, alkyl; R7 = optionally substituted alkyl group, aryl, aralkyl; R8 = H, alkyl; Alk = alkylene chain; Hall = F, Cl; X1 = bond, (CH2)n, CO, CH2CO, NHCO, CH2NHCO, SO2; X2 = CO, CO2, CONH, SO2; Y = S, S(O)q; m = 0, 1; n = 1, 2; p = 0, 1; q = 1, 2] and the salts, solvates and hydrates thereof, are described. The compds. are able to inhibit the binding of α_4 integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders. Thus, coupling of N-acetyl-D-thiopropine with

L-tyrosine tert-Bu ester, followed by O-acylation with 2,6-dichlorobenzoyl chloride and acidic deesterification, gave desired tyrosine deriv. II. II and related thiopropyltyrosine derivs. were tested for inhibition of .alpha.4 integrin-dependent cell adhesion, and generally have IC50 values of .ltoreq.1 .mu.M in .alpha.4.beta.1 and .alpha.4.beta.7 assays, and IC50 values of .gtoreq. 50 .mu.M in assays of other integrins.

IT 217479-41-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tyrosine derivs. as antiinflammatory agents)

L13 ANSWER 11 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1998:709734 HCAPLUS

DN 130:81806

TI P2-proline-derived inhibitors of calpain I

AU Tripathy, Rabindranath; Gu, Zi-Qiang; Dunn, Derek; Senadhi, Shobha E.; Ato, Mark A.; Chatterjee, Sankar

CS Department of Chemistry, Cephalon, Inc., West Chester, PA, 19380-4245, USA

SO Bioorg. Med. Chem. Lett. (1998), 8(19), 2647-2652

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB The syntheses and biol. activities of a series of calpain I inhibitors, derived from D- and L-Pro, are described.

IT 192722-72-8 192722-90-0 218602-51-8

218602-52-9

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(synthesis and biol. activity of proline-derived inhibitors of calpain I)

L13 ANSWER 12 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1998:568589 HCAPLUS

DN 129:175653

TI Preparation of benzenesulfonamides as elastase inhibitors

IN Nakae, Takahiko; Kato, Masashi; Fujita, Takehito; Kawabata, Kazuhito; Ohno, Hiroyuki

PA Ono Pharmaceutical Co., Ltd., Japan

SO U.S., 150 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

PATENT NO.

KIND DATE

APPLICATION NO. DATE

PI US 5795890

A 19980818

US 96-718722 19960924

JP 10251218

A2 19980922

JP 98-111630 19960924

PRAI JP 95-272568

19950927

JP 96-45663

19960208

JP 95-272058

19950927

JP 96-271341

19960924

OS MARPAT 129:175653

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = C1-8 alkyl, C1-8 alkoxy, OH, etc.; n = 0-5; D = carbocyclic ring; R2, R3 = H, C1-4 alkyl, C1-4 alkoxy, etc.; R2R3 = C1-4 alkylidene; CR2R3 = C3-7 cycloalkyl; R4 = C1-4 alkyl, C1-4 alkoxy; two of R4, attached to the benzene nucleus at ortho positions relative to each other, represent C3-5 alkylene; m = 0-4; R5, R6 = H, OH, C1-8 alkyl, etc.;

NR5R6 = heterocyclyl] and their salts, which have an inhibitory effect on elastase and therefore are useful in the prevention and/or the treatment of emphysema, rheumatoid arthritis, atherosclerosis, adult respiratory distress syndrome (ARDS), glomerular nephritis, myocardial infarction, idiopathic ulcerative colitis, and gingivitis, were prepd. and formulated. Thus, treatment of the ester II (prepn. described) with CF₃CO₂H in CH₂Cl₂/MeOPh afforded the title compd. III.HCl which showed IC₅₀ of 0.055 .mu.M against human polymorphonuclear elastase.

IT 190252-08-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzenesulfonamides as elastase inhibitors)

L13 ANSWER 13 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1998:237219 HCAPLUS

DN 129:24858

TI Gp180, a protein that binds duck hepatitis B virus particles, has metallocarboxypeptidase D-like enzymic activity

AU Eng, Francis J.; Novikova, Elena G.; Kuroki, Kazuyuki; Ganem, Don; Fricker, Lloyd D.

CS Department Molecular Pharmacology, Albert Einstein College Medicine, Bronx, NY, 10461, USA

SO J. Biol. Chem. (1998), 273(14), 8382-8388

CODEN: JBCHA3; ISSN: 0021-9258

PB American Society for Biochemistry and Molecular Biology

DT Journal

LA English

AB Duck gp180 was previously identified by its ability to bind to the preS envelope protein of duck hepatitis B virus particles. Cloning and sequencing of gp180 cDNA revealed that it is a polyprotein with three carboxypeptidase-like domains. To evaluate enzymic properties of this protein, a sol. 170-kDa form of the protein (gp170) lacking the C-terminal transmembrane domain and cytoplasmic tail was expressed in a baculovirus system. The purified 170-kDa protein cleaved 5-dimethylaminonaphthalene-1-sulfonyl (dansyl)-Phe-Ala-Arg with a pH optimum of 5.5-6.5. With this substrate at pH 5.5, the 170-kDa protein displayed a Km of 12 .mu.M and a Kcat of 57 s⁻¹. Dansyl-Pro-Ala-Arg and dansyl-Phe-Phe-Arg were cleaved with Km values of 17 and 21 .mu.M, and Kcat values of 57 and 17 s⁻¹, resp. Constructs contg. only the first or second carboxypeptidase domains also showed enzymic activity. The effects of inhibitors and ions on enzyme activity of gp170 were generally similar to the effects of these compds. on purified bovine carboxypeptidase D. To evaluate the regions within gp180 necessary for binding preS, a series of deletion mutants were expressed in the 293T human kidney cell line. Deletions of the first and second domains, leaving the third domain intact, eliminated carboxypeptidase activity but retained preS binding. Deletion of the third domain eliminated preS binding but not carboxypeptidase activity. These results indicate that the third domain is responsible for preS binding, and this binding does not require carboxypeptidase activity.

IT 87687-43-2

RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(substrate; gp180, a protein that binds duck hepatitis B virus particles, has metallocarboxypeptidase D-like enzymic activity)

L13 ANSWER 14 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1998:79057 HCAPLUS

DN 128:241112

TI Membrane type-1 matrix metalloprotease and stromelysin-3 cleave more efficiently synthetic substrates containing unusual amino acids in their P1' positions

AU Mucha, Artur; Cuniassé, Philippe; Kannan, Rama; Beau, Fabrice; Yiotakis, Athanasios; Basset, Paul; Dive, Vincent

CS CEA, Departement d'Ingenierie et d'Etudes des Proteines, CE-Saclay, Gif/Yvette, 91191, Fr.

SO J. Biol. Chem. (1998), 273(5), 2763-2768

CODEN: JBCHA3; ISSN: 0021-9258

PB American Society for Biochemistry and Molecular Biology

DT Journal

LA English

AB The influence of the substrate P1' position on the specificity of two zinc matrix metalloproteases, membrane type-1 matrix metalloprotease (MT1-MMP) and stromelysin-3 (ST3), was evaluated by synthesizing a series of fluorogenic substrates of general formula dansyl-Pro-Leu-Ala-Xaa-Trp-Ala-Arg-NH₂, where Xaa in the P1' position represents unusual amino acids contg. either long arylalkyl or alkyl side chains. Our data demonstrate that both MT1-MMP and ST3 cleave substrates contg. in their P1' position unusual amino acids with extremely long side chains more efficiently than the corresponding substrates with natural phenylalanine or leucine amino acids. In this series of substrates, the replacement of leucine by S-para-methoxybenzyl cysteine increased the kcat/Km ratio by a factor of 37 for MT1-MMP and 9 for ST3. The substrate with a S-para-methoxybenzyl cysteine residue in the P1' position displayed a kcat/Km value of 1.59 10⁶ M⁻¹ S⁻¹ and 1.67 10⁴ M⁻¹ S⁻¹, when assayed with MT1-MMP and ST3, resp. This substrate is thus one of the most rapidly hydrolyzed substrates so far reported for matrixins, and is the first synthetic peptide efficiently cleaved by ST3. These unexpected results for these two matrixins suggest that extracellular proteins may be cleaved by matrixins at sites contg. amino acids with unusual long side chains, like those generated in vivo by some post-translational modifications.

IT 204981-55-5 204981-56-6 204981-57-7

204981-58-8 204981-59-9 204981-60-2

204981-61-3 204981-62-4 204981-63-5

204981-64-6 204981-65-7

RL: BPR (Biological process); PRP (Properties); BIOL (Biological study); PROC (Process)

(membrane type-1 matrix metalloprotease and stromelysin-3 cleave more efficiently synthetic substrates contg. unusual amino acids in P1' positions)

L13 ANSWER 15 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1998:65811 HCAPLUS

DN 128:136515

TI Bone resorption inhibitors

IN Aibe, Kazuhiko; Takebayashi, Yukihiro; Ishii, Yasutaka; Noshiro, Osamu; Noda, Ichio; Igarashi, Susumu

PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Aibe, Kazuhiko; Takebayashi, Yukihiro; Ishii, Yasutaka; Noshiro, Osamu; Noda, Ichio; Igarashi, Susumu

SO PCT Int. Appl., 105 pp.

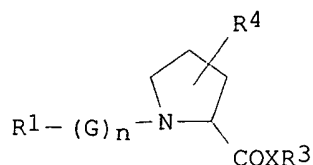
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 9801133 | A1 | 19980115 | WO 97-JP2357 | 19970708 |
| | W: | | | | |
| | AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9733596 | A1 | 19980202 | AU 97-33596 | 19970708 |
| PRAI | JP 96-177955 | | 19960708 | | |
| | WO 97-JP2357 | | 19970708 | | |
| OS | MARPAT 128:136515 | | | | |
| GI | | | | | |



I

AB Drugs, in particular, bone resorption inhibitors contg. as the active ingredient compds. having selective cathepsin K inhibitory effects, among all, proline derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof, wherein each symbol has the meaning as specified below: X: a moiety (except for the C-terminal carbonyl group) of an amino acid residue with its side chain optionally protected; R1: an amino-protective group; G: a glycine residue; n: 0 or 1; R3: a group inhibiting the activity of the SH group of cysteine protease; and R4: hydrogen, hydroxy or Ph.

IT 202281-13-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bone resorption inhibitors)

IT 202282-11-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(bone resorption inhibitors)

L13 ANSWER 16 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1997:511712 HCAPLUS

DN 127:121991

TI Preparation of D-amino acid derivatives as cysteine and serine protease inhibitors

IN Chatterjee, Sankar

PA Cephalon, Inc., USA

SO PCT Int. Appl., 124 pp.

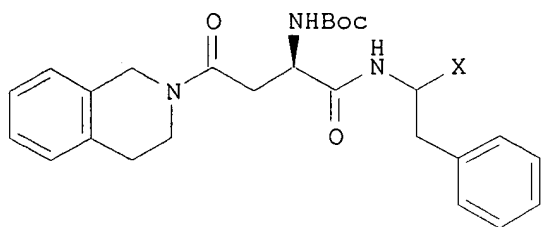
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | WO 9721690 | A1 | 19970619 | WO 96-US18992 | 19961127 |
| | W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| | CA 2238175 | AA | 19970619 | CA 96-2238175 | 19961127 |
| | AU 9710253 | A1 | 19970703 | AU 97-10253 | 19961127 |
| PRAI | US 95-7651 | | 19951128 | | |
| | US 96-755839 | | 19961126 | | |
| | WO 96-US18992 | | 19961127 | | |
| OS | MARPAT 127:121991 | | | | |
| GI | | | | | |



II

AB The title compds. $R_3R_2NC^*(Q)R_4CONHCR_5R_1CW_1W_2Y$ [I; $C^* = D$ -configuration C; $Q = GB(CHR_{20})q$; $R_{20} = H$, C1-4 alkyl; $q = 0-2$; $B = CO, SO, SO_2, S, CH_2, NH, O$, a bond; $G = aryl, heteroaryl, aralkyl, etc.$; $R_1 = H, alkyl, aralkyl, etc.$; $R_2 = COR_6, SO_2R_6, etc.$; $R_6 = aryl, heteroaryl, aralkyl, etc.$; $R_3 = H, lower alkyl, aralkyl, etc.$; $R_4, R_5 = H, lower alkyl$; $W_1, W_2 = H, alkyl, alkoxy, aralkyl, etc.$; $Y = H, CONR_{10}R_{11}, CO_2R_{10}, etc.$; $R_{10}, R_{11} = H, alkyl, aryl, etc.$] are prepd. Methods for the use of I as protease inhibitors are also described. Thus, D-amino acid deriv. (II; $X = CH_2OH$, Boc = Me_3CO_2C) (prepn. given) was oxidized by SO_3 -pyridine complex in the presence of Et₃N to give the title compd. II ($X = CHO$) which showed IC₅₀ of 24,000 nM against cathepsin L.

IT 192722-72-8P 192722-73-9P 192722-74-0P

192722-90-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of D-amino acid derivs. as cysteine and serine protease inhibitors)

L13 ANSWER 17 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1997:390578 HCAPLUS

DN 127:5005

TI Preparation of sulfamoylphenyl alkanoates as elastase inhibitors

IN Nakae, Takahiko; Kato, Masashi; Fujita, Takehito; Kawabata, Kazuhito; Ohno, Hiroyuki

PA Ono Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 270 pp.

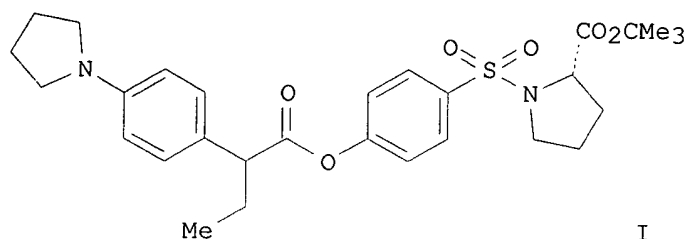
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 769498 | A1 | 19970423 | EP 96-307048 | 19960927 |
| | R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | JP 09165365 | A2 | 19970624 | JP 95-272058 | 19950927 |
| | JP 09278742 | A2 | 19971028 | JP 96-271341 | 19960924 |
| | JP 10251218 | A2 | 19980922 | JP 98-111630 | 19960924 |
| | AU 9665837 | A1 | 19970410 | AU 96-65837 | 19960925 |
| | NO 9604045 | A | 19970401 | NO 96-4045 | 19960926 |
| | CA 2186665 | AA | 19970328 | CA 96-2186665 | 19960927 |
| PRAI | JP 95-272058 | | 19950927 | | |
| | JP 96-45663 | | 19960224 | | |
| | JP 96-271341 | | 19960924 | | |
| OS | MARPAT 127:5005 | | | | |
| GI | | | | | |



AB R1CR2R3CO2ZSO2NR5R6 [I; R1 = (un)substituted carbocyclic or heterocyclic ring; R2,R3 = H, halo, alkyl, Ph, etc.; R2R3 = alkylidene or atoms to complete a carbocyclic ring; R5,R6 = H, OH, alkyl, etc.; NR5R6 = heterocyclyl; Z = (un)substituted 1,4-phenylene] were prepd. Thus, (S)-4-(tert-butoxycarbonyl-1-pyrrolidinylsulfonyl)-2-methylphenol was esterified by 2-(4-pyrrolidinophenyl)butanoic acid (prepn. each given) to give title compd. II. Data for biol. activity of I were given.

IT **190252-08-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfamoylphenyl alkanoates as elastase inhibitors)

L13 ANSWER 18 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1997:239550 HCAPLUS

DN 126:305778

TI Peptides containing the sulfonamide junction: synthesis, structure, and conformation of Z-Tau-Pro-Phe-NHiPr

AU Calcagni, A.; Rossi, D.; Paradisi, M. Paglialunga; Lucente, G.; Luisi, G.; Gavuzzo, E.; Mazza, F.; Pochetti, G.; Paci, M.

CS Dip. Studi Farmaceutici, Univ. La Sapienza, Rome, 00185, Italy

SO Biopolymers (1997), 41(5), 555-567

CODEN: BIPMAA; ISSN: 0006-3525

PB Wiley

DT Journal

LA English

AB The taurine (Tau) contg. tripeptide deriv. Z-Tau-Pro-Phe-NHiPr (I) has been synthesized as suitable sulfonamido-pseudopeptide model to investigate formation and conformational properties of folded secondary structures stabilized by intramol. H bonds directly involving the sulfonamide junction. In the crystal the pseudopeptide I adopts a type 1 .beta.-turn with the Pro and Phe residues located at the (i + 1) and (i + 2) corner positions, resp. The turn is stabilized by a 4 .fwdarw. 1 H bond engaging one of the SO2 oxygen atoms and the isopropylamide NH. In CDCl3 soln. the .beta.-turn folding is accompanied by a .gamma.-turn centered at the Pro and involving a 3 .fwdarw. 1 H bond between the SO2 and the Phe NH. A comparison of the structural and conformational properties found in I with those of the already known sulfonamido-pseudopeptides, with particular ref. to the models contg. the Tau-Pro junction, is also reported.

IT **189256-03-9P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn., conformation, and crystal structure of taurine-contg. tripeptide)

IT **189256-04-0P 189256-05-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., conformation, and crystal structure of taurine-contg. tripeptide)

L13 ANSWER 19 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1997:133869 HCAPLUS

DN 126:234874

TI Electrostatic as well as hydrophobic interactions are important for the

- association of Cpn60 (groEL) with peptides
AU Hutchinson, Jonathan P.; Oldham, Timothy C.; El-Thaher, Talal S. H.;
Miller, Andrew D.
CS Dep. of Chemistry, Imperial College of Science, Technology and Medicine,
South Kensington, SW7 2AY, UK
SO J. Chem. Soc., Perkin Trans. 2 (1997), (2), 279-288
CODEN: JCPKBH; ISSN: 0300-9580
PB Royal Society of Chemistry
DT Journal
LA English
AB The interactions of groEL with five N-dansyl peptides were investigated by
a fluorescence binding assay. The peptides studied (Bamph, Bhphil, Aamph,
Ahphil, Namph) were designed and synthesized as systematic variants of
each other in terms of their patterns of charge and hydrophobicity.
Fluorescence data were analyzed using a fluorescence modified,
y-reciprocal linearized form of the Benesi-Hildebrand equation which was
derived from first principles and verified by theor. simulations. Under
optimal conditions, apparent dissocn. consts., K_d , were obtained in the
.mu.M range. At physiol. relevant ionic strengths, only two peptides
(basic amphiphilic Bamph and neutral amphiphilic Namph) interacted with
groEL while a third peptide (acidic amphiphilic Aamph) was able to
interact but only at very high ionic strength ($>1 \text{ mol kg}^{-1}$). Thermodyn.
(van't Hoff) anal. of the tightest binder, basic amphiphilic Bamph
peptide, revealed endothermic binding and a large pos. entropy,
.delta.S0bind, consistent with a mixed binding mode involving both
hydrophobic and electrostatic interactions. At physiol. relevant ionic
strengths, pos. charged amino acid residues appear to augment hydrophobic
binding interactions with groEL and a peptide or partially folded protein
substrate is certainly hydrophobic, electrostatic effects can modulate or
even overwhelm this interaction.
- IT 188446-53-9 188446-54-0 188446-55-1
188446-56-2 188446-57-3
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(electrostatic as well as hydrophobic interactions are important for
assocn. of Cpn60 (groEL chaperonin) with peptides)
- L13 ANSWER 20 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1997:7564 HCAPLUS
DN 126:55103
TI Biological activity of analogs of the peptide hormone luliberin in the
regulation of immune response of T Lymphocytes
AU Kazakova, T. B.; Burov, S. B.; Golovko, O. I.; Grishina, T. V.; Novikova,
N. S.; Myul'berg, A. A.; Semko, T. V.; Korneva, E. A.
CS Nauchno-Issled. Med., RAMN, Moscow, Russia
SO Byull. Eksp. Biol. Med. (1996), 122(9), 334-337
CODEN: BEBMAE; ISSN: 0365-9615
PB Meditsina
DT Journal
LA Russian
AB The authors used the model system of frog oocytes, injected with
recombinant DNA MIL2C or 4xPu, contg. the marker CAT gene under the
control of the 2.2 kb promoter for the murine interleukin-2 (IL-2) gene or
the tetra copy of the purine-rich element (from -292 to -246 nucleotide
pairs), resp. Promoter activity was preliminarily blocked by introduction
into the oocyte of the nuclear protein fraction from resting mouse spleen
T-lymphocytes. Derepression of the IL-2 gene promoter was exhibited on
injection into the oocyte nucleus or cytoplasm of the truncated 7-amino
acid LH-RH analog (L1). Addn. into the medium of peptide L1 or another
analog of LH-RH (L2) induced the activation of murine spleen T-lymphocytes
in vitro and stimulated, as shown by dot-blot and in situ hybridization,
the synthesis of IL-2 mRNA 2-3-fold greater than by Con A + rIL-2. Cytol.
anal. of the cell culture showed that the presence in the medium of
peptides L1 or L2 potentiated the process of differentiation of murine
spleen T-cells. Apparently, the antitumor effect shown by the peptides
may be connected with the stimulation of IL-2 synthesis.
- IT 185321-83-9

RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)
(biol. activity of LH-RH analogs in regulation of immune response of T
Lymphocytes)

L13 ANSWER 21 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1996:206126 HCAPLUS
DN 124:251755
TI Subcutaneous injection of an analog of neuropeptide FF prevents
naloxone-precipitated morphine abstinence syndrome
AU Malin, D. H.; Lake, J. R.; Smith, D. A.; Jones, J. A.; Morel, J.; Claunch,
A. E.; Stevens, P. A.; Payza, K.; Ho, K. K.; et al.
CS University Houston, Houston, TX, 77058, USA
SO Drug Alcohol Depend. (1995), 40(1), 37-42
CODEN: DADEDV; ISSN: 0376-8716
DT Journal
LA English
AB There is evidence that neuropeptide FF (NPFF) has antiopiate activity and
may play a role in opiate dependence and subsequent abstinence syndrome.
A fragment of NPFF was modified at the C-terminal in an effort to convert
it to an NPFF antagonist. It was also dansylated at the N-terminal in an
effort to render it more lipophilic and increase its penetration of the
blood-brain barrier. Third ventricle administration of the resulting
compd., dansyl-PQRamide (0.75 .mu.g and 1 .mu.g), dose-dependently
antagonized the quasi-morphine abstinence activity of NPFF (10 .mu.g) in
opiate-naive rats. S.c. injection of dansyl-PQRamide (13 mg/kg) in
chronically morphine-infused rats attenuated opiate dependence as
indicated by prevention of naloxone-pptd. abstinence syndrome.
Dansyl-PQRamide displaced radiolabeled ligand from NPFF receptors in a
concn.-dependent manner with a K_i of 13 .mu.M, and had a half-life over
300 times longer than NPFF under aminopeptidase digestion.
IT **175297-56-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
(prepn. and prevention of morphine abstinence syndrome)

L13 ANSWER 22 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:891533 HCAPLUS
DN 123:333407
TI Purification and characterization of carboxypeptidase D, a novel
carboxypeptidase E-like enzyme, from bovine pituitary
AU Song, Lixin; Fricker, Lloyd D.
CS Dep. Mol. Pharmacol., Albert Einstein Coll. Med., Bronx, NY, 10461, USA
SO J. Biol. Chem. (1995), 270(42), 25007-13
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB Carboxypeptidase E (CPE) is involved in the biosynthesis of most
neuropeptides and peptide hormones. Until recently, CPE was the only
intracellular carboxypeptidase thought to be involved in neuroendocrine
peptide processing. However, the finding that fat/fat mice, which have a
mutation within the CPE gene that inactivates the enzyme, are capable of a
reduced amt. of insulin processing suggests that another carboxypeptidase
is present within the secretory pathway. The authors have detected a
CPE-like enzyme, designated CPD, which has many properties in common with
those of CPE. Like CPE, CPD is a metallo-carboxypeptidase that has a pH
optimum of 5.5-6. The K_m and K_{cat} values for a series of short peptide
substrates show only minor differences between CPD and CPE. Several
active site-directed inhibitors also show generally similar potency toward
the two enzymes, although guanidinoethylmercaptosuccinic acid is approx.
10-fold more potent, and hippuryl-Arg is approx. 100-fold more potent as
an inhibitor of CPD than of CPE. A major difference between the two
enzymes is the mol. masses; CPE is 50,000-56,000, whereas CPD is approx.
180,000. Also, CPD does not elute from a substrate affinity column when
the pH is raised to 8, which elutes CPE, although CPD can subsequently be
eluted by arginine. Both CPE and CPD are present in purified bovine

anterior pituitary secretory vesicles, but the tissue distribution of CPD is more uniform than that of CPE. Antisera to the N- and C-terminal regions of CPE do not recognize CPD. The partial N-terminal amino acid sequence of bovine CPD shows 30-40% homol. with an N-terminal region of bovine and rat CPE and 70% homol. with a duck protein known as gp180, a hepatitis B virus particle binding protein that shows 47% homol. to CPE. Taken together, these results suggest that CPD is a novel secretory pathway enzyme that may be the bovine homolog of gp180.

IT 87687-43-2

RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(purifn. and characterization of carboxypeptidase D, novel
carboxypeptidase E-like enzyme, from bovine pituitary)

L13 ANSWER 23 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1995:864338 HCAPLUS

DN 123:279333

TI Is the glycogen synthase analog C1-peptide a suitable fluorescent substrate for routine measurements of protein kinase C?

AU Erdbruegger, Wilhelm; Strohm, Peter; Michel, Martin C.

CS Department of Medicine, University of Essen, Essen, 45122, Germany

SO Cell. Signalling (1995), Volume Date 1995, 7(6), 635-42

CODEN: CESIEY; ISSN: 0898-6568

DT Journal

LA English

AB The authors have compared a new com. available non-radioactive protein kinase C (PKC) activity assay based on the fluorescent [A9,10K11]glycogen synthase 1-11 analog C1-peptide with a classical radioactive assay based on myelin basic protein4-14 (MBP4-14) and other substrates. The C1-peptide had lower affinity for PKC from rat brain than substrates such as MBP4-14, [S25]PKC.alpha.19-31, and [A9,10K11,12]glycogen synthase 1-12. The sensitivity of the C1-peptide-based assay was considerably lower than that of the MBP4-14-based assay. The C1-peptide was readily degraded in an ATP-independent manner by crude and DEAE-column chromatog.-purified cytosolic exts. from rat brain, rat kidney, SK-N-MC and L929 cells. In rat kidney this degrdn. was not prevented by many common protease inhibitors. Phenylsepharose column chromatog. sepd. the C1-peptide degrading activity from PKC. The authors conclude that the C1-peptide-based fluorescent PKC assay is applicable to highly purified PKC preps. but has low sensitivity and is not applicable to crude exts. due to substrate degrdn.

IT 149901-74-6

RL: ARG (Analytical reagent use); BPR (Biological process); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(glycogen synthase analog C1-peptide as a suitable fluorescent
substrate for routine measurements of protein kinase C)

L13 ANSWER 24 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1995:215838 HCAPLUS

DN 122:31909

TI On the Stereoselectivity of the Reaction of N-(9-Phenylfluoren-9-yl)aspartate Enolates with Electrophiles. Synthesis of Enantiomerically Pure 3-Hydroxy-, 3-Amino-, and 3-Hydroxy-3-methylaspartates

AU Fernandez-Megia, Eduardo; Paz, Manuel M.; Sardina, F. Javier

CS Departamento de Quimica Organica, Universidad de Santiago de Compostela, Santiago de Compostela, 15706, Spain

SO J. Org. Chem. (1994), 59(25), 7643-52

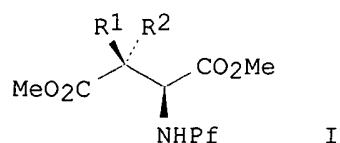
CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 122:31909; CJACS

GI



AB Efficient and stereoselective prepn. of enantiomerically pure protected (3R)- and (3S)-3-hydroxy- and 3-aminoaspartates I (Pf = 9-phenyl-9-fluorenyl; R1 = H, R2 = OH, NH2; R1 = OH, NH2, R2 = H) by reaction of protected aspartate enolates with electrophilic hydroxylating or aminating reagents were developed. The stereoselectivity of the hydroxylation and amination reactions was dependent on the structure of the enolate (which is strongly affected by the presence of strong metal complexing agents) and whether the electrophile is able to complex the enolate counterion in the transition state of the reaction. A regioselective prepn. of enantiomerically pure protected 3-hydroxy-3-methylaspartates I (R1 = Me, R2 = OH; R1 = OH, R2 = Me) was also developed, albeit with only modest stereoselectivity.

IT **159434-66-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective electrophilic hydroxylation and amination of (phenylfluorenyl)aspartate enolates)

L13 ANSWER 25 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1995:136611 HCAPLUS

DN 122:161309

TI Synthesis and antimicrobial activity of some new 7-methoxy-4-methylcoumarin-6-sulfonylamino acid derivatives

AU Ibrahim, T M; Ahmed, F S M; Shedid, S A

CS Faculty Science, Al-Azhar University, Nasr, Egypt

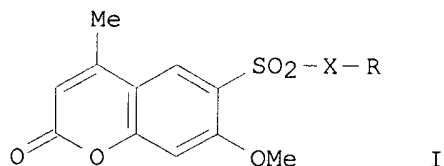
SO Proc. Indian Natl. Sci. Acad., Part A (1994), 60(2), 433-9

CODEN: PIPSD; ISSN: 0370-0046

DT Journal

LA English

GI



AB Title compds. I [X = amino acid, dipeptide; R = OH, OMe, NHNH2] were prepd. from the sulfonyl chloride and amino acid, amino ester, or dipeptide.. The amino acid derivs., but not the peptide derivs., have bactericidal activity.

IT **161256-08-2P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antimicrobial activity of some new methoxy(methyl)coumarinsulfonylamino acid derivs.)

L13 ANSWER 26 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1995:36716 HCAPLUS

DN 122:133772

TI Azasulfonamido peptides as peptide bond hydrolysis transition state analogs. Part 2. Potential HIV-1 proteinase inhibitor

AU Cheeseright, Timothy J.; Daenke, Susan; Elmore, Donald T.; Jones, John H.

CS Dyson Perrins Lab., Univ. Oxford, Oxford, OX1 3QY, UK

- SO J. Chem. Soc., Perkin Trans. 1 (1994), (14), 1953-5
CODEN: JCPRB4; ISSN: 0300-922X
- DT Journal
- LA English
- AB The synthesis of Z-Asn-NHN(CH₂Ph)SO₂-Pro-Ile-Val-OMe (I; Z = PhCH₂O₂C), a potential HIV-1 proteinase inhibitor, is described. Thus, Boc-Asn(Trt)-NHNHCH₂Ph (Boc = Me₃CO₂C; Trt = trityl) was coupled with ClSO₂-Pro-OCH₂Ph to give azasulfonamido peptide Boc-Asn(Trt)-NHN(CH₂Ph)SO₂-Pro-OCH₂Ph, which was further elaborated to I by std. methods. I inhibited the activity of recombinant HIV-1 proteinase in a peptide cleavage assay. with $K_i = 27.1 \pm 7.7 \mu\text{M}$.
- IT **161001-55-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and HIV-1 proteinase inhibitory activity of)
- IT **161001-60-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and detritylation of)
- IT **161001-57-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and protective group exchange of)

L13 ANSWER 27 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1995:33825 HCAPLUS

DN 122:31870

TI Synthesis and studies of some new 3-substituted coumarin derivatives

AU Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.

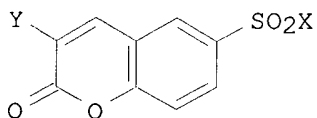
CS Fac. Sci., Al-Azhur Univ., Nasr, Egypt

SO Phosphorus, Sulfur Silicon Relat. Elem. (1994), 86(1-4), 263-8
CODEN: PSSLEC; ISSN: 1042-6507

DT Journal

LA English

GI



- AB The prepn. of different 3-acetamido-coumarin-6-sulfonylamino acids I (X = amino acid, dipeptide group; Y = NHCOMe, NH₂, OH) was described. All the 3-amino or 3-hydroxycoumarin-6-sulfonylamino acid derivs. I (Y = NH₂; X = amino acid group) and I (Y = OH; X = amino acid group) possess remarkable antimicrobial properties towards different microorganisms; the other I were inactive.
- IT **156773-57-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antimicrobial agent)
- L13 ANSWER 28 OF 85 HCAPLUS COPYRIGHT 1999 ACS
- AN 1995:21280 HCAPLUS
- DN 122:10540
- TI Azasulfonamidopeptides as peptide bond hydrolysis transition state analogs. Part 1. Synthetic approaches
- AU Cheeseright, Timothy J.; Edwards, Alison J.; Elmore, Donald T.; Jones, John H.; Raissi, Maryam; Lewis, Elsa C.
- CS Dyson Perrins Lab., Univ. Oxford, Oxford, OX1 3QY, UK
- SO J. Chem. Soc., Perkin Trans. 1 (1994), (12), 1595-600
CODEN: JCPRB4; ISSN: 0300-922X
- DT Journal
- LA English
- OS CASREACT 122:10540
- AB The title compds., a novel class of peptide analogs in which an .alpha.-amino acid residue is replaced by a hydrazine-1,2-diylsulfonyl

group -NHNRSO₂-, are of potential interest as proteinase inhibitors. Synthetic approaches to such compds. and the x-ray mol. structures of two examples, AcNH(CH₂Ph)SO₂-Gly-OMe and BocNHNHSO₂-Pro-OCH₂Ph, are reported.

IT **159525-99-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 29 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1994:509633 HCAPLUS

DN 121:109633

TI Synthesis and studies of some new 3-substituted coumarin derivatives

AU Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.

CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt

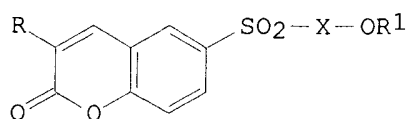
SO Sulfur Lett. (1994), 17(2), 101-9

CODEN: SULED2; ISSN: 0278-6117

DT Journal

LA English

GI



AB The synthesis of different 3-acetamidocoumarin-6-sulfonylamino acids I (R = AcNH, X = .beta.-Ala, Pro, Leu, Met, Phe, R₁ = H), the corresponding Me esters I (R₁ = Me), dipeptides I (R = AcNH, X = .beta.-Ala-Gly, Pro-Ser, Phe-Val, Leu-Tyr, Met-Phe, R₁ = Me), and some related 3-amino- or 3-hydroxy derivs. I (R = H₂N, HO) are described. All derivs. I (R = H₂N, HO) possess remarkable antimicrobial properties towards different microorganisms.

IT **156773-57-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 30 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1994:509623 HCAPLUS

DN 121:109623

TI Synthesis and studies of some new 3-substituted coumarin derivatives

AU Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.

CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt

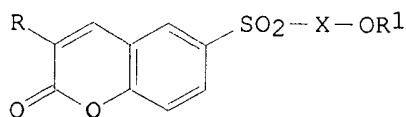
SO Bol. Soc. Quim. Peru (1993), 59(3), 135-41

CODEN: BSQPAQ; ISSN: 0037-8623

DT Journal

LA English

GI



AB The synthesis of different 3-acetamidocoumarin-6-sulfonylamino acids I (R = AcNH, X = .beta.-Ala, Pro, Leu, Met, Phe, R₁ = H), the corresponding Me esters I (R₁ = Me), dipeptides I (R = AcNH, X = .beta.-Ala-Gly, Pro-Ser, Phe-Val, Leu-Tyr, Met-Phe, R₁ = Me), and some related 3-amino- or 3-hydroxy derivs. I (R = H₂N, HO) are described. All derivs. I (R = H₂N, HO) possess remarkable antimicrobial properties towards different microorganisms.

IT **156773-57-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

- L13 ANSWER 31 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1994:46125 HCAPLUS
DN 120:46125
TI Neuropeptide FF receptors: Structure-activity relationship and effect of morphine
AU Payza, Kemal; Akar, Candan A.; Yang, Hsiu Ying T.
CS Natl. Inst. Ment. Health Neurosci. Cent., St. Elizabeth's Hosp., Washington, DC, 20032, USA
SO J. Pharmacol. Exp. Ther. (1993), 267(1), 88-94
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Neuropeptide FF (FLFQPQRFamide, NPFF) is an octapeptide implicated in morphine analgesia, tolerance and dependence. Many of the behavioral effects of NPFF have also been obsd. with the invertebrate neuropeptide Phe-Met-Arg-Phe-amide (FMRFamide), which binds to NPFF receptors because of its low homol. to the C-terminal portion of NPFF. A competitive ligand binding assay was used to characterize NPFF receptors in rat spinal cord and a strong requirement was found for the C-terminal Arg-Phe-amide. It was found that FMRFamide ($K_i = 1.8$ nM) bound with lower affinity than NPFF (0.26 nM) but it was about 7-fold more potent than PQRFamide (12 nM). This finding explains the similar bioactivities of NPFF and FMRFamide. The Gln2 appeared to be the cause of the relatively low potency of PQRFamide, based on the binding specificity of NPFF receptors for a series of FMRFamide analogs. In contrast to the Arg-Phe-amide, substitutions at the first and second positions of FMRFamide were generally tolerated, with the most potent analogs being PMRFamide ($K_i = 0.54$ nM), FFRFamide (0.25 nM) and FWRFamide (0.42 nM). Among the most potent ligands was a pentapeptide contg. a photoreactive Phe analog, D-Tyr-(p-benzoyl-Phe)-Nle-Arg-Phe-amide ($K_i = 0.23$ nM). It was found that dansyl-PQRFamide and dansyl-RFamide also bound to NPFF receptors with K_i values of 6.1 and 73 nM, resp. The radioligand binding and G-protein coupling of NPFF receptors were not altered by chronic morphine treatment.
- IT 151870-87-0
RL: PROC (Process)
(binding of, by neuropeptide FF receptors of spinal cord, structure in relation to)
- L13 ANSWER 32 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1994:25486 HCAPLUS
DN 120:25486
TI Subcutaneous injection of an analog of neuropeptide FF precipitates morphine abstinence syndrome
AU Malin, David H.; Lake, J. Ronald; Arcangeli, K'Anne R.; Deshotel, Karen D.; Hausam, David D.; Witherspoon, Wendi E.; Carter, Victoria A.; Yang, Hsiu Ying T.; Pal, Biman; Burgess, Kevin
CS Univ. Houston, Clear Lake, Houston, TX, 77058, USA
SO Life Sci. (1993), 53(17), PL261-PL266
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
AB Neuropeptide FF (NPFF) has been shown to exert various antiopiate actions, including pptn. of opiate abstinence syndrome by third ventricle injection in morphine dependent rats. In the present study, dansyl-Pro-Gln-Arg-Phe-amide, a lipophilic analog of NPFF, was injected into morphine dependent rats and appropriate sham controls at a dose of 9 mg/kg, s.c. Comparison groups were injected with ethanol/water vehicle alone. The NPFF analog pptd. a vigorous opiate abstinence syndrome in morphine dependent rats, but not in sham controls.
- IT 151870-87-0, Dansyl-Pro-Gln-Arg-Phe-amide
RL: BIOL (Biological study)
(morphine abstinence syndrome induction by, in dependent situation)

L13 ANSWER 33 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1993:626427 HCAPLUS
 DN 119:226427
 TI Peptide aldehydes as antithrombotic agents
 IN Balasubramanian, Neelakantan; St. Laurent, Denis R.
 PA Bristol-Myers Squibb Co., USA
 SO Eur. Pat. Appl., 55 pp.
 CODEN: EPXXDW

DT Patent
 LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 526877 | A2 | 19930210 | EP 92-113284 | 19920804 |
| | EP 526877 | A3 | 19930407 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| | CA 2075154 | AA | 19930207 | CA 92-2075154 | 19920731 |
| | JP 07242616 | A2 | 19950919 | JP 92-206713 | 19920803 |
| | US 5380713 | A | 19950110 | US 94-226219 | 19940411 |
| PRAI | US 91-741023 | | 19910806 | | |
| OS | CASREACT 119:226427; MARPAT 119:226427 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Arginine aldehydes I [R1 and R2 = H or COR [R = H, lower alkyl, benzyl, CH(OAc)Me]; R3 and R4 = H, lower alkyl, benzyl, (un)substituted Ph, (un)substituted C3-7 cycloalkyl; R3R4 = (un)substituted C3-7 cycloalkyl; R3R4 = Ph or arom. ring; R5 = H or lower alkyl; R3R5 or R4R5 may be linked together to form a heterocyclic ring with 3 to 7 carbon atoms; R7 = CHO, CH2OH, CO2H; X = CO, (CH2)m, SO2; Y = (CH2)m, CH2CHNHR8, CHNHR8 [R8 = lower alkyl, benzyl, R1 and R2 as described above, SOR9 where R9 = lower alkyl, C3-7 cycloalkyl, (un)substituted Ph or (un)substituted naphthyl]; R6 = (CH2)m R10 (R10 = Ph, pyridyl, thiophenyl, naphthyl, quinolinyl or C3-7 cycloalkyl); n = -1, -2, 0, 1, 2, 3, 4; m = 0, 1, 2] were prep'd. as antithrombotic agents and trypsin inhibitors. Thus, Boc-L-Arg-OH.HCl (Boc = Me3CO2C) was treated with benzyl chloroformate in the presence of Et3N in THF to give 21.6% lactam II (Z = PhCH2O2C, R11 = Boc), which was Boc-deblocked by HCl in CH2Cl2 and EtOAc to give 97% II.2HCl (R11 = H). The latter was coupled with N-[3-(3-pyridyl)propanoyl]-L-proline by diphenylphosphoryl azide in the presence of Et3N in DMF to give 33% dipeptide lactam III, which was reduced by LiAlH4 in THF to give 57% arginine aldehyde IV (R12 = Z), which was Z-deblocked by hydrogenolysis over Pd/C to give IV.2HCl (R12 = H). Antithrombotic and trypsin-inhibiting activities are given for many tile compds.

IT 150729-18-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and deblocking of)

IT 150729-20-7P 150729-47-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antithrombotic agent)

L13 ANSWER 34 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1993:554888 HCAPLUS

DN 119:154888

TI Non-radioactive enzyme assay for kinases, phosphatases, and proteases

IN Shultz, John W.; White, Douglas H.

PA Promega Corp., USA

SO PCT Int. Appl., 103 pp.

CODEN: PIXXD2

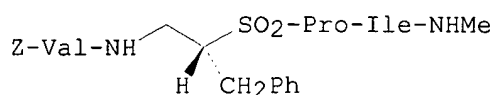
DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 9310461 | A1 | 19930527 | WO 92-US9595 | 19921112 |
| | W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | |
| | AU 9331294 | A1 | 19930615 | AU 93-31294 | 19921112 |
| | JP 07501444 | T2 | 19950216 | JP 92-509337 | 19921112 |
| | EP 646242 | A1 | 19950405 | EP 92-925108 | 19921112 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | | | | |
| | NO 9401781 | A | 19940629 | NO 94-1781 | 19940511 |
| PRAI | US 91-791928 | | 19911112 | | |
| | WO 92-US9595 | | 19921112 | | |
| AB | Modified peptide substrate prepd. by labeling the substrates with a detector segment or modification tag such as dansyl are used for non-radioactive assay of the described enzymes. The method comprises incubation of the modified peptide with an (un)pure enzyme sample of interest, sepn. of the product peptide by e.g. gel electrophoresis, and measuring the product peptide by e.g. fluorescence. The method is rapid and highly sensitive. Prepn. of 11 modified peptide substrates for fluorescenct and photometric assay of cAMP-dependent protein kinase, protein kinase C, modified trypsin, endoprotease C, etc., was shown. | | | | |
| IT | 149901-70-2 149901-74-6 | | | | |
| | RL: ANST (Analytical study) (for non-radioactive detn. of kinase and/or phosphatase and/or protease) | | | | |
| L13 | ANSWER 35 OF 85 HCAPLUS COPYRIGHT 1999 ACS | | | | |
| AN | 1993:554667 HCAPLUS | | | | |
| DN | 119:154667 | | | | |
| TI | Transglutaminases catalyze cross-linking of plasminogen to fibronectin and human endothelial cells | | | | |
| AU | Bendixen, Eموke; Borth, Wolfgang; Harpel, Peter C. | | | | |
| CS | Dep. Med., Mount Sinai Sch. Med., New York, NY, 10029, USA | | | | |
| SO | J. Biol. Chem. (1993), 268(29), 21962-7 | | | | |
| | CODEN: JBCHA3; ISSN: 0021-9258 | | | | |
| DT | Journal | | | | |
| LA | English | | | | |
| AB | Apolipoprotein (a) is a substrate for transglutaminases. Here it is reported that plasminogen, which is homologous to apolipoprotein (a), is also modified by these enzymes. Transglutaminases from different sources mediated the incorporation of monodansyl-cadaverine into plasminogen, indicating the presence of reactive glutamine(s) in plasminogen. Reactive lysines were also identified using the lysine-decorating peptide dansyl-PGGQQIV. In addn., transglutaminases catalyzed the formation of plasminogen homopolymers and plasminogen-fibronectin heteropolymers. Human umbilical vein endothelial cells cross-linked plasminogen into high mol. mass aggregates. Cross-linked plasminogen was cell assocd., and no crosslinking of plasminogen was seen in the fluid-phase. Large mol. mass plasminogen generated on the human umbilical vein endothelial cell (HUVEC) surface could not be eluted with .epsilon.-aminocaproic acid and was activatable by tissue plasminogen activator. These results suggest that, following non-covalent assocn. of plasminogen with the HUVEC surface, cell surface-assocd. transglutaminase catalyzes crosslinking of plasminogen into large mol. mass aggregates that can be converted into functional plasmin. It is proposed that transglutaminases may function to localize plasminogen to cell surfaces and matrixes of tissues. | | | | |
| IT | 132686-26-1 | | | | |
| | RL: RCT (Reactant) (crosslinking of, with plasminogen by plasma and tissue transglutaminases of human and lab. animal) | | | | |
| L13 | ANSWER 36 OF 85 HCAPLUS COPYRIGHT 1999 ACS | | | | |
| AN | 1993:428570 HCAPLUS | | | | |
| DN | 119:28570 | | | | |

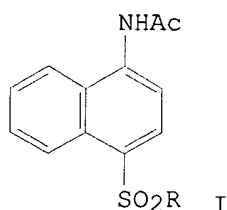
TI Synthesis of peptides containing a sulfinamide or a sulfonamide transition-state isostere
 AU Moree, Wilna J.; Van Gent, Liesbeth C.; Van der Marel, Gijs A.; Liskamp, Rob M. J.
 CS Gorlaeus Lab., Univ. Leiden, Leiden, 2300 RA, Neth.
 SO Tetrahedron (1993), 49(5), 1133-50
 CODEN: TETRAB; ISSN: 0040-4020
 DT Journal
 LA English
 OS CASREACT 119:28570
 GI



- AB A versatile synthesis of peptides incorporating sulfinamide or sulfonamide transition state analogs is described. Apart from the easily accessible Gly-X isosteres used as haptens to elicit catalytic antibodies, amino acids other than Gly can be prepd. by .alpha.-alkylation of the sulfonamide-contg. peptides. This is illustrated with the synthesis of a potential HIV-protease inhibitor I (Z = PhCH2O2C).
- IT **148200-74-2P 148261-17-0P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and peptide coupling of, with valine deriv.)
- IT **134019-79-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
- IT **148200-75-3P 148261-18-1P**
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as HIV protease inhibitor)
- IT **134019-78-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn., deblocking, and peptide coupling of, with alanine deriv.)
- IT **148200-85-5P 148261-19-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn., deblocking, and sepn. of, from diastereomer)
- IT **148200-73-1P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn., deprotonation, and benzylation of)
- L13 ANSWER 37 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1993:163912 HCAPLUS
 DN 118:163912
- TI Peculiarities of catalytic effect of .gamma.-thrombin on synthetic low-molecular peptide substrates
- AU Shvachko, L. P.; Poyarkova, S. A.; Kostyuchenko, N. V.; Kibirev, V. K.
 CS Inst. Bioorg. Khim. Neftekhim., Kiev, Ukraine
 SO Ukr. Biokhim. Zh. (1992), 64(4), 34-7
 CODEN: UBZHD4; ISSN: 0201-8470
 DT Journal
 LA Russian
- AB Catalytic parameters of hydrolysis of ester peptide substrates that contain residues of hydrophobic and nonpolar amino acids in P2, P3 subsites have been studied. It is shown that efficiency of hydrolysis by thrombin is detd. by the length of polypeptide chains and by the nature of the amino acids in P2, P3 subsites of the substrate. In spite of the fact that .gamma.-thrombin retains the active conformation of the catalytic center, the local conformation changes of the second binding region of the enzyme have been discovered.
- IT **126077-78-9**
 RL: RCT (Reactant)

(reaction of, with .gamma.- and .alpha.-thrombin of human, kinetics of, structure in relation to)

L13 ANSWER 38 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1993:143256 HCAPLUS
 DN 118:143256
 TI Synthesis and antimicrobial activity of some new 1-acetylamino-naphthalene-4-sulfonylamino acid and dipeptide derivatives
 AU El-Sayed, Ragab A.; Khalaf, N. S.; Kota, F. A.; El-Hakim, M. H.
 CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt
 SO Proc. Indian Natl. Sci. Acad., Part A (1992), 58(4), 389-96
 CODEN: PIPSD; ISSN: 0370-0046
 DT Journal
 LA English
 GI



AB The synthesis of different 1-acetylamino-naphthalene-4-sulfonylamino acids (I, R = amino acid radical) and some of their corresponding Me ester and hydrazides is described. Coupling of I with amino acid Me ester hydrochloride in THF-Et₃N medium using the carbodiimide method furnishes the desired dipeptide Me esters. Hydrazinolysis of the dipeptide Me esters gave the corresponding hydrazides. Most of the compds. were found to possess specific antimicrobial activities against a no. of bacteria.

IT 146233-90-1P 146233-94-5P 146233-98-9P
 146234-03-9P 146234-07-3P 146234-11-9P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antibacterial activity of, structure in relation to)

L13 ANSWER 39 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1993:34637 HCAPLUS
 DN 118:34637
 TI Synthesis and characterization of some collagen sequence analogs
 AU Botyanszki, Janos; Bodi, Jozsef; Kajtar, Judit; Ragnarsson, Ulf; Pogany, Gabor; Jeney, Andras; Suli-Vargha, Helga
 CS Res. Group Peptide Chem., Hung. Acad. Sci., Budapest, H-1518, Hung.
 SO Biochem. Int. (1992), 27(3), 525-34
 CODEN: BIINDF; ISSN: 0158-5231
 DT Journal
 LA English
 AB Some analogs of natural collagen sequences (773-779) were synthesized. The peptides were hydrolyzed at the Gly-Ile bond not only by crude collagenase isolated from normal rat liver, but also by the bacterial Clostridium histolyticum collagenase. The reason for the unusual cleavage site in the latter case may lie in the unordered secondary structure of the substrates measured by CD spectroscopy.

IT 102839-04-3P 130778-90-4P 130778-92-6P
 145152-94-9P 145152-95-0P 145152-96-1P
 145179-70-0P 145179-71-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and hydrolysis of, by collagenase, collagen hydrolysis in relation to)

L13 ANSWER 40 OF 85 HCAPLUS COPYRIGHT 1999 ACS

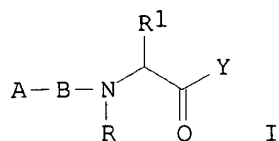
AN 1992:611689 HCAPLUS
 DN 117:211689
 TI Optical resolution of racemic amine derivatives
 IN Gamo, Keiji
 PA Nippon Kayaku Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 4 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| PI | JP 04154732 | A2 | 19920527 | JP 90-277791 | 19901018 |
| AB | Racemic amines are optical resolved by treating with dansyl-L-proline (I), sepn. of the obtained amides by chromatog., then detection by fluorometry. Amidation of DL-alanine with I in DMF in the presence of di-Et cyanophosphate gave a reaction mixt., which was fluorescence detected by high-speed liq. chromatog. using aq. MeOH as eluent to give amide derivs. of L- and D-alanine at sepn. factor of 1.12. | | | | |
| IT | 25841-36-5 144055-09-4 144055-10-7 144055-18-5 | | | | |
| | RL: PROC (Process) (sepn. of, by fluorescence chromatog.) | | | | |

L13 ANSWER 41 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1992:551397 HCAPLUS
 DN 117:151397
 TI Preparation of peptides as kininogenase inhibitors.
 IN Szelke, Michael; Evans, David Michael; Jones, David Michael
 PA Ferring Peptide Research Partnership KB, Swed.
 SO PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 9204371 | A1 | 19920319 | WO 91-GB1479 | 19910902 |
| | W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US | | | | |
| | RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG | | | | |
| | AU 9184387 | A1 | 19920330 | AU 91-84387 | 19910902 |
| | HU 64084 | A2 | 19931129 | HU 93-610 | 19910902 |
| | JP 06501461 | T2 | 19940217 | JP 91-514802 | 19910902 |
| | EP 652893 | A1 | 19950517 | EP 91-915557 | 19910902 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | ZA 9107096 | A | 19920429 | ZA 91-7096 | 19910906 |
| | NO 9300731 | A | 19930507 | NO 93-731 | 19930226 |
| PRAI | GB 90-19558 | | 19900907 | | |
| | WO 91-GB1479 | | 19910902 | | |
| OS | MARPAT 117:151397 | | | | |
| GI | | | | | |



AB The title compds. [I; R = H, alkyl; R1 = basic amino acid side chain; A = terminal amino acyl, terminal imino acyl; B = D- or L- amino acid residue; Y = binding enhancing or carbonyl activating group preferably selected

from H, alkyl, fluoroalkyl, etc.; with provisos], useful as kininogenase inhibitors (no data), are prepd. BOC-Arg(Z)2-OH (Z = benzyloxycarbonyl) was condensed with ClCO2Bu-i, the product was deprotected and then condensed with BOC-Cha-ONSu (Cha = 3-cyclohexylphenylalanine residue), the product was deprotected and then reacted with Z(NMe)-D-Phe-OH, the product was treated with Dess Martin Periodinane, and the product was hydrogenated over Pd/C to give MeD-Phe-Cha-Arg-H.

IT 143127-51-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as kininogenase inhibitor)

L13 ANSWER 42 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1991:424938 HCAPLUS

DN 115:24938

TI Sorting-out of acceptor-donor relationships in the transglutaminase-catalyzed cross-linking of crystallins by the enzyme-directed labeling of potential sites [Erratum to document cited in CA114(15):138651s]

AU Lorand, L.; Parameswaran, K.; Velasco, P. T.

CS Dep. Biochem., Mol. Biol. Cell Biol., Northwestern Univ., Evanston, IL, 60208, USA

SO Proc. Natl. Acad. Sci. U. S. A. (1991), 88(7), 2967

CODEN: PNASA6; ISSN: 0027-8424

DT Journal

LA English

AB Errors in Figure 1 have been cor. The errors were not reflected in the abstr. or the index entries.

IT 132686-26-1

RL: BIOL (Biological study)

(crystallin crosslinking of transglutaminase inhibition by, crystallin acceptor site in relation to (Erratum))

L13 ANSWER 43 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1991:247732 HCAPLUS

DN 114:247732

TI Peptides containing a sulfinamide or a sulfonamide moiety: new transition-state analogs

AU Moree, W. J.; Van der Marel, G. A.; Liskamp, R. M. J.

CS Gorlaeus Lab., Univ. Leiden, Leiden, 2300 RA, Neth.

SO Tetrahedron Lett. (1991), 32(3), 409-12

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 114:247732

AB A versatile synthesis of two new types of transition-state analogs of the amide bond hydrolysis is described: the sulfinamide and the sulfonamide moiety. These transition-state analogs are part of peptides which will be used for the generation of catalytic antibodies as well as for development of protease inhibitors. Thus, (BocNHCH2CH2S)2 (Boc = Me3CO2C) was treated with 3 equivs. Cl2 and 2 equivs. Ac2O to give BocNHCH2CH2SOCl. The latter was treated with H-Pro-Gly-NHMe to give BocNHCH2CH2SO-Pro-Gly-NHMe, which was oxidized with NaIO4/RuCl3 to give BocNHCH2CH2SO2-Pro-Gly-NHMe. The latter was Boc-deblocked and then coupled with Boc-Ala-OH to give Boc-Ala-NHCH2CH2SO2-Pro-Gly-NHMe.

IT 134019-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sequential deblocking and peptide coupling reaction of)

IT 134019-79-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 44 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1991:138651 HCAPLUS

DN 114:138651

TI Sorting-out of acceptor-donor relationships in the transglutaminase-catalyzed cross-linking of crystallins by the enzyme-directed labeling of potential sites

- AU Lorand, L.; Parameswaran, K. N.; Velasco, P. T.
CS Dep. Biochem., Mol. Biol. Cell Biol., Northwestern Univ., Evanston, IL,
60208, USA
SO Proc. Natl. Acad. Sci. U. S. A. (1991), 88(1), 82-3
CODEN: PNASA6; ISSN: 0027-8424
DT Journal
LA English
AB The dansyl-conjugated (Dns) peptides Dns-Pro-Gly-Gly-Gln-Gln-Ile-Val and
Dns-Ala-Gln-Gln-Ile-Val, patterned on the N-terminal sequence of
fibronectin, were synthesized and used for the transglutaminase (EC
2.3.2.13)-directed selective blocking of lens proteins that otherwise
might participate in donating lysyl side chains in forming
N.epsilon.-(.gamma.-glutamyl)lysine cross-linked oligomers and polymers.
Labeling profiles with these peptides could be readily visualized by
fluorescence as well as by immunoblotting with anti-dansyl antibody. The
labeling patterns in rabbit lens homogenates were quite different with the
dansylated peptides than those obtained with dansylcadaverine. Use of
such glutamine-contg. dansylated peptides should clearly aid in
identifying, isolating, and sequencing potential donor substrates of
transglutaminases in many biol. systems.
- IT 132686-26-1
RL: BIOL (Biological study)
(crystallin crosslinking by transglutaminase inhibition by, crystallin
acceptor site in relation to)
- L13 ANSWER 45 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1991:2883 HCAPLUS
DN 114:2883
TI Matrix-assisted laser desorption of peptides in transmission geometry
AU Vertes, Akos; Balazs, Laszlo; Gijbels, Renaat
CS Dep. Chem., Univ. Antwerp, Wilrijk, B-2610, Belg.
SO Rapid Commun. Mass Spectrom. (1990), 4(7), 263-6
CODEN: RCMSEF; ISSN: 0951-4198
DT Journal
LA English
AB The possibility of performing matrix-assisted laser desorption expts. in
transmission geometry is demonstrated for two neuropeptides (substance P
and bombesin), for six analogs of the MSH core and for collagenase enzyme
substrates. Pos.- and neg.-ion spectra of several peptides are produced
without the presence of a metallic substrate. Cationized quasi-mol. ions
are abundant in the pos. spectra. Peak broadening in the high-mass range
can be the consequence of overlapping mol. and adduct ions. The presence
of synthesis byproducts can be identified readily from the spectra.
Ultimately, picogram detection limits are possible for important bioactive
peptides and other large mols. Because of the clearly demonstrated
matrix-assisted laser ionization in a homogeneous environment, metal
substrate participation in the volatilization mechanism seems less likely.
- IT 130778-91-5 130778-93-7
RL: PRP (Properties)
(mass spectrometry of, matrix-assisted laser desorption)
- L13 ANSWER 46 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1990:612644 HCAPLUS
DN 113:212644
TI Selective alkaline protease catalyzed hydrolysis of peptide esters
AU Chen, Shui Tein; Chang, Chung Ho; Lin, Johnson; Wang, Kung Tsung
CS Grad. Inst. Biochem. Sci., Natl. Taiwan Univ., Taipei, Taiwan
SO J. Chin. Chem. Soc. (Taipei) (1990), 37(3), 299-305
CODEN: JCCTAC; ISSN: 0009-4536
DT Journal
LA English
AB Procedures for prepg. C-terminal free peptides from hydrolysis of the
corresponding Me or benzyl esters catalyzed by alk. protease has been
developed. N-protected peptides having side-chain ester protecting groups
or successive hydrophobic amino acid residues in its sequence are
hydrolyzed selectively at the C-terminal only, and other bonds (.beta. and

.gamma.-ester or peptide bonds) are left intact. Compds. which cause side reactions in base-mediated sapon. could be hydrolyzed safely by this procedure. Products of this hydrolysis are useful intermediates for fragment couplings in solid phase peptide synthesis.

IT 130240-42-5

RL: RCT (Reactant)

(hydrolysis of, in the presence of alcalase, protected C-terminal free peptide from)

IT 130240-61-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, by selective hydrolysis of peptide ester)

L13 ANSWER 47 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1990:607344 HCAPLUS

DN 113:207344

TI Fluorescent oligopeptide substrates for kinetic characterization of the specificity of Astacus protease

AU Stoecker, Walter; Ng, Michael; Auld, David S.

CS Inst. Zool., Univ. Heidelberg, Heidelberg, D-6900, Fed. Rep. Ger.

SO Biochemistry (1990), 29(45), 10418-25

CODEN: BICHAW; ISSN: 0006-2960

DT Journal

LA English

OS CJACS

AB The design of fluorescent N-dansylated oligopeptides based on the tubulin cleavage pattern by Astacus protease yields substrates that are turned over .ltoreq.105 times faster than those presently available. On the basis of this study, an optimal substrate for Astacus protease contain 7 or more amino acids and minimally requires at least 5 amino acids. Direct examn. of the formation and breakdown of the ES complex shows its formation occurs within milliseconds at 25.degree.. The best heptapeptide substrate, dansyl-Pro-Lys-Arg-Ala-Pro-Trp-Val, is cleaved only between the Arg-Ala (P1-P1') bond with kinetic parameters kcat = 380 s-1 and Km = 3.7 .times. 10-4 M. The presence of lysine or arginine in the P1 and P2 positions yields high-turnover substrates. In the P3 position, the enzyme prefers Pro > Val > Leu > Ala > Gly, following the same order of preference seen in the tubulin cleavage pattern. Substitution of leucine (Leu) for alanine in P1' and of serine for proline in P2' decreases activity by 105- and 102-fold, resp. In position P3', substitution of tryptophan (Trp) for Leu leaves the activity unaltered. However, introduction of the Trp fluorophore greatly enhances the sensitivity of the assay due to a 10-fold increase in indole fluorescence for cleavage of any peptide bond between the tryptophan and the dansyl group. Such an energy-transfer-based assay should have widespread use for detection of neutral proteases. The relationship of Astacus protease to a recently sequenced bone morphogenetic protein and to metalloproteinases which share the putative Zn-binding sequence HExxHxxGxxH (x = amino acid) is discussed.

IT 129364-28-9

RL: RCT (Reactant)

(reaction of, with proteinase of Astacus fluviatilis digestive tract, kinetics of, structure relation to)

L13 ANSWER 48 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1990:158955 HCAPLUS

DN 112:158955

TI Peptides containing aminobenzoic acids and their antithrombin activity

AU Podlipskii, V. Ya.; Kostyuchenko, N. V.; Gershkovich, A. A.; Kibirev, V. K.

CS Inst. Bioorg. Khim., Kiev, USSR

SO Dokl. Akad. Nauk Ukr. SSR, Ser. B: Geol., Khim. Biol. Nauki (1989), (8), 46-9

CODEN: DNNADO; ISSN: 0201-8454

DT Journal

LA Russian

AB Arginyl peptides RCONHC6H4CO-Arg-OMe and RCO-Pro-Arg-OMe (R = Ph, Pr) were

prepd. and evaluated as inhibitors of the reaction of thrombin with fibrinogen. The peptides contg. m-aminobenzoic acid (I) show max. retardation, which suggests that proline can be replaced by I in thrombin inhibitors.

IT **126077-78-9**

RL: RCT (Reactant)

(antithrombin activity of)

L13 ANSWER 49 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1988:167952 HCAPLUS

DN 108:167952

TI Synthesis and antimicrobial activity of some new N-coumarin-6-sulfonyl amino acid and dipeptide derivatives

AU El-Naggar, A. M.; Abd El-Salam, A. M.; Ibrahim, T. M.

CS Fac. Sci., Al-Azhar Univ., Cairo, Egypt

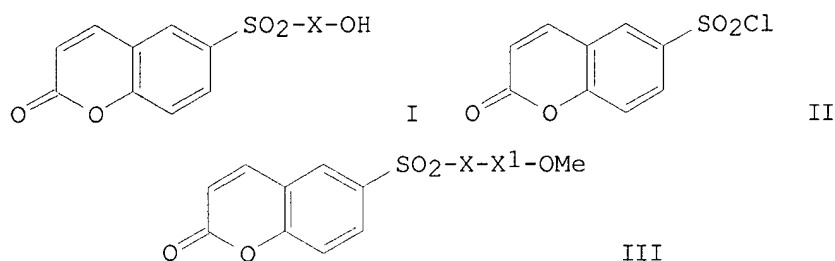
SO Afinidad (1987), 44(411), 431-3

CODEN: AFINAE; ISSN: 0001-9704

DT Journal

LA English

GI



AB Title amino acids I [X = .beta.-Ala, Val, DL-Val, Leu, p-NHC6H4CO (p-Aba), m-NHC6H4CO (m-Aba), Tyr, etc.] were prepd. by sulfonylating the appropriate amino acid with sulfonyl chloride II. I were esterified with MeOH via SOCl2 to give the corresponding Me esters. Dipeptides III (X-X1 = .beta.-Ala-DL-Ser, .beta.-Ala-Leu, Pro-Phe, Phe-Val, etc.) were prepd. by coupling the appropriate I with H-X1-OMe.HCl by DCC in THF contg. Et3N. I (X = .beta.-Ala, p-Aba, m-Aba) and the Me esters of I (X = Leu, Pro) were active against a no. of microorganisms.

IT **113789-71-2P 113789-72-3P 113789-73-4P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antimicrobial activity of)

L13 ANSWER 50 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1988:112931 HCAPLUS

DN 108:112931

TI Synthesis of some 4-methoxycinnamic acid 2-sulfonylamino acid derivatives and their antimicrobial activity

AU El-Naggar, A. M.; Ibrahim, T. M.; El-Gazzar, M. A.; Khalaf, N. S.

CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt

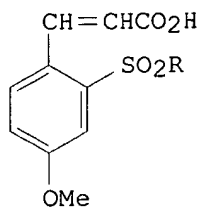
SO J. Serb. Chem. Soc. (1987), 52(1), 17-24

CODEN: JSCSEN

DT Journal

LA English

GI



I

AB The synthesis of 4-methoxycinnamic acid 2-sulfonylamino acids (I; R = amino acid residue) and their Me esters and hydrazides and some 4-methoxy-2-(sulfonyl-dipeptide Me ester)cinnamoyl-amino acid Me ester derivs. are described. Twenty two substituted cinnamic acid-sulfonylamino acid derivs. have specific antimicrobial activities against a no. of microorganisms.

IT 113233-65-1P 113233-66-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)

L13 ANSWER 51 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1987:614089 HCAPLUS

DN 107:214089

TI Chromophoric and fluorophoric peptide substrates cleaved through the dipeptidyl carboxypeptidase activity of cathepsin B

AU Pohl, Jan; Davinic, Silvia; Blaha, Ivo; Strop, Petr; Kostka, Vladimir

CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, CS-16610, Czech.

SO Anal. Biochem. (1987), 165(1), 96-101

CODEN: ANBCA2; ISSN: 0003-2697

DT Journal

LA English

AB The action of bovine spleen cathepsin B as a dipeptidyl carboxypeptidase on newly synthesized substrates of the type peptidyl-X-p-nitrophenylalanyl (Phe(NO₂))-Y (where X,Y = amino acid residue) or 5-dimethylaminonaphthalene-1-sulfonyl (Dns)-peptidyl-X-Phe(NO₂)-Y was investigated. The kinetic parameters of hydrolysis of the X-Phe(NO₂) bond were detd. by difference spectrophotometry (.DELTA..epsilon.₃₁₀ = 1600 M⁻¹ cm⁻¹) or by spectrofluorometry by following the 5-8-fold increase of Dns-group fluorescence (excitation at 350 nm and emission at 535 nm). The substrates were moderately sensitive to cathepsin B; k_{cat} (the catalytic const.) was 0.7-s⁻¹ at pH 5 and 25.degree. and K_m was 6-240 .mu.M. The very acidic optima of pH 4-5 are characteristic for the dipeptidyl carboxypeptidase activity of cathepsin B. Bovine spleen cathepsins S and H had little and no activity, resp., when assayed with Pro-Glu-Ala-Phe(NO₂)-Gly. These peptides should be a valuable tool for routine assays and for mechanistic studies on cathepsin B.

IT 108204-49-5

RL: RCT (Reactant)

(reaction of, with cathepsin B, kinetics and mechanism of)

L13 ANSWER 52 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1987:497096 HCAPLUS

DN 107:97096

TI Synthesis of N.alpha.-(tosylprolylglycyl)- and N.alpha.-(tosylglycylprolyl)-4-amidinophenylalanine amides as inhibitors of thrombin

AU Voigt, B.; Wagner, G.

CS Sekt. Biowiss., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.

SO Pharmazie (1986), 41(6), 378-81

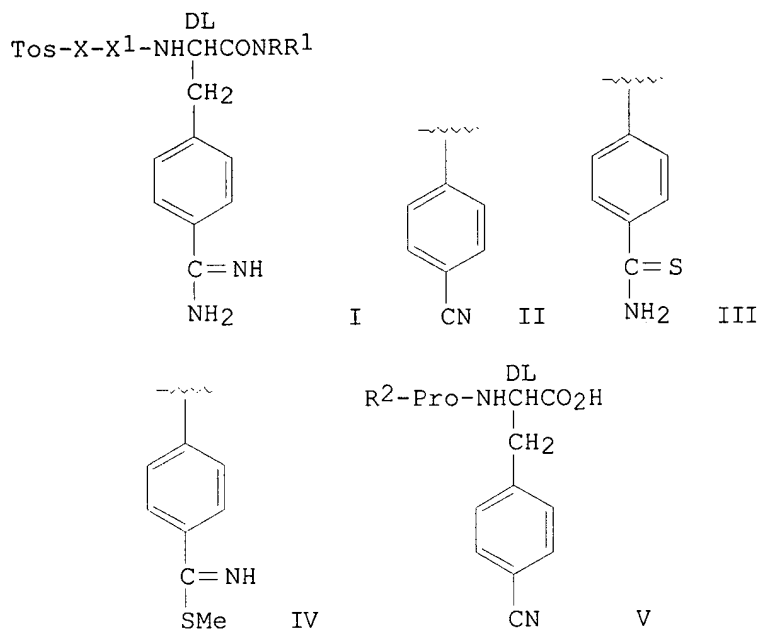
CODEN: PHARAT; ISSN: 0031-7144

DT Journal

LA German

OS CASREACT 107:97096

GI



AB Title compds. I (Tos = tosyl; X-X1 = Pro-Gly, Gly-DL-Pro, Gly-Pro; NRR1 = piperidino, pyrrolidino, morpholino, NHBu) were prepd. from the corresponding cyano compds. II via thioamides III and thioimidic esters IV. Tos-X-X1-OH (X-X1 = Pro-Gly, Gly-DL-Pro) were coupled with 4-NCC6H4CH2CH2CH(NH2)CO2H by active ester or mixed anhydride methods to give the corresponding tripeptides, which were amidated with the appropriate amine to give the corresponding II. Peptide V [R2 = PhCH2O2C (Z)] was Z-deblocked and then coupled with Tos-Gly-Cl to give V (R2 = Tos-Gly), which was amidated to give amides II (X-X1 = Gly-Pro; NRR1 = same). I can be used as thrombin inhibitors.

IT 109947-75-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation of)

IT 109947-74-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling of, with cyanophenylalanine)

IT 109947-83-3P 109947-84-4P 109947-85-5P

109968-74-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with ammonium acetate)

IT 109947-76-4P 109947-77-5P 109947-78-6P

109947-79-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with hydrogen sulfide)

IT 109947-80-0P 109947-81-1P 109947-82-2P

109968-73-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and S-methylation of)

IT 109947-86-6P 109947-87-7P 109947-88-8P

109968-75-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

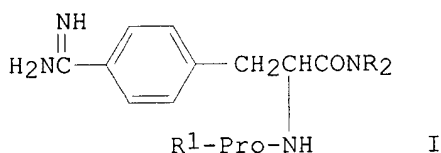
L13 ANSWER 53 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1987:492532 HCAPLUS

DN 107:92532

- TI Synthetic inhibitors of serine proteinases. Part 32: Inhibition of trypsin, plasmin and thrombin by amides of N.alpha.-substituted-4-amidinophenylalanine. Influence of various amino acids and blocking groups of the N.alpha.-residue on the inhibitory activity
- AU Stuerzebecher, J.; Markwardt, F.; Walsmann, P.; Voigt, B.; Wagner, G.
- CS Inst. Pharmakol. Toxikol., Med. Akad., Erfurt, Ger. Dem. Rep.
- SO Pharmazie (1987), 42(2), 114-16
- CODEN: PHARAT; ISSN: 0031-7144
- DT Journal
- LA German
- AB Cyclic amides of N.alpha.-arylsulfonylated 4-amidinophenylalanine are specific, highly potent inhibitors of thrombin. Introduction of amino acids between the arylsulfonyl blocking group and amino N influence particularly the antithrombin activity. By the use of glycine as spacer, the compds. become tight-binding thrombin inhibitors, while introduction of other .omega.-amino acids, Gly-Gly, L-proline, Gly-L-Pro, or L-Pro-Gly, reduces the specificity and potency of thrombin inhibition. Substitution of the arylsulfonyl blocking group for a heteroarylsulfonyl residue or an aryl residue causes a decrease in antithrombin activity, while substitution for a benzoyloxycarbonyl blocking group has only slight influence. Thus, the N.alpha.-moiety is of decisive importance for the antithrombin activity of derivs. of 4-amidinophenylalanine.
- IT 109630-05-9 109630-08-2 109630-10-6
109630-18-4 109630-22-0 109630-27-5
109716-07-6 109716-13-4 109716-17-8
109716-20-3 109716-24-7 109716-29-2
- RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BIOL (Biological study); PROC (Process)
(serine proteinases inhibition by, kinetics of)
- L13 ANSWER 54 OF 85 HCAPLUS COPYRIGHT 1999 ACS
- AN 1987:210288 HCAPLUS
- DN 106:210288
- TI Analysis of N-dansyl peptide methyl esters by means high performance liquid chromatography and mass spectrometry
- AU Reshetova, O. S.; Onoprienko, V. V.; Rozynov, B. V.; Kozmin, Yu. P.
- CS M. M. Shemyakin Inst. Bioorg. Chem., Moscow, USSR
- SO Bioorg. Khim. (1987), 13(3), 320-37
- CODEN: BIKHD7
- DT Journal
- LA Russian
- AB The method proposed for detg. the primary structure of oligopeptides includes partial acid hydrolysis, conversion of the resulting short peptides in Me esters of N-dansyl derivs., and then anal. of the mixts. by the combination of reversed-phase HPLC and mass spectroscopy. The retention times and mass spectral data of amino acids and several peptides and N-dansyl peptide Me esters of products obtained by acid hydrolysis of angiotensin, melittin, etc. are given.
- IT 108353-71-5 108353-88-4 108353-96-4
108375-98-0 108375-99-1
- RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in peptide sequencing, by reversed-phase HPLC and mass spectrometry)
- L13 ANSWER 55 OF 85 HCAPLUS COPYRIGHT 1999 ACS
- AN 1987:191672 HCAPLUS
- DN 106:191672
- TI A study of the peptidyl dipeptidase activity of bovine spleen cathepsin B using synthetic substrates
- AU Pohl, J.; Davinic, S.; Blaha, I.; Strop, P.; Kostka, V.
- CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, CS-166 10, Czech.
- SO Cysteine Proteinases Their Inhib., Proc. Int. Symp., 1st (1986), Meeting Date 1985, 73-8. Editor(s): Turk, Vito. Publisher: de Gruyter, Berlin, Fed. Rep. Ger.
- CODEN: 55LGA3
- DT Conference

LA English
 AB Fundamental kinetic data characterizing the peptidyl dipeptidase action of cathepsin B on chromophoric and fluorophoric synthetic substrates are reported.
 IT 108204-49-5
 RL: RCT (Reactant)
 (reaction of, with peptidyl dipeptidase of cathepsin B of spleen, kinetics of)
 L13 ANSWER 56 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1987:176825 HCAPLUS
 DN 106:176825
 TI Synthesis of N.alpha.-(arylsulfonyl-L-prolyl)- and N.alpha.-(benzyloxycarbonyl-L-prolyl)-D,L-4-amidinophenylalanine amides as inhibitors of thrombin
 AU Voigt, B.; Wagner, G.
 CS Sekt. Biowiss., Karl-Marx-Univ., Leipzig, Ger. Dem. Rep.
 SO Pharmazie (1986), 41(4), 233-5
 CODEN: PHARAT; ISSN: 0031-7144
 DT Journal
 LA German
 OS CASREACT 106:176825
 GI



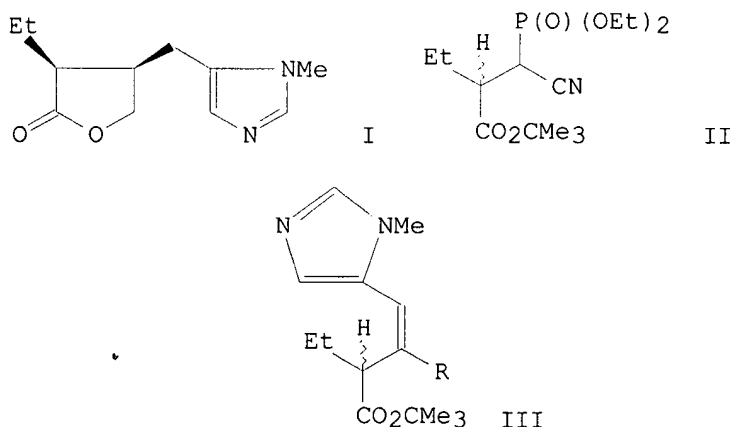
AB Title compds. I (R2N = piperidino, pyrrolidino, morpholino, BuNH; R1 = tosyl, .beta.-naphthylsulfonyl, PhCH2O2C) were prepd. by condensing R1-Pro-OH with 4-cyanophenylalanine, followed by amidation, hydrosulfenylation, S-methylation, and amination. I are potential thrombin inhibitors.
 IT 107994-07-0P 107994-08-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and amidation of)
 IT 107994-24-1P 107994-25-2P 107994-26-3P
 107994-27-4P 107994-28-5P 107994-29-6P
 107994-30-9P 107994-31-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and amination of)
 IT 107994-09-2P 107994-10-5P 107994-11-6P
 107994-12-7P 107994-13-8P 107994-14-9P
 107994-15-0P 108022-40-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, with hydrogen sulfide)
 IT 107994-16-1P 107994-17-2P 107994-18-3P
 107994-19-4P 107994-20-7P 107994-21-8P
 107994-22-9P 107994-23-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and S-methylation of)
 IT 107994-32-1P 107994-33-2P 107994-34-3P
 107994-35-4P 107994-36-5P 107994-37-6P
 107994-38-7P 107994-39-8P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as thrombin inhibitor)

L13 ANSWER 57 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1986:420770 HCAPLUS
 DN 105:20770
 TI A convenient fluorescent assay for vertebrate collagenases
 AU Bond, Michael D.; Auld, David S.; Lobb, Roy R.
 CS Harvard Med. Sch., Brigham Women's Hosp., Boston, MA, 02115, USA
 SO Anal. Biochem. (1986), 155(2), 315-21
 CODEN: ANBCA2; ISSN: 0003-2697
 DT Journal
 LA English
 AB A versatile, convenient assay for vertebrate collagenases has been developed using the fluorescent peptide substrate dansyl-Pro-Gln-Gly-Ile-Ala-Gly-D-Arg. This sequence resembles that of collagen at the site of cleavage but includes modifications designed to eliminate nonspecific hydrolysis by contaminating peptidases. Both human skin fibroblast and bovine corneal cell collagenases cleave the substrate specifically at the Gly-Ile bond. Plasmin, thrombin, trypsin, .alpha.-chymotrypsin, carboxypeptidase B, and bacterial collagenase do not cleave the substrate. Elastase and angiotensin-converting enzyme display 20- and 400-fold less activity than the vertebrate collagenases, resp., and cleave the peptide at different positions. The assay is performed by incubating a 5-25-.mu.L aliquot of trypsin-activated sample with an equal vol. of 2 mM substrate overnight at 33.degree. and pH 7.5. TLC then separates the fluorescent product from the substrate in <20 min and allows the detection of subnanogram levels of collagenase. The assay is applicable to the screening of large nos. of samples under different conditions of pH and ionic strength and is readily adaptable for use in a variety of collagenase-dependent systems, such as assays for collagenase-activating and(or) -inducing factors.

IT 102839-04-3
 RL: BIOL (Biological study)
 (collagenase of human and lab. animal fluorimetric detn. with)

L13 ANSWER 58 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1986:406667 HCAPLUS
 DN 105:6667
 TI Chirospecific synthesis of (+)-pilocarpine
 AU Compagnone, Reinaldo S.; Rapoport, Henry
 CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
 SO J. Org. Chem. (1986), 51(10), 1713-19
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 OS CASREACT 105:6667; CJACS
 GI



AB An efficient chirospecific synthesis for (+)-pilocarpine (I) used D-methionine or D-2-aminobutanol as chiral educts. Formation of the C3-C4

carbon bond at an early stage gave the key intermediate [cyano((1-tert-butoxycarbonyl)propyl)methyl]phosphonate II, and Wittig coupling of this phosphonate with 1-methyl-5-imiazolecarboxaldehyde introduced the imidazole moiety of the pilocarpine skeleton. Selective redn. of the .alpha.,.beta.-unsatd. nitrile III (R = cyano) to the allylic alc. III (R = HOCH₂), stereocontrolled hydrogenation of the olefin, and epimerization of (+)-isopilocarpine to (+)-pilocarpine via kinetic protonation led to the natural alkaloid. This methodol. allows chirospecific syntheses of the 4 possible stereoisomers of pilocarpine. A short and convenient route to (.+-.)-pilocarpine based on II is also described.

IT 102152-52-3P 102152-53-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and chromatog. of)

L13 ANSWER 59 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1985:505304 HCAPLUS

DN 103:105304

TI Synthesis and biological activity of some new dibenzofuran- and 7-nitrodibenzofuran-2-sulfonyl amino acid derivatives

AU El-Naggar, A. M; Abd El-Salam, A. M; Ahmed, F. S. M.; Ibrahim, T. M.

CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt

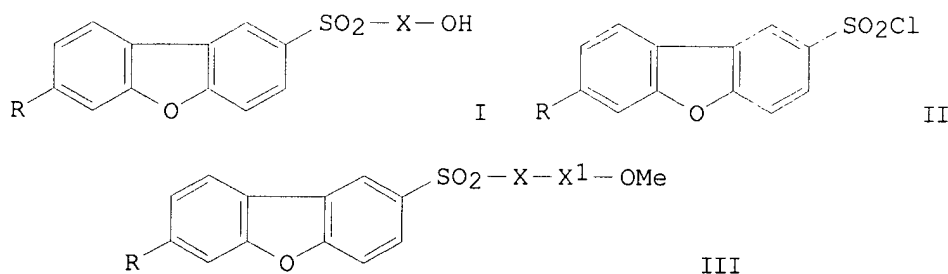
SO Acta Pharm. Jugosl. (1985), 35(1), 15-22

CODEN: APJUA8; ISSN: 0001-6667

DT Journal

LA English

GI



AB Title amino acid derivs. I (X = .beta.-Ala, Val, Leu, p-NHC₆H₄CO, Phe, etc.; R = H or NO₂) were prepd. by sulfonylating the corresponding amino acid with sulfonyl chlorides II (R = H or NO₂). I were esterified with MeOH via SOCl₂ to give the corresponding Me esters. Also, I were coupled with amino acid Me ester hydrochlorides by DCC in THF contg. Et₃N to give the corresponding dipeptides, e.g. III (X-X₁ = DL-Val-DL-Val, Pro-Phe, R = H; X-X₁ = Pro-DL-Ser, Leu-Tyr, R = NO₂). Nineteen synthesized compds., e.g. I (X = Leu, R = H; X = .beta.-Ala, R = NO₂) and III (X-X₁ = Tyr-Phe, R = NO₂), were active against various microorganisms, e.g. Bacillus subtilis or B. cereus.

IT 98044-92-9P 98044-93-0P 98044-94-1P

98045-38-6P 98045-39-7P 98045-40-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 60 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1985:185498 HCAPLUS

DN 102:185498

TI Peptide analogs and their use in enzyme inhibition

IN Szelke, Michael; Jones, David Michael

PA UK

SO Eur. Pat. Appl., 49 pp.

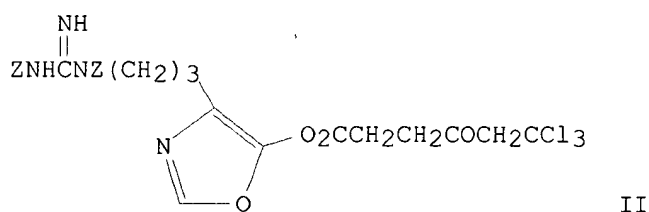
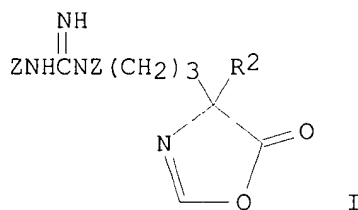
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 118280 | A1 | 19840912 | EP 84-301297 | 19840228 |
| | EP 118280 | B1 | 19890712 | | |
| | R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| | WO 8403507 | A1 | 19840913 | WO 84-GB63 | 19840228 |
| | W: AU, DK, FI, JP, NO, US | | | | |
| | AU 8426516 | A1 | 19840928 | AU 84-26516 | 19840228 |
| | AU 596783 | B2 | 19900517 | | |
| | JP 60500870 | T2 | 19850606 | JP 84-501509 | 19840228 |
| | AT 44533 | E | 19890715 | AT 84-301297 | 19840228 |
| | CA 1322078 | A1 | 19930907 | CA 84-448562 | 19840229 |
| | ES 530262 | A1 | 19851201 | ES 84-530262 | 19840302 |
| | FI 88398 | B | 19930129 | FI 84-4230 | 19841029 |
| | FI 88398 | C | 19930510 | | |
| | US 4638047 | A | 19870120 | US 84-668277 | 19841031 |
| | DK 8405202 | A | 19841101 | DK 84-5202 | 19841101 |
| | NO 8404395 | A | 19841105 | NO 84-4395 | 19841105 |
| | NO 167809 | B | 19910902 | | |
| | NO 167809 | C | 19911218 | | |
| | US 4772686 | A | 19880920 | US 87-1851 | 19870109 |
| PRAI | GB 83-5985 | | 19830304 | | |
| | EP 84-301297 | | 19840228 | | |
| | WO 84-GB63 | | 19840228 | | |
| GI | | | | | |



AB Fibrinogen sequence 14-20 analogs R-X-X1-X2-Pro-Arg-X3-R1 [R, R1 = terminal groups optionally including further amino acid residues; X = Gly, Phe, or other lipophilic amino acid residues; X1 = Gly, MeAla, Val, Pro, or ring homolog of Pro; X2 = hydroxy-reduced or oxo dipeptide residue in which the 1st residue is Arg or has an amidino side chain and the 2nd residue is Gly, Ala, or related residue with a hydrocarbon side chain optionally terminated by OH; X3 = Val, Pro, NH(CH2)nCO (n = 0-5)] were prepd. as antithrombotics due to their ability to inhibit thrombin. Thus, H-Arg(Z2)-OH (Z = CO2CH2Ph) was cyclized by DPECI.HCl (N-dimethylaminopropyl-N'-ethylcarbodiimide hydrochloride) in THF contg. Et3N to give oxazoline I (R2 = H), which was acylated with ClCOCH2CH2COCH2CCl3 to give oxazole II, which underwent rearrangement to I (R2 = COCH2CH2CO2CH2CCl3), which was cleaved by pyridine/HOAc and then deesterified by Zn/Na2H2PO4 in THF to give HCO-DL-Arg(Z2)-Gly-OH (III). Boc-Pro-Arg(Z2)-Val-NHET (Boc = Me3CO2C) was Boc-deblocked and then coupled with III via the pentafluorophenyl (Pfp) active ester to give HCO-Arg(Z2)-Gly-Pro-Arg(Z2)-Val-NHET, which was deformylated and then coupled with Boc-D-Phe-Pro-OPfp to give Boc-D-Phe-Pro-X4-Gly-Pro-Arg(Z2)-

Val-NHEt [IV; X4 = DL-Arg(Z2)], which were sepd. into IV [X4 = D-Arg(Z2)] and IV [X4 = L-Arg(Z2)] (V). V was Z-deblocked by hydrogenolysis to give R3-D-Phe-Pro-Arg-Gly-Pro-Arg-Val-NHEt (VI, R3 = Boc), which was Boc-deblocked by 2N HCl to give VI (R3 = H) (VII). The K_i of VII for human thrombin was 3 .mu.M.

IT 95198-96-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 61 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1984:419506 HCAPLUS

DN 101:19506

TI Purification and characterization of a membrane-bound enkephalin-forming carboxypeptidase, "enkephalin convertase"

AU Supattapone, Surachai; Fricker, Lloyd D.; Snyder, Solomon H.

CS Sch. Med., Johns Hopkins Univ., Baltimore, MD, USA

SO J. Neurochem. (1984), 42(4), 1017-23

CODEN: JONRA9; ISSN: 0022-3042

DT Journal

LA English

AB Enkephalin convertase, the enkephalin-synthesizing carboxypeptidase B-like enzyme, was purified to apparent homogeneity from bovine pituitary and adrenal chromaffin granule membranes. The membrane-bound enkephalin convertase can be solubilized in high yield with 0.5% Triton X-100 in the presence of 1M NaCl. Extensive purifn. is achieved by affinity chromatog. with p-aminobenzoyl-L-arginine linked to Sepharose 6B. Enzyme purified from both pituitary and adrenal chromaffin granule membranes shows a single band by SDS-polyacrylamide gel electrophoresis with an apparent mol. wt. of 52,500, whereas enkephalin convertase purified from sol. exts. of these tissues has an apparent mol. wt. of 50,000. The regional distribution of the membrane-bound enzyme in the rat brain differs from that of the sol. enzyme. Whereas the sol. enzyme shows 10-fold variations, resembling somewhat the enkephalin peptides, membrane-bound enkephalin convertase is more homogeneously distributed throughout the brain. In rat pituitary glands, membrane-bound enzyme activity is similar in the anterior and posterior lobes, whereas the sol. enzyme is enriched in the anterior lobe. Membrane-bound and sol. forms of enkephalin convertase isolated from either bovine pituitary glands or adrenal chromaffin granules show identical substrate and inhibitor specificities. As with the sol. enzyme, membrane-bound enkephalin convertase hydrolyzes 5-methionine- and 5-leucine-enkephalin-Arg6 and -Lys6 to enkephalin, with no further degrading of the pentapeptide.

IT 87687-43-2

RL: RCT (Reactant)

(reaction of, with enkephalin convertase of pituitary, kinetics of)

L13 ANSWER 62 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1984:86129 HCAPLUS

DN 100:86129

TI Vasopressin analogs

IN Brtnik, Frantisek; Barth, Tomislav; Hrbas, Pavel; Jost, Karel; Krejci,

Ivan; Kupkova, Bela; Machva, Alena; Servitova, Linda; Skopkova, Jana

PA Ceskoslovenska Akademie Ved, Czech.

SO Belg., 13 pp.

CODEN: BEXXAL

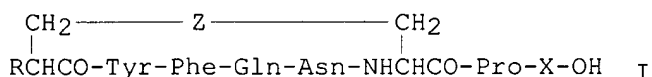
DT Patent

LA French

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| PI | BE 896504 | A1 | 19830816 | BE 83-210584 | 19830419 |
| | CS 230315 | B | 19840813 | CS 82-2803 | 19820420 |
| | CS 231749 | B1 | 19841214 | CS 82-8301 | 19821119 |
| | DK 8301538 | A | 19831021 | DK 83-1538 | 19830407 |
| | GB 2121049 | A1 | 19831214 | GB 83-9736 | 19830411 |
| | GB 2121049 | B2 | 19850417 | | |

| | | | | |
|-----------------|----|----------|---------------|----------|
| SE 8302075 | A | 19831021 | SE 83-2075 | 19830414 |
| SE 460050 | B | 19890904 | | |
| SE 460050 | C | 19900118 | | |
| NL 8301320 | A | 19831116 | NL 83-1320 | 19830415 |
| FR 2525215 | A1 | 19831021 | FR 83-6357 | 19830419 |
| FR 2525215 | B1 | 19860228 | | |
| JP 58222059 | A2 | 19831223 | JP 83-67891 | 19830419 |
| JP 01021160 | B4 | 19890419 | | |
| CH 653345 | A | 19851231 | CH 83-2098 | 19830419 |
| DE 3314357 | A1 | 19831027 | DE 83-3314357 | 19830420 |
| US 4482486 | A | 19841113 | US 83-486863 | 19830420 |
| JP 01085999 | A2 | 19890330 | JP 88-145941 | 19880615 |
| PRAI CS 82-2803 | | 19820420 | | |
| GI CS 82-8301 | | 19821119 | | |



AB Vasopressin analogs I [R = H, X = D-Arg, Z = CH₂S (II); R = NH₂, X = D-Arg, L-Orn, Z = S₂] were prepd. Thus, treatment of Nps-Pro-OC₆H₂Cl₃-2,4,5 (Nps = o-O₂NC₆H₄S) with H-Arg(Tos)-OCH₂Ph (Tos = tosyl) in DMF gave Nps-Pro-Arg(Tos)-OCH₂Ph. The latter was Nps-deblocked and then coupled with 1-desamino-1-carbapressinoic acid by DCC/N-hydroxybenzotriazole in DMF to give the protected peptide, which was deblocked by acidolysis to give II. The products are biolog. less active (.apprx.2-3 times) than arginine-vasopressin.

IT **88865-02-5**

RL: RCT (Reactant)

(partial deblocking-peptide coupling reaction of)

L13 ANSWER 63 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1983:590344 HCAPLUS

DN 99:190344

TI Purification and characterization of enkephalin convertase, an enkephalin-synthesizing carboxypeptidase

AU Fricker, Lloyd D.; Snyder, Solomon H.

CS Sch. Med., Johns Hopkins Univ., Baltimore, MD, 21205, USA

SO J. Biol. Chem. (1983), 258(18), 10950-5

CODEN: JBCHA3; ISSN: 0021-9258

DT Journal

LA English

AB Enkephalin convertase (I), an enkephalin-synthesizing carboxypeptidase present in adrenal medulla chromaffin granules, was also detected in brain and pituitary. To det. whether these 3 carboxypeptidase activities represent the same enzyme, I was purified and characterized from adrenal medulla, whole brain, and whole pituitary. I from all 3 tissues copurified on DEAE-cellulose, gel filtration, concanavalin A, and L-arginine affinity columns, resulting in a 135,000-fold, 110,000-fold, and 2800-fold purifn. for bovine adrenal medulla, brain, and pituitary I, resp. Purified I appeared homogeneous on SDS-polyacrylamide gel electrophoresis, showing a single band with an apparent mol. wt. of 50,000 for enzyme isolated from all 3 tissues. Adrenal, brain, and pituitary I were similarly inhibited by hexapeptide enkephalin precursors and active site-directed inhibitors. Both [Met]- and [Leu]enkephalin-Arg₆ inhibited I with K_i values between 50 and 80 .mu.M, whereas [Met]- and [Leu]enkephalin-Lys₆ were 3-fold less potent. Two active site-directed inhibitors, guanidinopropylsuccinic acid and guanidinoethylmercaptosuccinic acid, were potent inhibitors of all 3 enzymes with K_i values of 8-9 nM. A series of dansylated di-, tri-, and tetrapeptide substrates were hydrolyzed by I with similar kinetic properties (K_m, V_{max}, and k_{cat}/K_m) for the 3 enzymes. Thus, I activity represents the same enzyme in adrenal

medulla, brain, and pituitary. I may be involved in the prodn. of other peptide neurotransmitters and hormones besides enkephalin.

IT 87687-43-2

RL: RCT (Reactant)

(reaction of, with enkephalin convertase, kinetics of)

L13 ANSWER 64 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1983:576262 HCAPLUS

DN 99:176262

TI Synthesis and biological activity of some new quinoline-8-sulfonylamino acid and dipeptide derivatives

AU El-Naggar, A. M.; Abd El-Salam, A. M.; Ahmed, F. S. M.; Latif, M. S.; El-Cady, F. E.

CS Fac. Sci., Al-Azhar Univ., Nasr-City, Egypt

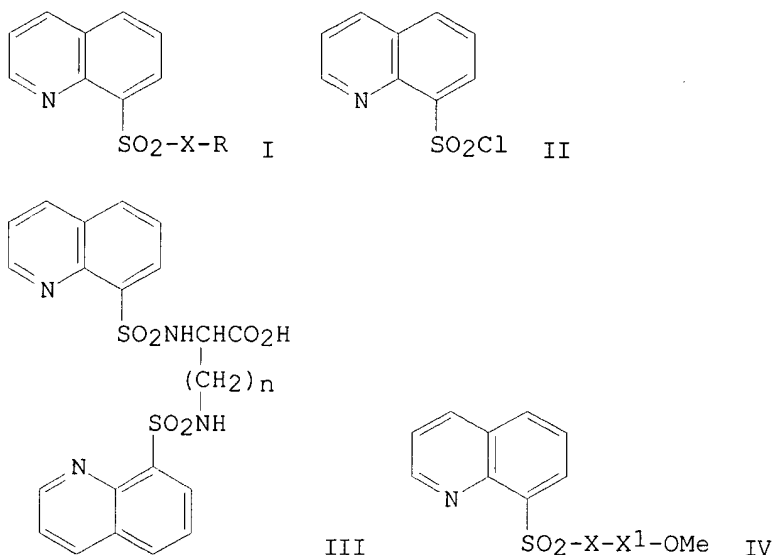
SO Acta Pharm. Jugosl. (1983), 33(2), 103-10

CODEN: APJUA8; ISSN: 0001-6667

DT Journal

LA English

GI



AB Title amino acids I (X = Val, DL-Val, Leu, Phe, DL-Phe, Pro, Tyr, Trp, Thr, Met; R = OH) were prepd. in 54-95% yields by treating sulfonyl chloride II with amino acids. Ornithine and lysine derivs. III (n = 3, 4) were also prepd. Dipeptides IV (X = Val, X1 = Ala, Ser, Phe; X = DL-Val, X1 = Leu; X = Phe, X1 = Val, Phe, Tyr; X = Pro, X1 = Ser, Leu, DL-Leu, Phe, Tyr) were prepd. in 53-87% yields by coupling amino acids I (R = OH) with H-X1-OMe.HCl by DCC in DMF/dioxane contg. Et3N. IV were converted into the corresponding hydrazides. Amino acid Me esters I (X = Val, Ser, Phe, Tyr; R = OMe) were prepd. in 68-92% yields by treating II with H-X-OMe.HCl. I (X = Val, Ser; R = NHNH2) were prepd. by hydrazinolysis of the corresponding Me esters. I (X = Val; R = OH, OMe, NHNH2) exhibited antifungal activity against *Penicillium chrysogenum*, but they were inactive against several bacteria (e.g., *Bacillus subtilis*). The other compds. were inactive against the tested microorganisms.

IT 87650-82-6P 87650-83-7P 87650-84-8P

87650-85-9P 87650-86-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrazinolysis of)

IT 87650-93-9P 87650-94-0P 87650-95-1P

87650-96-2P 87650-97-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

L13 ANSWER 65 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1983:89932 HCAPLUS
 DN 98:89932
 TI Tripeptide derivatives
 PA Mitsubishi Chemical Industries Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 7 pp.
 CODEN: JKXXAF

DT Patent
 LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------|----------|-----------------|----------|
| PI | JP 57145846 | A2 | 19820909 | JP 81-175909 | 19811102 |
| | JP 58030300 | B4 | 19830628 | | |

AB Tripeptides R-Pro-X-Gly-Pyrr (I; R, X = Bz, Leu; Bz, Phe; Bz, Glu; Bz, Met; Bz, Tyr; Bz, Cys; dansyl, Leu; Pyrr = 1-pyrrolidiny) were prepd., e.g., by condensation of R-Pro-OH (II) with H-X(OCH₂Ph)-Gly-Pyrr.HCl (III) in the presence of DCC followed by hydrogenation in the presence of Pd. I had collagen synthesis inhibitory activity. Thus, 0.01 mol Me₃CO₂C-Glu(OCH₂Ph)-Gly-Pyrr was treated with EtOAc contg. 10% aq. HCl 2 h to give III (X = Glu), which was condensed with 0.01 mol II (R = Bz) in CH₂Cl₂ in the presence of 2.3 g DCC 1 h at 0-5.degree. to give 83% Bz-Pro-Glu(OCH₂Ph)-Gly-Pyrr (IV). Hydrogenation of IV in MeOH in the presence of Pd black gave I (R = Bz, X = Glu) quant.

IT **59191-26-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

L13 ANSWER 66 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1982:424249 HCAPLUS

DN 97:24249

TI Chromogenic enzyme substrate

IN Voelter, Wolfgang; Echner, Hartmut; Philapitsch, Anton

PA Immuno A.-G. fuer Chemisch-Medizinische Produkte, Austria

SO Ger. Offen., 70 pp.

CODEN: GWXXBX

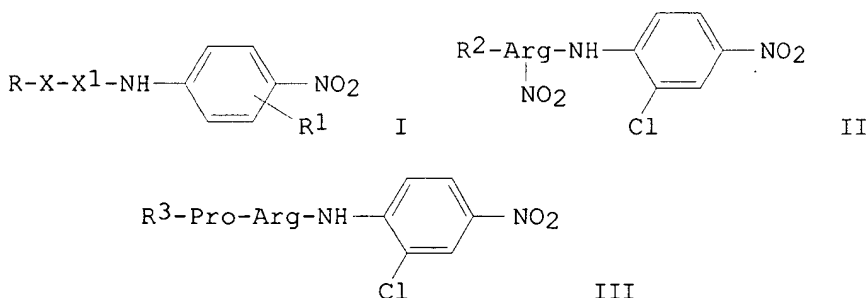
DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------|------|----------|-----------------|----------|
| PI | DE 3108322 | A1 | 19811224 | DE 81-3108322 | 19810305 |
| PRAI | AT 80-1468 | | 19800318 | | |

GI



AB Peptide nitroanilides I [R = H, alkyl, PhSO₂, p-MeOC₆H₄SO₂ (Mbs), acyl; R₁ = halo; X = amino acid or peptide residue; X₁ = Arg, D-Arg, Lys, D-Lys, Orn, D-Orn] were prepd. as chromogenic substrates for the detn. of proteolytic enzymes. Thus, Z-Arg(NO₂)-OH (Z = PhCH₂O₂C) was treated with o-chloro-p-nitrophenyl isocyanate in HMPT contg. Et₃N to give 82.02%

nitroanilide II (R2 = Z), which was Z-deblocked by HBr/HOAc to give 80.8% II.HBr (R2 = H), which was coupled with Me3CO2C-Pro-OH by DCC/hydrobenzotriazole in DMF contg. N-methylmorpholine to give 51.56% II (R2 = Me3CO2C-Pro). The latter was deblocked by HF/anisole to give peptide nitroanilide III (R3 = H), which was coupled with Mbs-.beta.-Ala-OH by DCC/N-hydroxysuccinimide in DMF contg. N-methylmorpholine to give 78.45% III (R3 = Mbs-.beta.-Ala) (IV). IV was used as a chromogenic substrate for thrombin, trypsin, Factor Xa, plasmin, and kallikrein.

IT **81242-86-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 67 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1982:187106 HCAPLUS

DN 96:187106

TI Cosmetics containing peptides

PA Kanebo Cosmetics, Inc., Japan; Mitsubishi Chemical Industries Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 57002213 | A2 | 19820107 | JP 80-76267 | 19800605 |

PI JP 57002213 A2 19820107 JP 80-76267 19800605

AB Cosmetics, which consist of a mixt. of A-(Pro)n-Phe-B-Pro-C (A = H, benzoyl, acetyl, formyl, tolyl, etc.; Pro = L-prolyl; Phe = L-phenylalanyl; B = L-prolyl or glycyl; C = OH or org. group residue reactive to carboxyl groups; n = 1 or 2) and bases, are nonirritating, stable, compatible to skin, etc. Thus, a lotion was prepd. contg. EtOH 10.0, Bz-Pro-Phe-(Pro)2OH (Bz = benzoyl) [81456-52-2], Bu p-hydroxybenzoate 0.1, perfumes 0.1, glycerol 2.0, propylene glycol 2.0, Me p-hydroxybenzoate 0.1, and distd. H2O 85.68 parts.

IT **81456-54-4**

RL: BIOL (Biological study)
(cosmetics contg.)

L13 ANSWER 68 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1982:163183 HCAPLUS

DN 96:163183

TI Recognition and utilization of dansyl-dipeptides in manual dansyl-Edman sequencing

AU Simanis, Viesturs; Barker, David G.; Bruton, Chris J.

CS Dep. Biochem., Imp. Coll., London, UK

SO Int. J. Pept. Protein Res. (1982), 19(1), 67-70

CODEN: IJPPC3; ISSN: 0367-8377

DT Journal

LA English

AB The chromatog. behavior of the dansyl dipeptides likely to be encountered in manual dansyl-Edman sequencing is presented. The dansyl dipeptides were obtained in exptl. sequencing and/or by chem. synthesis. The advantages of using short hydrolysis times and deliberately generating these dipeptides are discussed.

IT **25841-36-5P 74260-42-7P 81377-32-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and chromatog. behavior of)

L13 ANSWER 69 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1982:40888 HCAPLUS

DN 96:40888

TI Oligopeptides as cosmetic bases

PA Kanebo Cosmetics, Inc., Japan; Mitsubishi Chemical Industries Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| PI | JP 56115707 | A2 | 19810911 | JP 80-18155 | 19800215 |
| AB | Tri- or tetrapeptides represented by A-Pro-B-C-D-E where A = H, benzoyl, 1-adamantanecarbonyl, etc.; Pro = L-proline; B = L-leucine, L-phenylalanine, L-methionine, etc.; C = glycine, sarcosine, or proline; D = L-proline or pyrrolidine; and E = OH, alkoxy, amino, etc., are used as cosmetic bases, since these peptides are stable and prevent aging effects on the skin. Thus, a mixt. of EtOH 10, Bz-Pro-Leu-Sar-Pro-OH [80238-40-0] 0.02, Bu p-hydroxybenzoate 0.1, and a perfume 0.1 parts was added to another mixt. consisting of glycerin 2, propylene glycol 2, Me p-hydroxybenzoate 0.1, and water 85.68 parts. The efficacy of this skin lotion was compared with that of com. products. | | | | |

IT 59191-18-3

RL: BIOL (Biological study)
(skin lotion contg.)

L13 ANSWER 70 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1980:563452 HCAPLUS

DN 93:163452

TI Active site mapping of human and rat urinary kallikreins by peptidyl chloromethyl ketones

AU Kettner, Charles; Mirabelli, Christopher; Pierce, Jack V.; Shaw, Elliott

CS Biol. Dep., Brookhaven Natl. Lab., Upton, NY, 11973, USA

SO Arch. Biochem. Biophys. (1980), 202(2), 420-30

CODEN: ABBIA4; ISSN: 0003-9861

DT Journal

LA English

AB The reactivity of human and rat urinary kallikrein was detd. with peptides of arginine and lysine chloromethyl ketone. Pro-Phe-ArgCH₂Cl, the reagent corresponding to the sequence of kininogen hydrolyzed by kallikrein, was considerably more effective than reagents contg. other substituents in the P1 and P2 positions (the arginine and phenylalanine binding sites, resp.). Pro-Phe-ArgCH₂Cl inactivates the human enzyme at the 10⁻⁵M level (K_i 45 .mu.M, k₂ 0.36 min⁻¹) and the rat enzyme at the 10⁻⁶M level (K_i 4.8 .mu.M, k₂ 0.26 min⁻¹). More effective reagents were obtained by substitution of D-phenylalanine for the P3 proline and addn. of a dansyl residue in the P4 position, yielding reagents effective at the 10⁻⁷M level for both kallikreins. Expansion of the sequence of kininogen to accommodate the P4 and P5 binding sites of kallikrein resulted in a reagent, Phe-Ser-Pro-Phe-ArgCH₂Cl, which is .apprx.6-fold more reactive than the corresponding tripeptide analog for human kallikrein, whereas for rat kallikrein, the tri- and pentapeptide analogs are comparable in reactivity. The importance of arginine in the P1 position and phenylalanine in the P2 positions in the sequence of kallikrein's physiol. substrate in detg. specificity was shown by comparison of the reactivities of the proteases with Ala-Phe-ArgCH₂Cl and Ala-Phe-LysCH₂Cl and with Pro-Phe-ArgCH₂Cl and Pro-Gly-ArgCH₂Cl. Substitution of lysine for the P1 arginine and substitution of glycine for the P2 phenylalanine decreased the reactivity of the reagent 10- and 150-fold, resp., for human kallikrein and 200- and 250-fold, resp., for rat kallikrein. Substitution of L-amino acid residues for the P3 proline had little effect on the reactivity of human kallikrein with the affinity labels and decreased the reactivity of the rat enzyme with the affinity labels from 3- to 6-fold.

IT 71259-32-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn of and kallikrein of urine inactivation by, structure in relation to)

IT 74431-05-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 71 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1980:464476 HCAPLUS

DN 93:64476
TI Interaction of dansylated peptidyl chloromethanes with trypsin, chymotrypsin, elastase, and thrombin
AU Penny, Glenn S.; Dyckes, Douglas F.
CS Dep. Chem., Univ. Houston, Houston, TX, 77004, USA
SO Biochemistry (1980), 19(13), 2888-94
CODEN: BICHAW; ISSN: 0006-2960
DT Journal
LA English
AB A series of N.alpha.-1-(dimethylamino)-5-naphthalenesulfonyl (dansyl) derivs. of peptidyl chloromethanes (chloromethyl ketones) were synthesized and employed to introduce the fluorescent dansyl moiety specifically into the active sites of proteinases via affinity labeling. Dansylalanyllysylchloromethane (DALCM) was utilized to inactivate and fluorescently label trypsin and the trypsin-like enzyme, thrombin. Dansylleucylphenylalanylchloromethane (DLPCM) was synthesized and selectively employed as an inhibitor of chymotrypsin. The di-, tri-, and tetrapeptides [dansylprolylalanylchloromethane (DPACM), dansylalanylprolylalanylchloromethane (DAPACM), and dansylprolylalanylprolylalanylchloromethane (DPAPACM)] were synthesized and their interaction with elastase was evaluated. The compds. DALCM, DLPCM, and DAPACM all proved to be effective, fast-acting proteinase inhibitors. Studies of energy transfer in the enzyme-inhibitor conjugates led to results entirely consistent with the proposed conformational homol. of thrombin with the other serine proteinases studied. The fluorescent affinity labels are believed to possess enormous potential for the localization, isolation, and characterization of enzymes.

IT 73634-64-7 73634-66-9
RL: BIOL (Biological study)
(elastase inhibition by)

L13 ANSWER 72 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1980:464285 HCAPLUS
DN 93:64285
TI Determination of coagulase of Staphylococcus by the end-point method using a chromogenic synthetic substrate
AU Igarashi, Hideo; Takahashi, Masashige; Morita, Takashi; Iwanaga, Sadaaki
CS Doeiken, Japan
SO Nippon Saikingaku Zasshi (1980), 35(1), 300
CODEN: NSKZAM; ISSN: 0021-4930
DT Journal
LA Japanese
AB Tosyl-Pro-Gly-Arg-p-nitroanilide (I) was used as a chromogenic substrate for the detn. of coagulase (II) activity; the enzyme activity was detd. by measuring the p-nitroaniline released at 405 nm. Thus, 50 .mu.L samples (5-50 .mu.g II/mL) was incubated with a mixt. consisting of 700 .mu.L Tris-HCl buffer (0.15M, pH 8.4), 400 .mu.L I (10 times the Km value concn.), and 50 .mu.L human prothrombin (100 .mu.g/mL) in the cold (0.degree.) for 25 min, and the absorbance was measured at 405 nm. A pos. correlation was obsd. between the 405-nm absorbance and the II concn. in the range 5-30 .mu.g/mL. For samples contg. <1 .mu.g II/mL, the system was modified by incubating at 37.degree., mixing with 100 .mu.L each of 0.1% NaNO2, 0.5% ammonium sulfamic acid, and 0.1% N-(1-naphthyl)ethylenediamine-diHCl; the diazo deriv. of p-nitroaniline formed was measured at 545 nm. The modified method was sensitive and accurate in the range 0.125-1 .mu.g II/mL.

IT 74474-86-5
RL: BIOL (Biological study)
(as chromogenic substrate for staphylocoagulase detn.)

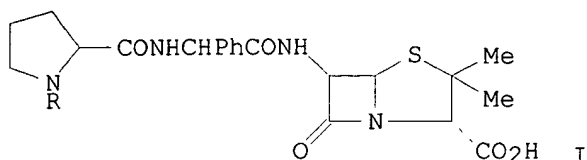
L13 ANSWER 73 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1980:440671 HCAPLUS
DN 93:40671
TI Separation of alkylaminonaphthylsulfonyle peptides and amino acids by high-performance liquid chromatography. Methods for measuring melanotropin inhibiting factor breakdown

AU Hui, Koon-Sea; Salschutz, Michael; Davis, Bruce A.; Lajtha, Abel
CS Cent. Neurochem., Rockland Res. Inst., Ward's Island, NY, 10035, USA
SO J. Chromatogr. (1980), 192(2), 341-50
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
AB N,N-Di-Me, di-Et, di-Pr, di-Bu (Bns), and N-monoisopropylaminonaphthylenesulfonyl derivs. of melanotropin inhibiting factor (MIF) and its metabolites were prepd., and their chromatog. behavior was investigated with thin-layer chromatog. (TLC) and high-performance liq. chromatog. (HPLC), using 5 solvent systems on polyamide layers and 10 solvent systems on .mu.Bondapak C18 and .mu.Bondapak Ph columns. A mixt. of MIF and its metabolites derivatized with dansyl chloride was adequately resolved by 2-dimensional chromatog. on polyamide layer with solvent systems, HCO2H-H2O (3:97) and C6H6-HOAc (9:1). Bns-MIF and its metabolites were sepd. with .mu.Bondapak C18 column with the solvent system MeCN-0.01M Na2SO4 buffer, pH 7 (50:50). They were sepd. into 5 groups: Gly and Bns acid; Pro-Leu, Leu-Gly and Leu; Pro; Gly-NH2; and MIF. The alkylaminonaphthylenesulfonyl derivs. had strong fluorescence, which permitted their detection at 10⁻¹¹-10⁻⁹ mol. Dansyl-MIF and its derivs. had the lowest detectable amts. HPLC with the aid of the dansyl derivatization is reliable and fast, and is the preferable method for study of neuropeptide breakdown.
IT 74260-41-6 74260-42-7 74260-45-0
74260-46-1 74260-53-0 74260-60-9
74260-61-0 74260-67-6 74260-68-7
74260-75-6
RL: ANT (Analyte); ANST (Analytical study)
(chromatog. of)

L13 ANSWER 74 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1979:519375 HCAPLUS
DN 91:119375
TI Inactivation of the plasminogen activator from HeLa cells by peptides of arginine chloromethyl ketone
AU Coleman, Patrick; Kettner, Charles; Shaw, Elliott
CS Biol. Dep., Brookhaven Natl. Lab., Upton, NY, 11973, USA
SO Biochim. Biophys. Acta (1979), 569(1), 41-51
CODEN: BBACAQ; ISSN: 0006-3002
DT Journal
LA English
AB The binding specificities of human urinary urokinase and HeLa cell plasminogen activator were studied using peptidyl chloromethyl ketone inhibitors. A 125I-labeled fibrin assay was developed to yield kinetic information. Reagents of the sequence X-Gly-ArgCH2Cl were the most effective. The susceptibility of the HeLa cell plasminogen activator differed from that of urokinase in several respects, indicating the utility of this type of inhibitor in distinguishing between proteases of this specificity.
IT 71259-32-0
RL: BIOL (Biological study)
(plasminogen activator and urokinase inactivation by)

L13 ANSWER 75 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1978:529505 HCAPLUS
DN 89:129505
TI Penicillin derivatives
IN Morita, Yoshimi; Komata, Kenzo; Oya, Junichi; Wagatsuma, Kazuo; Shirasaka, Tadashi
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Japan. Kokai, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

| | | | | | |
|----|-------------|----|----------|--------------|----------|
| PI | JP 53056687 | A2 | 19780523 | JP 76-131491 | 19761101 |
| GI | | | | | |



AB K salts of penicillin derivs. I [R = H₂NCO (D-prolyl), H₂NCO (DL-prolyl), 4-MeC₆H₄SO₂ (DL-prolyl), Ac (DL-prolyl)] were prepd. by reaction of the corresponding prolines or their reactive derivs. with ampicillin. I had antibacterial activity against gram pos. and neg. bacteria. The min. inhibitory concns. of I against *Staphylococcus aureus* were 0.25-1.25 .mu.g/mL. Thus, stirring ClCO₂Bu-iso, N-carbamoyl-D-proline, and Et₃N in CH₂Cl₂ 30 min at -40.degree., followed by mixing with ampicillin-3H₂O and 0.35 mL Et₃N in CHCl₃ 90 min at 0.degree. gave, after treatment with K 2-ethylhexanoate, 56% K salt of I.H₂O [R = H₂NCO, (D-prolyl)].

IT **67600-15-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

L13 ANSWER 76 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1978:406561 HCAPLUS

DN 89:6561

TI Peptide derivative useful in measuring collagenase activity

IN Sakakibara, Shumpei; Nagai, Yutaka; Fujiwara, Kenji; Sakai, Takahiro

PA Ajinomoto Co., Inc., Japan

SO Ger. Offen., 38 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--------------|------|----------|-----------------|----------|
| PI | DE 2702699 | A1 | 19771215 | DE 77-2702699 | 19770124 |
| | JP 52091829 | A2 | 19770802 | JP 76-6926 | 19760124 |
| | JP 53028165 | A2 | 19780316 | JP 76-103505 | 19760830 |
| | JP 58043389 | B4 | 19830927 | | |
| | JP 53028166 | A2 | 19780316 | JP 76-103506 | 19760830 |
| PRAI | JP 76-6926 | | 19760124 | | |
| | JP 76-103505 | | 19760830 | | |
| | JP 76-103506 | | 19760830 | | |

AB R-X-Gly-X₁-Ala-Gly-X₂-OH (R = hydrophobic, neutral acid chromophore; X = X₃, Pro-X₃; X₄ = Ile, Leu; X₂ = Glu-D-Arg, D-Arg; X₃ = amino acid) were prepd. as substrates for measuring collagenase activity. Thus, BOC-Gln-D-Arg(NO₂)-OCH₂Ph (BOC = Me₃CO₂C) was BOC-deblocked and coupled to BOC-Ile-Ala-Gly-OH by Me₂N(CH₂)₃N:C:NET (WSCl)/ 1-hydroxybenzotriazole (HOBT) to give the protected pentapeptide which was BOC-deblocked and coupled to DNP-Pro-Gln-Gly-OH (DNP = 2,4-O₂NC₆H₃) by WSCI/HOBT to give the protected octapeptide which was deblocked with HF to give DNP-Pro-Gln-Gly-Ile-Ala-Gly-Gln-D-Arg-OH. The octapeptides were substrates for collagenase, but the heptapeptides, which do not contain the N-terminal proline residue, were not active substrates.

IT **65080-28-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as collagenase substrate)

L13 ANSWER 77 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1978:23410 HCAPLUS

DN 88:23410
 TI Peptides
 IN Sakakibara, Shunpei; Nagai, Hiroshi; Fujiwara, Kenji; Sakai, Takahiro
 PA Ajinomoto Co., Inc., Japan
 SO Japan. Kokai, 13 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--------------|------|----------|-----------------|----------|
| PI | JP 52091829 | A2 | 19770802 | JP 76-6926 | 19760124 |
| | SE 7700582 | A | 19770725 | SE 77-582 | 19770120 |
| | SE 431201 | B | 19840123 | | |
| | SE 431201 | C | 19840503 | | |
| | US 4138394 | A | 19790206 | US 77-761020 | 19770121 |
| | DE 2702699 | A1 | 19771215 | DE 77-2702699 | 19770124 |
| | US 4176009 | A | 19791127 | US 77-853302 | 19771121 |
| PRAI | JP 76-6926 | | 19760124 | | |
| | JP 76-103505 | | 19760830 | | |
| | JP 76-103506 | | 19760830 | | |
| | US 77-761020 | | 19770121 | | |

AB Four peptides, R-L-Gln-Gly-L-Ile-L-Ala-Gly-L-Gln-D-Arg-OH [R = DNP-L-Pro [I, DNP = 2,4-(O2N)2C6H3], DNP, p-(4-hydroxy-1-naphthylazo)phenylsulfonyl-L-Pro, p-phenylazobenzoyl], useful as reagents in measurement of collagenase activity, were prepd. Thus, treating Boc-L-Ile-L-Ala-Gly-OH (Boc = Me3CO2C) with Boc-L-Gln-D-Arg(NO2)-OCH2Ph gave Boc-L-Ile-L-Ala-Gly-L-Gln-D-Arg(NO2)-CH2Ph, which was treated with DNP-L-Pro-L-Gln-Gly-OH to give, after deprotection, I.

IT **65080-28-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

L13 ANSWER 78 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1978:23408 HCAPLUS
 DN 88:23408
 TI Tri- and tetrapeptides
 IN Takeuchi, Tadashi; Sato, Shigeru; Umezu, Kohei
 PA Mitsubishi Chemical Industries Co., Ltd., Japan
 SO Japan. Kokai, 9 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

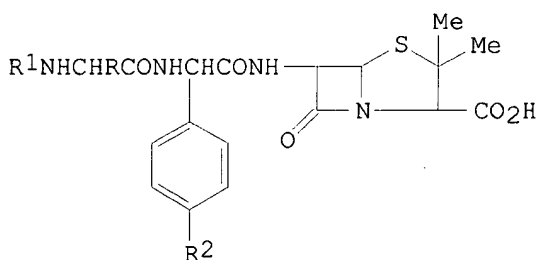
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| PI | JP 52083545 | A2 | 19770712 | JP 76-217 | 19760101 |
| | JP 57020298 | B4 | 19820427 | | |
| AB | A-Pro-B-C-D-E (I; A = H, Bz, 1-adamantanecarbonyl, dansyl; B = Leu, Phe, Met, Cys, Glu, Trp, Tyr; C = Gly, sarcosyl; D = Pro, pyrrolidinyl; E = HO, lower alkoxy, aralkyloxy, NH2; when D = pyrrolidinyl, then E is eliminated) were prepd. I had collagen synthesis-inhibiting and wound-healing activities. Thus, 1.1 g Et3N and 2.3 g dicyclohexylcarbodiimide were added to a mixt. of 3.7 g Bz-Pro-Phe-OH and 3.0 Gly-Pro-OCH2Ph.HCl in CH2Cl2 at 0-5.degree. and the whole was stirred 2 h to give 70% Bz-Pro-Phe-Gly-Pro-OCH2Ph. Among 23 addnl. I prepd. were Bz-Pro-Leu-Gly-Pro-OCH2Ph, Bz-Pro-Tyr-Gly-Pro-OCH2Ph, dansyl-Pro-Leu-Gly-Pro-OCH2Ph, and adamantyl-Pro-Leu-Gly-Pro-OCH2Ph. | | | | |
| IT | 59191-11-6P 59191-13-8P 59191-18-3P 59191-19-4P 59191-26-3P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) | | | | |

L13 ANSWER 79 OF 85 HCAPLUS COPYRIGHT 1999 ACS
 AN 1977:439470 HCAPLUS
 DN 87:39470

TI .alpha.-Acylaminobenzylpenicillin derivatives for antibiotics
 IN Morita, Yoshiharu; Omata, Kenzo; Ohya, Junichi; Wagatsuma, Kazuo;
 Shirasaka, Tadashi
 PA Mitsubishi Chemical Industries Co., Ltd., Japan
 SO Ger. Offen., 43 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--------------|------|----------|-----------------|----------|
| PI | DE 2640432 | A1 | 19770317 | DE 76-2640432 | 19760908 |
| | JP 52033689 | A2 | 19770314 | JP 75-108708 | 19750908 |
| | JP 52033690 | A2 | 19770314 | JP 75-108709 | 19750908 |
| | JP 52095687 | A2 | 19770811 | JP 76-12119 | 19760206 |
| | JP 52095688 | A2 | 19770811 | JP 76-12121 | 19760206 |
| | JP 52097992 | A2 | 19770817 | JP 76-15335 | 19760214 |
| | JP 52100488 | A2 | 19770823 | JP 76-15563 | 19760216 |
| | US 4111932 | A | 19780905 | US 76-713808 | 19760812 |
| | GB 1570381 | A | 19800702 | GB 78-24678 | 19760820 |
| | CH 605980 | A | 19781013 | CH 76-11340 | 19760907 |
| | FR 2322598 | A1 | 19770401 | FR 76-27034 | 19760908 |
| | US 4179437 | A | 19791218 | US 78-899458 | 19780424 |
| | US 4220587 | A | 19800902 | US 78-915481 | 19780614 |
| PRAI | JP 75-108708 | | 19750908 | | |
| | JP 75-108709 | | 19750908 | | |
| | JP 76-12119 | | 19760206 | | |
| | JP 76-12121 | | 19760206 | | |
| | JP 76-15335 | | 19760214 | | |
| | JP 76-15563 | | 19760216 | | |
| | JP 75-103708 | | 19750908 | | |
| | US 76-713808 | | 19760812 | | |

GI



AB Penicillins I [RR1 = (CH2)2-4, CH2CH2CO, CH2CH(OH)CH2, o-CH2C6H4CH2, o-C6H4CH2; R = Me, CH2CHMe2, R1 = Me; R2 = H, OH] and some N-protected intermediates were prepd. Thus, ampicillin was treated with N-(benzyloxycarbonyl)-D-proline and hydrogenated over Pd-BaCO3 to give 67% I [RR1 = (CH2)3, R2 = H], which had a min. inhibitory concn. against Staphylococcus aureus (FDA 209P) of 0.45 .mu.g/mL.

IT 63169-16-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and deblocking of)

L13 ANSWER 80 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1976:180643 HCAPLUS

DN 84:180643

TI Tri-, tetrapeptides, and their derivatives

IN Takeuchi, Tadashi; Sato, Shigeru; Umezu, Kohei

PA Mitsubishi Chemical Industries Co., Ltd., Japan

SO Japan. Kokai, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | JP 51011761 | A2 | 19760130 | JP 74-82778 | 19740719 |
| | JP 57019097 | B4 | 19820420 | | |
| AB | A-Pro-B-C-D-E (I; A = H, Bz, 1-adamantanecarbonyl, dansyl; B = Leu, Phe, Met, Cys, Glu, Trp, Tyr; C = Gly, sarcosyl; D = Pro, pyrrolidinyll; E = HO, lower alkoxy, aralkyloxy, NH2; when D = pyrrolidinyll, then E is eliminated) were prepd. I had collagen synthesis-inhibiting and wound-repairing activities. Thus, 1.1 g Et3N and 2.3 g dicyclohexylcarbodiimide were added to a mixt. of 3.7 g Bz-Pro-Phe-OH and 3.0 g Gly-Pro-OCH2Ph.HCl in CH2Cl2 at 0-5.degree. and the whole was stirred 2 hr to give 70% Bz-Pro-Phe-Gly-Pro-OCH2Ph. Among 23 addnl. I prepd. were Bz-Pro-Leu-Gly-Pro-OCH2Ph, Bz-Pro-Tyr-Gly-Pro-OCH2Ph, dansyl-Pro-Leu-Gly-Pro-OCH2Ph, and adamantyl-Pro-Leu-Gly-Pro-OCH2Ph. | | | | |
| IT | 59191-11-6P 59191-13-8P 59191-18-3P 59191-19-4P 59191-26-3P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) | | | | |

L13 ANSWER 81 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1976:165199 HCAPLUS

DN 84:165199

TI Chromogenic or fluorescent substrate for enzyme determination

IN Svendsen, Lars G.

PA Pentapharm A.-G., Switz.

SO Ger. Offen., 67 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | DE 2527932 | A1 | 19760122 | DE 75-2527932 | 19750623 |
| | DE 2527932 | C2 | 19830421 | | |
| | CH 609154 | A | 19790215 | CH 74-9210 | 19740702 |
| | ZA 7504019 | A | 19760526 | ZA 75-4019 | 19750624 |
| | NO 7502386 | A | 19760105 | NO 75-2386 | 19750630 |
| | NO 147212 | B | 19821115 | | |
| | NO 147212 | C | 19830223 | | |
| | DD 120715 | C | 19760620 | DD 75-186968 | 19750630 |
| | US 4016042 | A | 19770405 | US 75-592023 | 19750630 |
| | CA 1049506 | A1 | 19790227 | CA 75-230464 | 19750630 |
| | NL 7507802 | A | 19760106 | NL 75-7802 | 19750701 |
| | NL 188354 | B | 19920102 | | |
| | NL 188354 | C | 19920601 | | |
| | AU 7582631 | A1 | 19770106 | AU 75-82631 | 19750701 |
| | SE 424635 | B | 19820802 | SE 75-7545 | 19750701 |
| | SE 424635 | C | 19821111 | | |
| | BE 830911 | A1 | 19751103 | BE 75-157901 | 19750702 |
| | FR 2279106 | A1 | 19760213 | FR 75-20756 | 19750702 |
| | FR 2279106 | B1 | 19810430 | | |
| | JP 51029998 | A2 | 19760313 | JP 75-81754 | 19750702 |
| | JP 56022280 | B4 | 19810523 | | |
| PRAI | CH 74-9210 | | 19740702 | | |
| | CH 75-6088 | | 19750509 | | |
| AB | R1-Pro-X-Arg-R2 [X = Phe, Tyr, C-phenylglycine, or .beta.-cyclohexylalanine residues; R1 = e.g., Ac, Bz, 4-H2NC6H4CO, 4-H2NC6H4CH2CO, tosyl, 4-(aminomethyl)cyclohexylcarbonyl, .omega.-aminocaproyl, PhCH2CH2CO, 4-MeC6H4CO; R2 = NHC6H4NO2-4, NHR3, R3 = 2-naphthyl, 4-methoxy-2-naphthyl] (18 compds.), useful for detg. enzymes in blood plasma by observing a shift to higher wavelengths in the uv spectra after cleavage by the enzyme, e.g., plasmin, trypsin, thrombin, were prepd. by std. coupling methods. | | | | |
| IT | 59188-46-4P 59188-47-5P | | | | |

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 82 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1973:97995 HCAPLUS

DN 78:97995

TI N-Terminal groups in mass spectrometry of peptides. New and useful derivatives

AU Day, Richard A.; Falter, Herman; Lehman, James P.; Hamilton, Robert E.

CS Dep. Chem., Univ. Cincinnati, Cincinnati, Ohio, USA

SO J. Org. Chem. (1973), 38(4), 782-8

CODEN: JOCEAH

DT Journal

LA English

AB In an effort to find volatile peptide derivatives with mass spectrometric fragmentation characteristics suitable for peptide sequencing studies, twenty new N-terminal blocking groups were used to derivatize the test peptide Val-Ile-Ala. Electron impact mass spectra were obtained for the deriv. esters and compared to the previously reported spectra of the test peptide in terms of relative intensity of mol. and N-terminal sequence ions. Thirty-four derivs. were compared in all. The most successful of these in terms of ease of interpretation were the 5-(N,N-dimethylamino)naphthalenesulfonyl, p-dimethylaminobenzylidene, and 4-(N,N-dimethylamino)naphthylidene derivs. The intensities of the mol. ions were 10-100 times greater relative to the base peak than in previously reported spectra of derivs. of Val-Ile-Ala. The M-56 ions, ascribed as arising from a McLafferty rearrangement and loss of C₄H₈ from the isoleucyl residue, did not appear from most of the derivs. displaying relatively intense mol. ions. The apparent inverse relationships between the relative intensities of mol. ions and the corresponding M-56 ions was attributed to ionization potential effects. Selection of the appropriate derivs. of the more complex peptides, Pro-Val-Ile-Ala, Met-Val-Ile-Ala, Glu-Try-Glu, Gly-Pro-Gly-Gly, Gly-Gly-Gly-Gly-Gly-Gly, and the gastrin C-terminal fragment, Try-Met-Asp-Phe-NH₂ led to mass spectra contg. sufficient information to allow sequence assignment in every instance; however, the amino acid compn. was required in some cases.

IT 40759-98-6

RL: PRP (Properties)

(mass spectroscopy of)

L13 ANSWER 83 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1971:126040 HCAPLUS

DN 74:126040

TI Photolysis of dansyl amino acids and dansyl peptides

AU D'Souza, Leo; Bhatt, Kumud; Madaiah, M.; Day, Richard A.

CS Dep. Chem., Univ. Cincinnati, Cincinnati, Ohio, USA

SO Arch. Biochem. Biophys. (1970), 141(2), 690-3

CODEN: ABBIA4

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

AB Dansyl amino acids and dansyl peptides were photolyzed in soln. (pH .gtoreq. 7) to give I, the corresponding amino acid or peptide, and NH₃. In acidic solns., the yield of amino acid and (or) peptide was good while that of NH₃ was low or negligible. The highest quantum yields of cleavage of the sulfonamide bond were obsd. in acid. Dansyltryptophan and dansylated peptides contg. tryptophan were cleaved at slower rates and with less specificity than other derivs. The rate of photolysis at a given light flux was dependent on the pH. The mechanism involved a hydrolysis of the deriv. in the excited state.

IT 31944-27-1

RL: RCT (Reactant)

(photolysis of)

L13 ANSWER 84 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1968:69316 HCAPLUS

DN 68:69316
 TI Peptide synthesis of a new collagenase substrate by the Merrifield method
 AU Schoellmann, Guenther
 CS Sch. of Med., Tulane Univ., New Orleans, La., USA
 SO Hoppe-Seyler's Z. Physiol. Chem. (1967), 348(12), 1629-32
 CODEN: HSZPAZ
 DT Journal
 LA German
 AB The synthesis of 1-di-methylaminonaphthalene - 5 -
 (sulfonyl)prolylleucylglycylprolylarginine (I) utilized the solid-phase
 method of Merrifield. 1-Dimethylaminonaphthalene-5-sulfonyl chloride was
 treated with proline, to produce the proline deriv. which was purified by
 gel filtration on Sephadex G-10 and then incorporated into the peptide
 portion. On thin-layer chromatog. on silica gel G eluted with
 CHCl₃-MeOH-HOAc (75:20:5) the proline deriv. had a Rf 0.73. Similarly I
 eluted with CHCl₃-MeOH-17% NH₄OH (2:2:1) had an Rf 0.74. I was purified
 by gel filtration on CM-Sephadex G-25. I is fluorescent and is suitable
 as a substrate for the detn. of collagenases.
 IT **17303-45-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 IT **17191-42-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, on solid-phase polymer)

L13 ANSWER 85 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1968:22261 HCAPLUS

DN 68:22261

TI Preparation of peptides

IN Hoffman, Eliahu

PA Yissum Research Development Co.

SO Fr., 3 pp.

CODEN: FRXXAK

DT Patent

LA French

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|------|
| PI | FR 1454653 | | 19661007 | | |
| PRAI | GB | | 19641029 | | |
| AB | <p>A new method of prepn. of peptides is described. (Z = PhCH₂O₂C and Tos = p-MeC₆H₄SO₂ throughout this abstr.) Thus, a soln. of 6.1 g. methyl benzyloxycarbonylglycinate and 0.0435 mole free guanidine in 20 cc. anhyd. EtOH is left at room temp. several hrs. to give 5.5 g. benzyloxycarbonylglycylguanidine (I), m. 152.degree. (water, EtOH-EtOAc, or MeNO₂). A soln. of 1.25 g. I in 5 cc. HCONMe₂ is mixed with 0.7 g. glycinate hydrochloride in water, the pH adjusted to 8.0 with NaOH, the soln. stirred at room temp. overnight and evapd. to dryness in vacuo, and the residue treated with water to give 1.35 g. ethyl Z-Gly-Gly-OEt. In a similar way the following products are prepd.: 51% Z-dl-Ala-Gly-NHC(:NH)NH₂, m. 175-6.degree.; 50% Z-dl-Ala-Gly-Gly-OEt, m. 110.degree.; benzyloxycarbonyl-1-valylguanidine; 23% Z-1-Val-Gly-OEt, m. 166.degree.; 87.6% hippurylguanidine, m. 182.degree.; 76% ethyl hippurylglycinate, m. 118.degree.. A soln. of 1.17 g. N-formyl-L-phenylalanylguanidine in 10 cc. HCONMe₂ is mixed with 0.7 g. ethyl glycinate hydrochloride in 1.5 cc. water, the pH adjusted to 8, the soln. stirred at room temp. overnight and evapd. to dryness in vacuo, and the residue treated with aq. 10% Na₂CO₃ to give 1.13 g. CHO-L-Phe-Gly-OEt m. 132.degree. (water), [.alpha.] 4.6.degree. (c 1.6, anhyd. EtOH). In a similar way the following products are prepd.: 71% CHO-L-Val-Gly-OEt m. 155.degree.; 68% Tos-L-Pro-Gly-OEt m. 84-6.degree., [.alpha.] 23D -115.8.degree. (c 4.5, anhyd. EtOH).</p> | | | | |

IT **4172-31-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

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=> fil caold

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L14 ANSWER 1 OF 2 COPYRIGHT 1999 ACS
AN CA65:15502a CAOLD
DT Patent
IT 4172-31-0 4172-33-2

L14 ANSWER 2 OF 2 COPYRIGHT 1999 ACS
AN CA63:18255f CAOLD
IT 583-93-7 3005-87-6 4172-28-5 4172-29-6 4172-31-0
4172-32-1 4210-45-1 4242-81-3 4242-82-4 4242-84-6 4242-85-7
4242-88-0 4242-89-1 4242-91-5 4242-92-6 4242-93-7 4242-94-8
4242-95-9 4242-96-0 4242-97-1 4242-98-2 4242-99-3 4243-00-9
4243-01-0 4243-02-1 4301-63-7 4301-64-8 4301-66-0 4598-42-9
4661-39-6 5488-18-6

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DICTIONARY FILE UPDATES: 9 MAR 99 HIGHEST RN 220222-35-5

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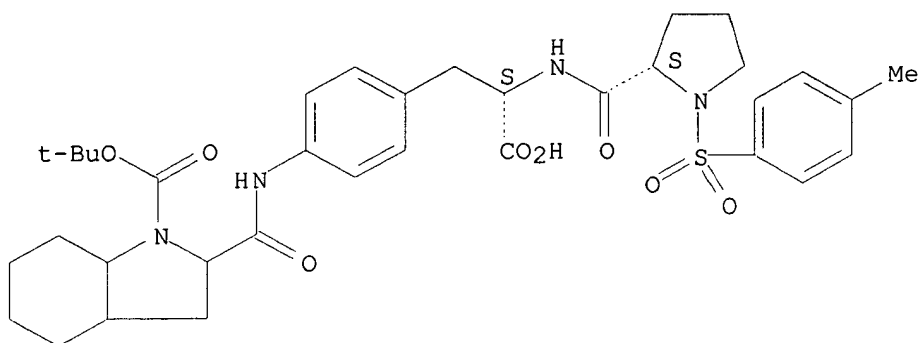
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CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C35 H46 N4 O8 S
SR CA
LC STN Files: CAPLUS

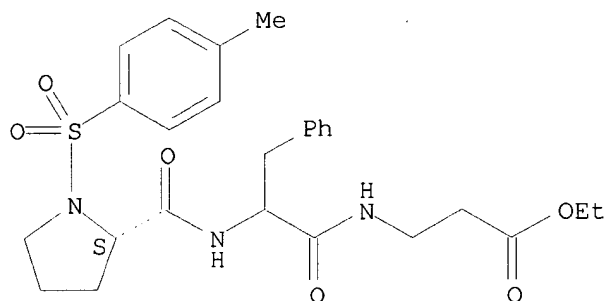
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 3 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 220187-84-8 REGISTRY
 CN INDEX NAME NOT YET ASSIGNED
 FS STEREOSEARCH
 MF C26 H33 N3 O6 S
 SR CA
 LC STN Files: CAPLUS

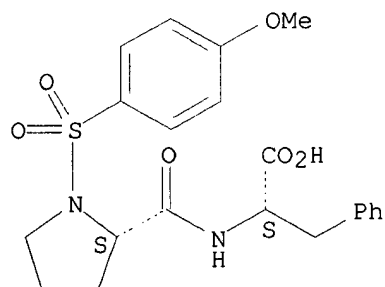
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 59 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 220186-99-2 REGISTRY
 CN INDEX NAME NOT YET ASSIGNED
 FS STEREOSEARCH
 MF C21 H24 N2 O6 S
 SR CA
 LC STN Files: CAPLUS

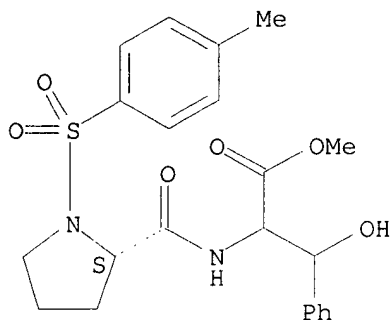
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 68 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220177-04-8 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C22 H26 N2 O6 S
SR CA
LC STN Files: CAPLUS

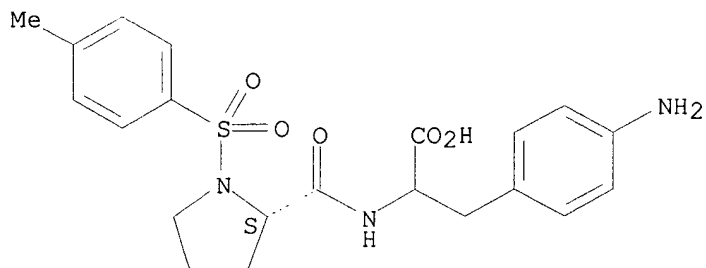
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 69 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220176-98-7 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C21 H25 N3 O5 S
SR CA
LC STN Files: CAPLUS

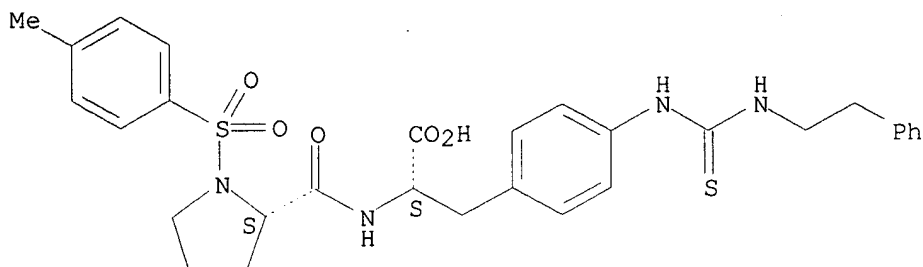
Absolute stereochemistry.



2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 119 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220150-61-8 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C30 H34 N4 O5 S2
SR CA
LC STN Files: CAPLUS

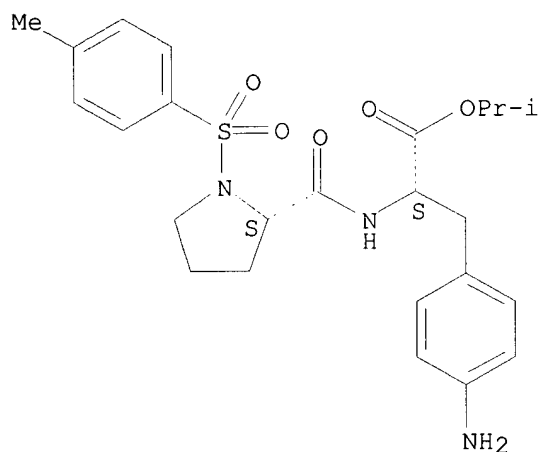
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 121 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 220149-86-0 REGISTRY
 CN INDEX NAME NOT YET ASSIGNED
 FS STEREOSEARCH
 MF C24 H31 N3 O5 S
 SR CA
 LC STN Files: CAPLUS

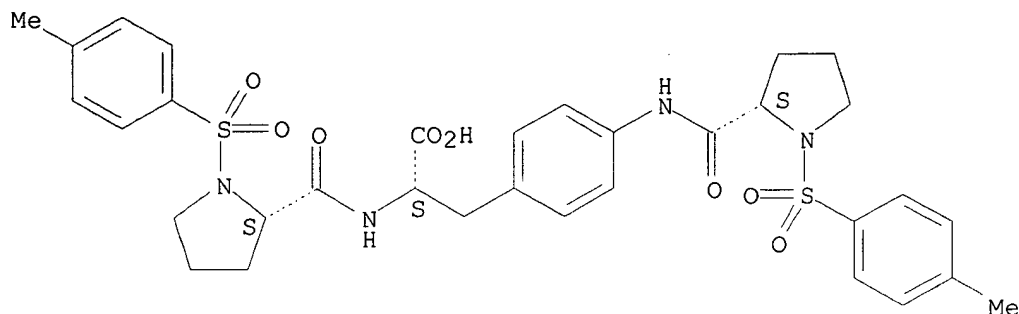
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 184 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 220148-99-2 REGISTRY
 CN INDEX NAME NOT YET ASSIGNED
 FS STEREOSEARCH
 MF C33 H38 N4 O8 S2
 SR CA
 LC STN Files: CAPLUS

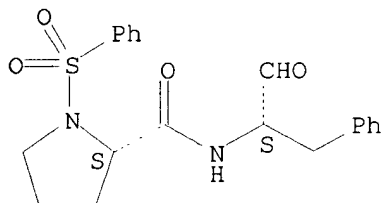
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 196 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 218602-52-9 REGISTRY
 CN 2-Pyrrolidinecarboxamide, N-[(1S)-1-formyl-2-phenylethyl]-1-(phenylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C20 H22 N2 O4 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

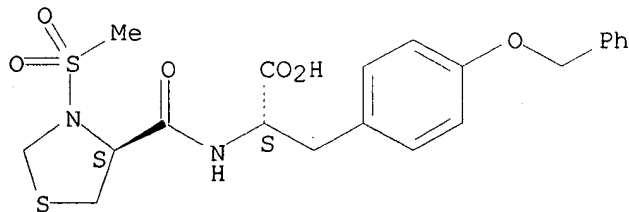


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:81806

L12 ANSWER 198 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 217479-41-9 REGISTRY
 CN L-Tyrosine, N-[[[(4S)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C21 H24 N2 O6 S2
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

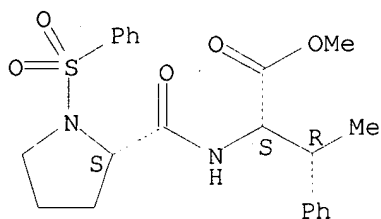


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52733

L12 ANSWER 199 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 217453-75-3 REGISTRY
 CN L-Phenylalanine, 1-(phenylsulfonyl)-L-prolyl-.beta.-methyl-, methyl ester, (.beta.R)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C22 H26 N2 O5 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

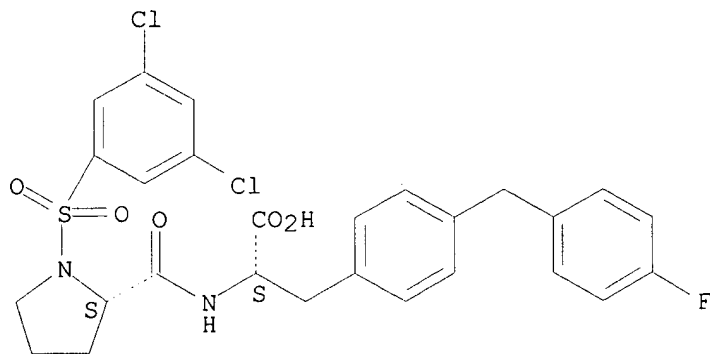


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52724

L12 ANSWER 239 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 217452-99-8 REGISTRY
 CN L-Phenylalanine, 1-[(3,5-dichlorophenyl)sulfonyl]-L-prolyl-4-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C27 H25 Cl2 F N2 O5 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



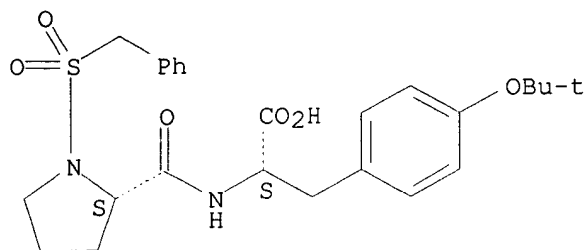
1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52724

L12 ANSWER 286 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 217451-99-5 REGISTRY
 CN L-Tyrosine, 1-[(phenylmethyl)sulfonyl]-L-prolyl-O-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C25 H32 N2 O6 S
 SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

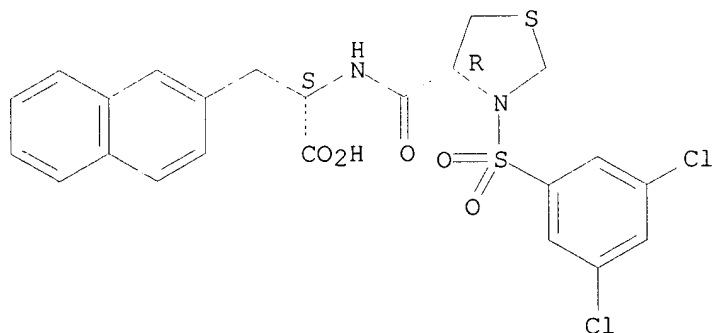


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52724

L12 ANSWER 324 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217450-98-1 REGISTRY
CN 2-Naphthalenepropanoic acid, .alpha.-[[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H20 Cl2 N2 O5 S2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

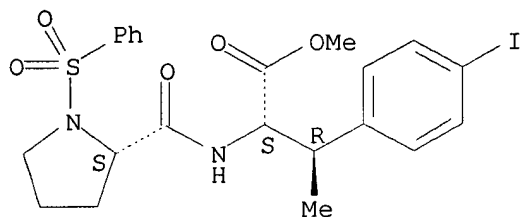


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52724

L12 ANSWER 348 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217326-95-9 REGISTRY
CN L-Phenylalanine, 1-(phenylsulfonyl)-L-prolyl-4-iodo-.beta.-methyl-, methyl ester, (.beta.R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H25 I N2 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

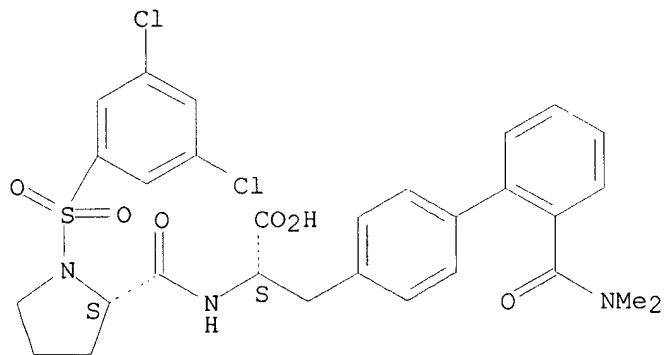


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52736

L12 ANSWER 382 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217325-98-9 REGISTRY
CN L-Alanine, 1-[(3,5-dichlorophenyl)sulfonyl]-L-prolyl-3-[2'-
[(dimethylamino)carbonyl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H29 Cl2 N3 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

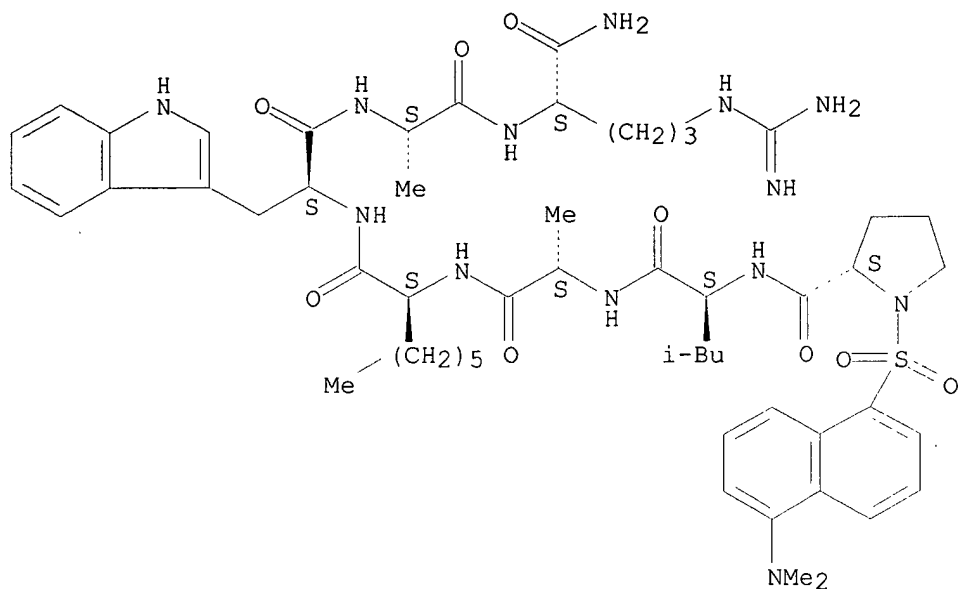


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52736

L12 ANSWER 424 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 204981-65-7 REGISTRY
CN L-Argininamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-
leucyl-L-alanyl-(2S)-2-aminooctanoyl-L-tryptophyl-L-alanyl- (9CI) (CA
INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C54 H79 N13 O9 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

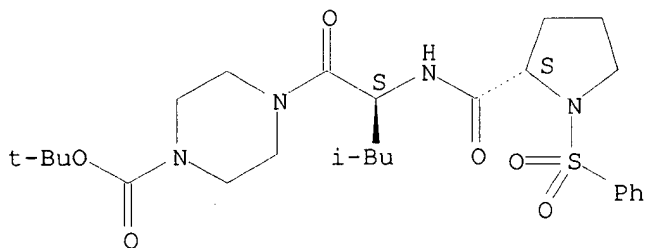


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:241112

L12 ANSWER 435 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 202282-11-9 REGISTRY
CN 1-Piperazinecarboxylic acid, 4-[1-(phenylsulfonyl)-L-prolyl-L-leucyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H40 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

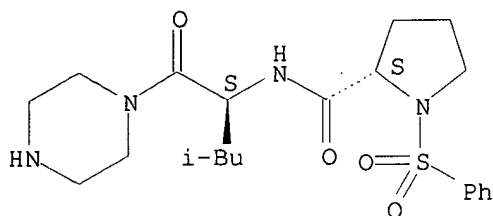


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:136515

L12 ANSWER 436 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 202281-13-8 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[3-methyl-1-(1-piperazinylcarbonyl)butyl]-1-(phenylsulfonyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H32 N4 O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

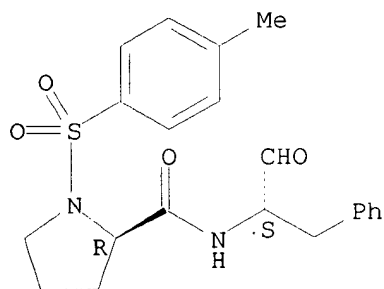


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:136515

L12 ANSWER 437 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 192722-90-0 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[(1S)-1-formyl-2-phenylethyl]-1-[(4-methylphenyl)sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2-Pyrrolidinecarboxamide, N-(1-formyl-2-phenylethyl)-1-[(4-methylphenyl)sulfonyl]-, [R-(R*,S*)]-
FS STEREOSEARCH
MF C21 H24 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

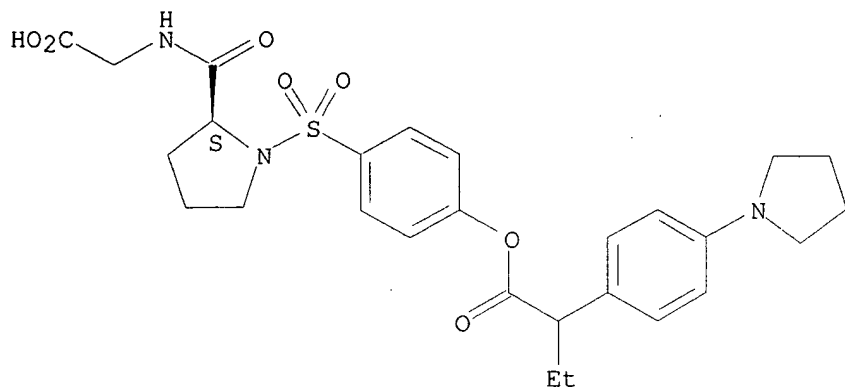
REFERENCE 1: 130:81806

REFERENCE 2: 130:66800

REFERENCE 3: 127:121991

L12 ANSWER 441 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 190252-08-5 REGISTRY
CN Glycine, 1-[[4-[1-oxo-2-[(4-(1-pyrrolidinyl)phenyl]butoxy)phenyl]sulfonyl]-L-prolyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H33 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



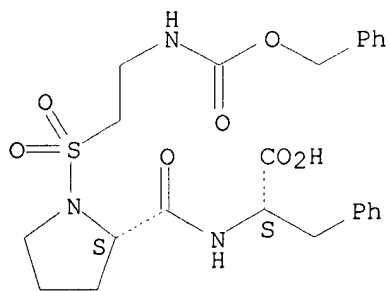
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:175653

REFERENCE 2: 127:5005

L12 ANSWER 442 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 189256-05-1 REGISTRY
CN L-Phenylalanine, 1-[[2-[[(phenylmethoxy)carbonyl]amino]ethyl]sulfonyl]-L-prolyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H29 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



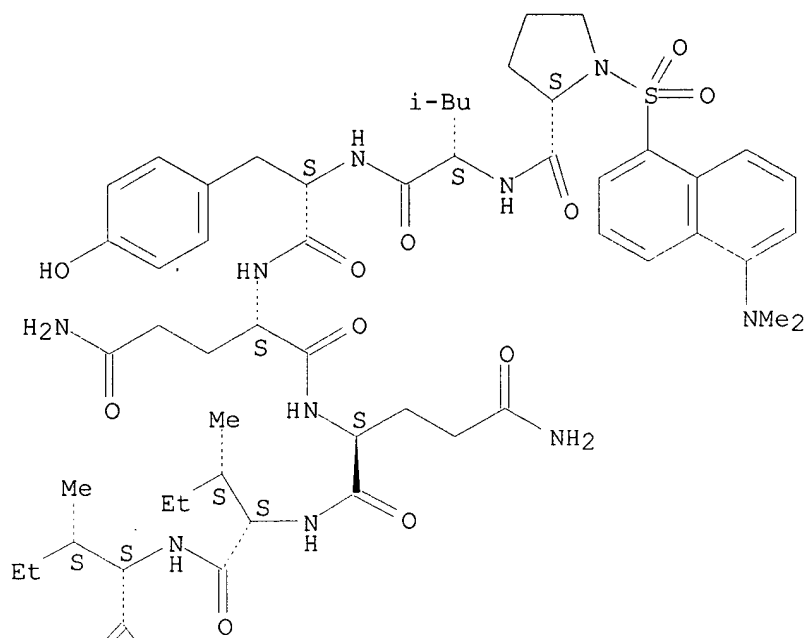
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:305778

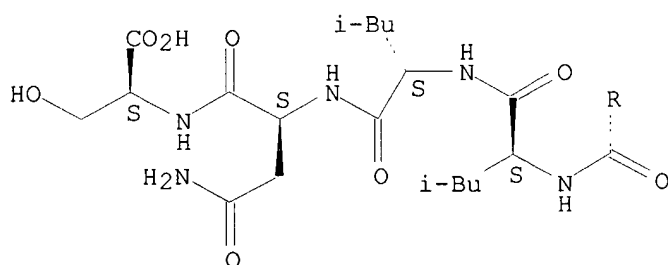
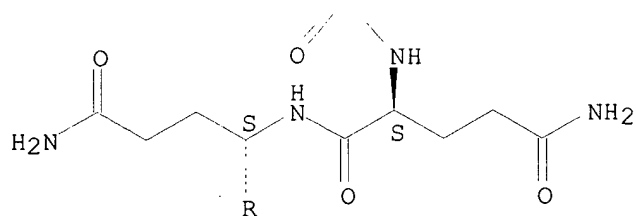
L12 ANSWER 445 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 188446-57-3 REGISTRY
CN L-Serine, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-leucyl-L-tyrosyl-L-glutamyl-L-glutamyl-L-isoleucyl-L-isoleucyl-L-glutamyl-L-glutamyl-L-leucyl-L-leucyl-L-asparaginyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C83 H127 N19 O23 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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PAGE 2-A



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:234874

L12 ANSWER 450 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 185321-83-9 REGISTRY

CN L-Prolinamide, 1-(2-naphthalenylsulfonyl)-L-prolyl-L-seryl-L-tyrosyl-D-
.alpha.-aspartyl-L-leucyl-L-arginyl-N-ethyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

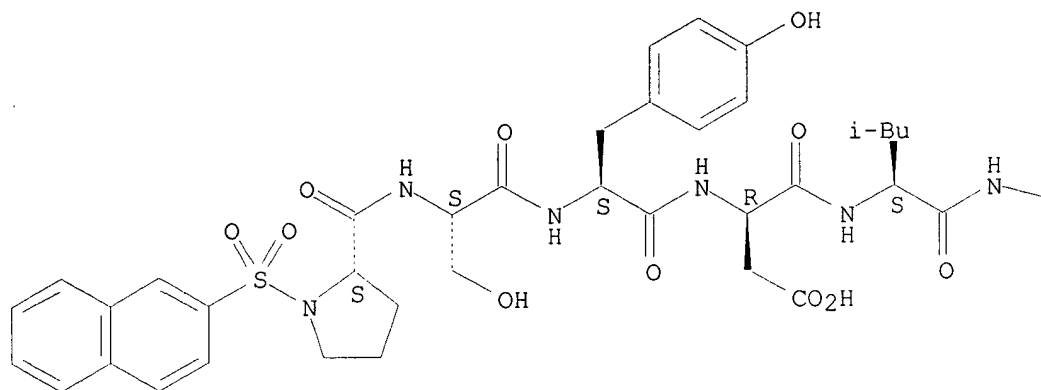
MF C50 H69 N11 O13 S

SR CA

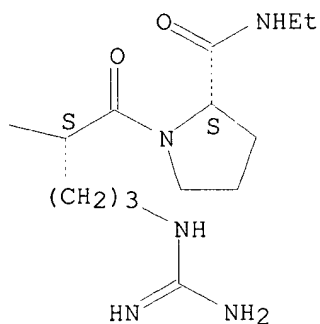
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

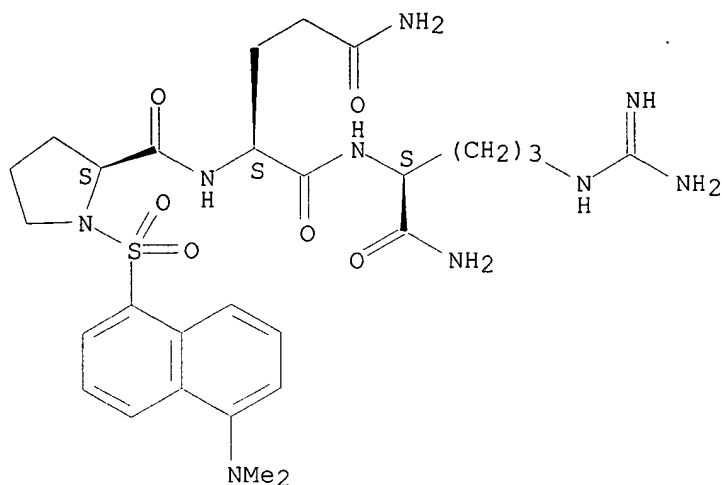


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:55103

L12 ANSWER 451 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 175297-56-0 REGISTRY
CN L-Argininamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-glutamyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H41 N9 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:251755

L12 ANSWER 452 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 161256-08-2 REGISTRY

CN L-Serine, N-[1-[(7-methoxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)

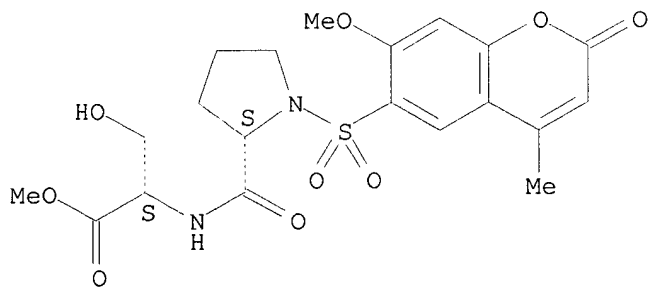
FS STEREOSEARCH

MF C20 H24 N2 O9 S

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:161309

L12 ANSWER 453 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 161001-60-1 REGISTRY

CN L-Valine, N-[N-[1-[[2-[1,4-dioxo-2-[(phenylmethoxy)carbonyl]amino]-4-[(triphenylmethyl)amino]butyl]-1-(phenylmethyl)hydrazino]sulfonyl]-L-prolyl]-L-isoleucyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

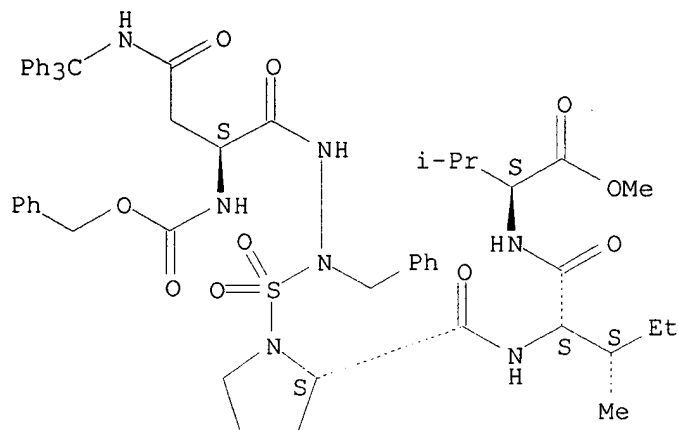
FS STEREOSEARCH

MF C55 H65 N7 O10 S

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

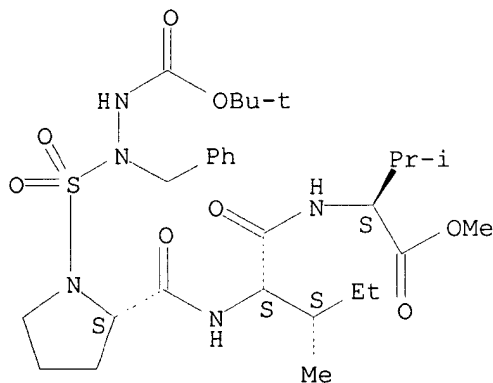


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:133772

L12 ANSWER 456 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 159525-99-2 REGISTRY
CN L-Valine, N-[N-[1-[[2-[(1,1-dimethylethoxy)carbonyl]-1-(phenylmethyl)hydrazino]sulfonyl]-L-prolyl]-L-isoleucyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H47 N5 O8 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

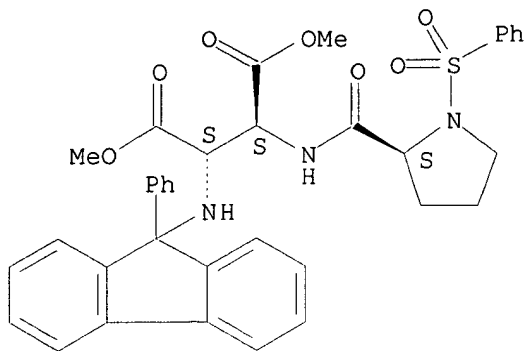


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:10540

L12 ANSWER 457 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 159434-66-9 REGISTRY
CN L-Aspartic acid, 3-[(9-phenyl-9H-fluoren-9-yl)amino]-N-[1-(phenylsulfonyl)-L-prolyl]-, dimethyl ester, threo- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H35 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (-).



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:31909

L12 ANSWER 458 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 156773-57-8 REGISTRY

CN L-Serine, N-[1-[[3-(acetamino)-2-oxo-2H-1-benzopyran-6-yl]sulfonyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)

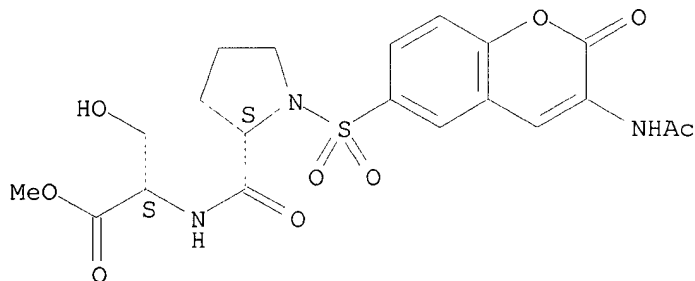
FS STEREOSEARCH

MF C20 H23 N3 O9 S

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:31870

REFERENCE 2: 121:109633

REFERENCE 3: 121:109623

L12 ANSWER 459 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 151870-87-0 REGISTRY

CN L-Phenylalaninamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-glutamyl-L-arginyl- (9CI) (CA INDEX NAME)

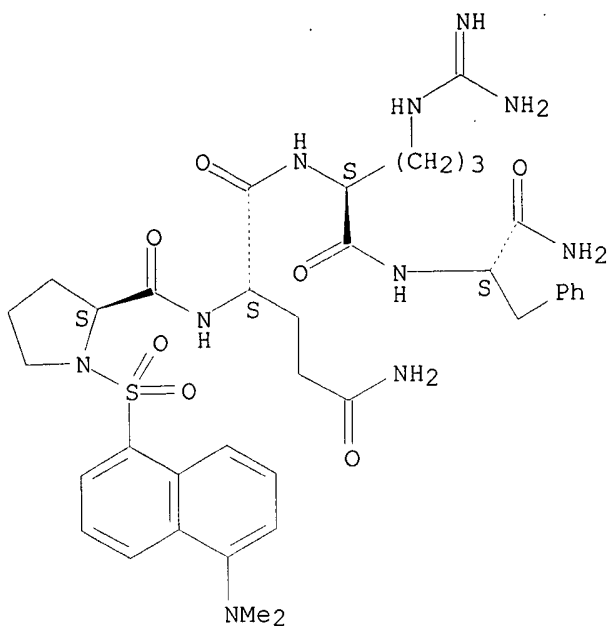
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C37 H50 N10 O7 S

SR CA

LC STN Files: CA, CAPLUS, MEDLINE, TOXLINE, TOXLIT

Absolute stereochemistry.



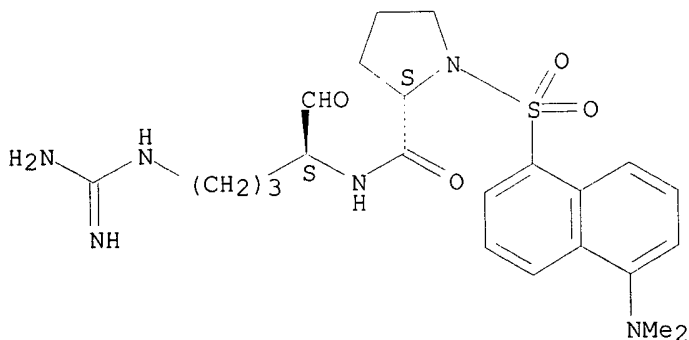
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:46125

REFERENCE 2: 120:25486

L12 ANSWER 460 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 150729-47-8 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-1-
[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-, [S-(R*,R*)]- (9CI) (CA
INDEX NAME)
FS STEREOSEARCH
MF C23 H32 N6 O4 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



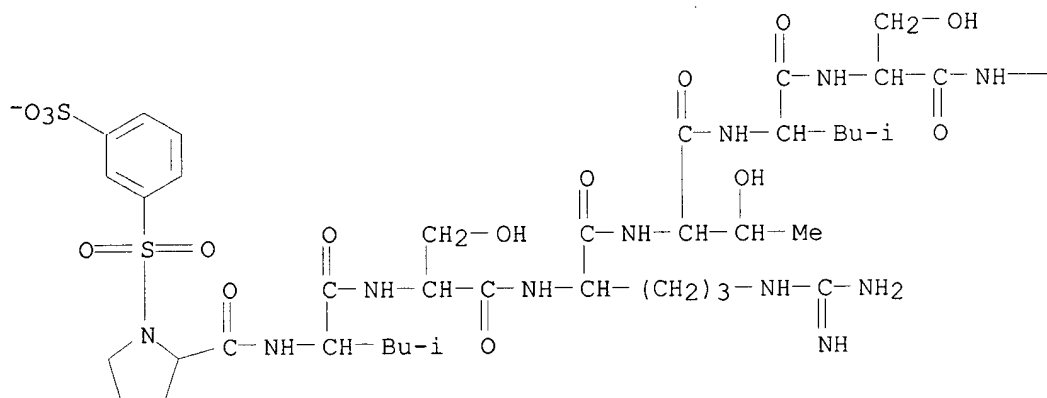
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:226427

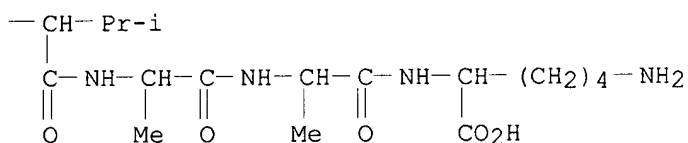
L12 ANSWER 463 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 149901-74-6 REGISTRY
 CN L-Lysine, N2-[N-[N-[N-[N-[N-[N-[N2-[N-[N-[1-[[2(or 4)-[3,6-bis(diethylamino)xanthylum-9-yl]-5-sulfophenyl]sulfonyl]-L-prolyl]-L-leucyl]-L-seryl]-L-arginyl]-L-threonyl]-L-leucyl]-L-seryl]-L-valyl]-L-alanyl]-L-alanyl]-, inner salt (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Xanthylum, L-lysine deriv.
 FS PROTEIN SEQUENCE
 MF C77 H119 N17 O21 S2
 CI IDS
 SR CA
 LC STN Files: CA, CAPLUS

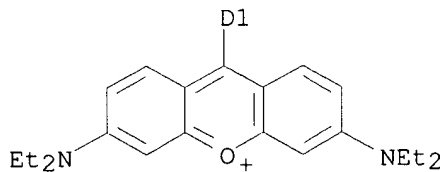
PAGE 1-A



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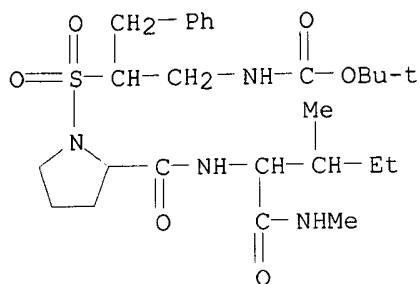
2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:279333

REFERENCE 2: 119:154888

L12 ANSWER 465 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 148261-19-2 REGISTRY

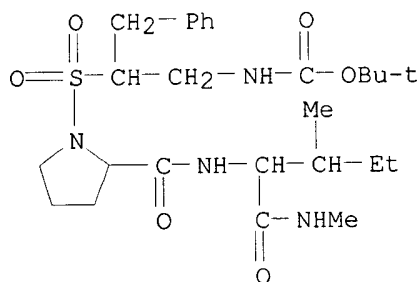
CN L-Isoleucinamide, 1-[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-phenylethyl]sulfonyl]-L-prolyl-N-methyl-, (R)- (9CI) (CA INDEX NAME)
 MF C26 H42 N4 O6 S
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:28570

L12 ANSWER 468 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 148200-85-5 REGISTRY
 CN L-Isoleucinamide, 1-[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-phenylethyl]sulfonyl]-L-prolyl-N-methyl-, (S)- (9CI) (CA INDEX NAME)
 MF C26 H42 N4 O6 S
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT

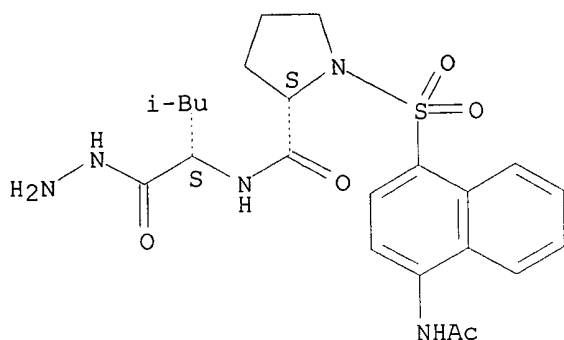


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:28570

L12 ANSWER 472 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 146234-11-9 REGISTRY
 CN L-Leucine, N-[1-[[4-(acetamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-, hydrazide (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C23 H31 N5 O5 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

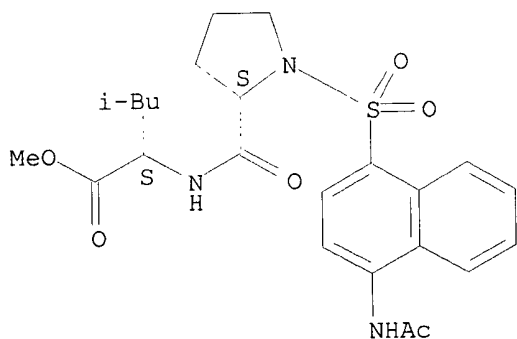


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:143256

L12 ANSWER 475 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 146233-98-9 REGISTRY
CN L-Leucine, N-[1-[[4-(acetamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H31 N3 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



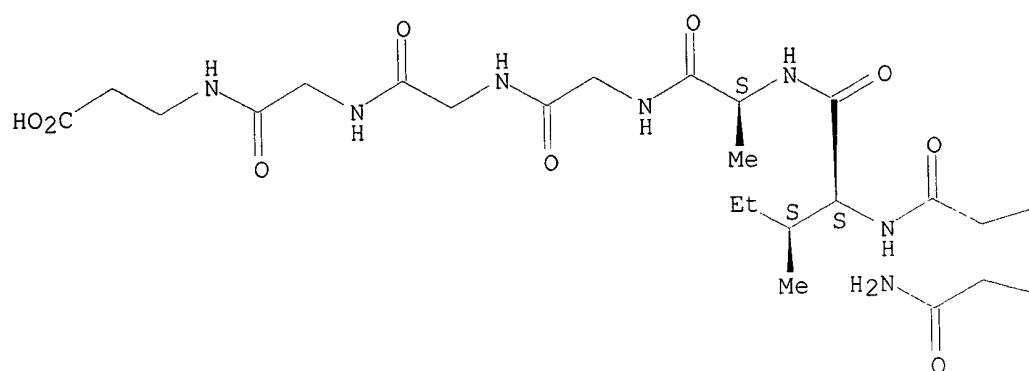
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:143256

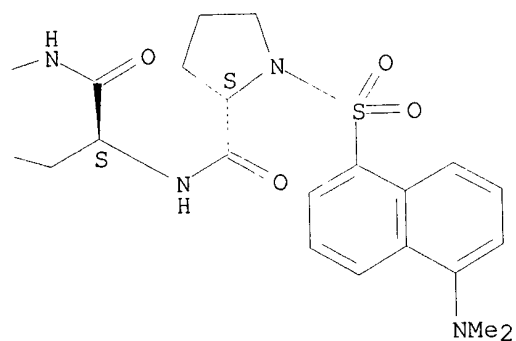
L12 ANSWER 478 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 145179-71-1 REGISTRY
CN .beta.-Alanine, N-[N-[N-[N-[N-[N-[N2-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-L-glutaminy]glycyl]-L-isoleucyl]-L-alanyl]glycyl]glycyl]- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C42 H61 N11 O13 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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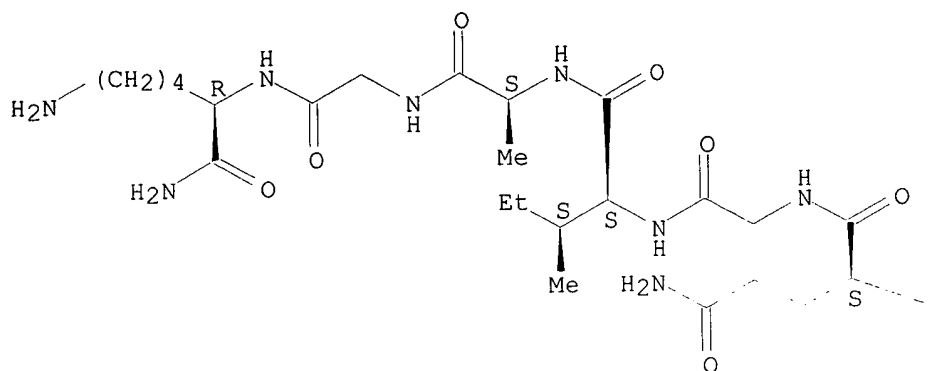
1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:34637

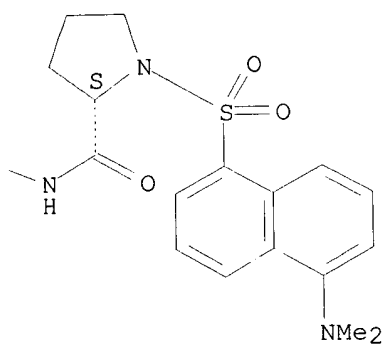
L12 ANSWER 480 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 145152-96-1 REGISTRY
 CN D-Lysinamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-glutaminyglycyl-L-isoleucyl-L-alanylglycyl- (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C41 H63 N11 O10 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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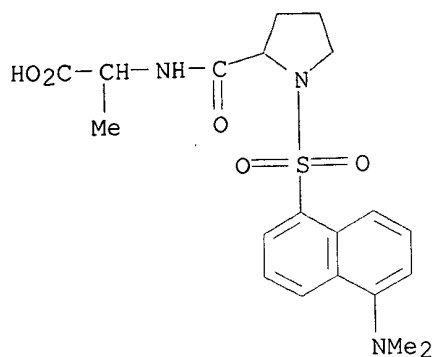
PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:34637

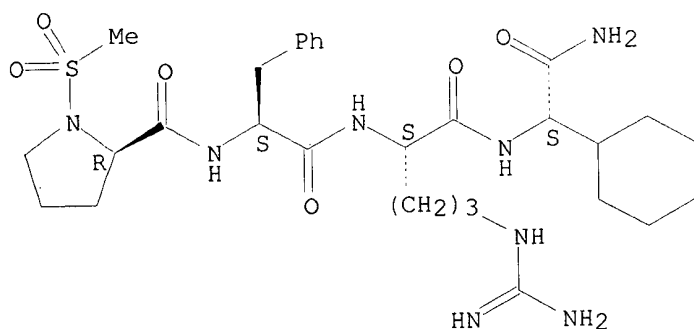
L12 ANSWER 483 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 144055-18-5 REGISTRY
 CN L-Alanine, N-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-
 (9CI) (CA INDEX NAME)
 MF C20 H25 N3 O5 S
 SR CA
 LC STN Files: CA, CAPLUS



REFERENCE 1: 117:211689

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L12  ANSWER 486 OF 629  REGISTRY  COPYRIGHT 1999 ACS
RN   143127-51-9  REGISTRY
CN   Glycinamide, 1-(methylsulfonyl)-D-prolyl-L-phenylalanyl-L-arginyl-L-2-
      cyclohexyl- (9CI)  (CA INDEX NAME)
FS   PROTEIN SEQUENCE; STEREOSEARCH
MF   C29 H46 N8 O6 S
SR   CA
LC   STN Files:   CA, CAPLUS
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Absolute stereochemistry.

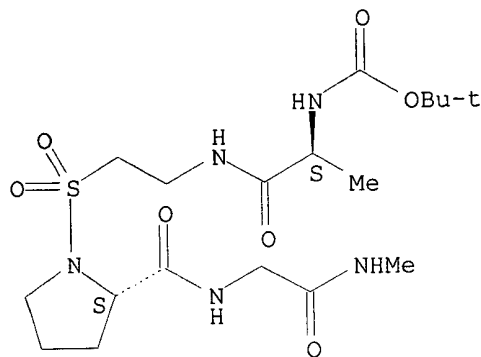


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151397

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L12  ANSWER 487 OF 629  REGISTRY  COPYRIGHT 1999 ACS
RN   134019-79-7  REGISTRY
CN   Glycinamide, 1-[[2-[[2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-
      oxopropyl]amino]ethyl]sulfonyl]-L-prolyl-N-methyl-, (S)- (9CI)  (CA INDEX
      NAME)
FS   STEREOSEARCH
MF   C18 H33 N5 O7 S
SR   CA
LC   STN Files:  BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMINFORMRX
      (*File contains numerically searchable property data)
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Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:28570

REFERENCE 2: 114:247732

L12 ANSWER 489 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 132686-26-1 REGISTRY

CN L-Valine, N-[N-[N₂-[N₂-[N-[N-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]glycyl]glycyl]-L-glutaminy]-L-glutaminy]-L-isoleucyl]- (9CI) (CA INDEX NAME)

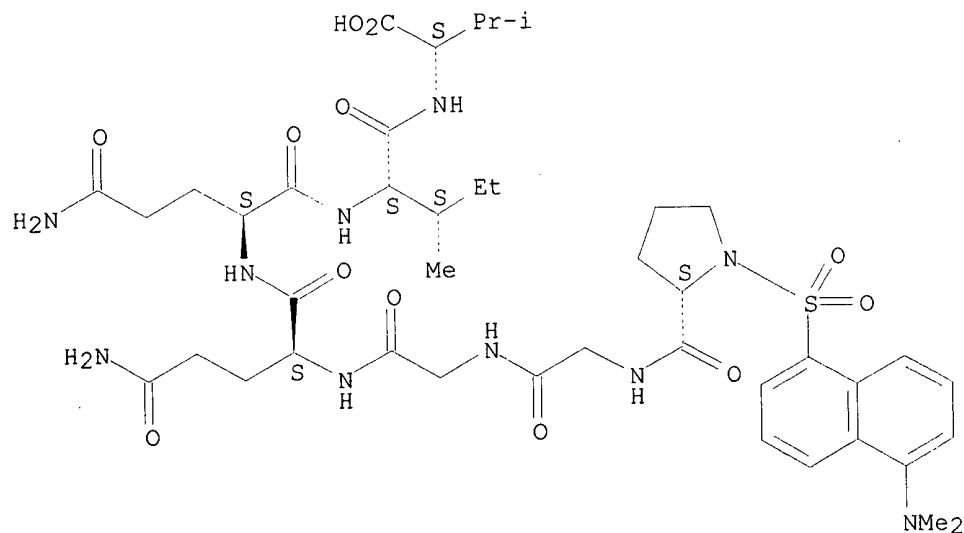
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C42 H62 N10 O12 S

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



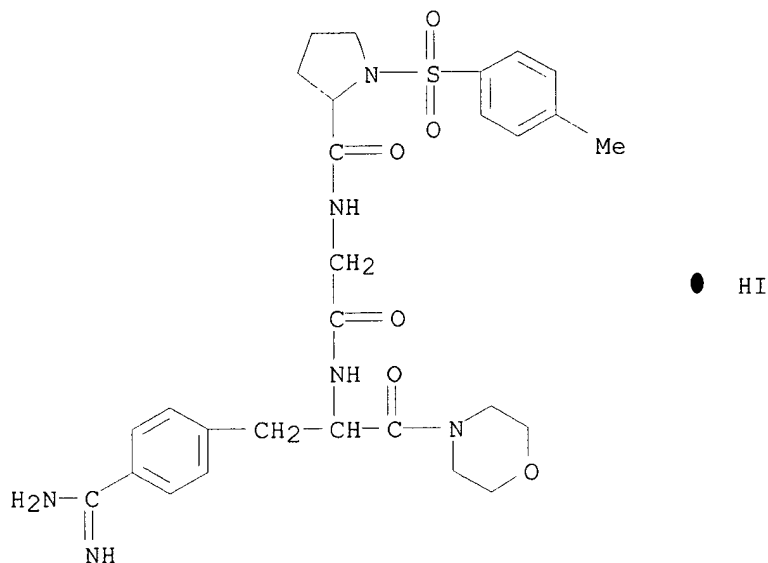
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3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:154667

REFERENCE 2: 115:24938

REFERENCE 3: 114:138651

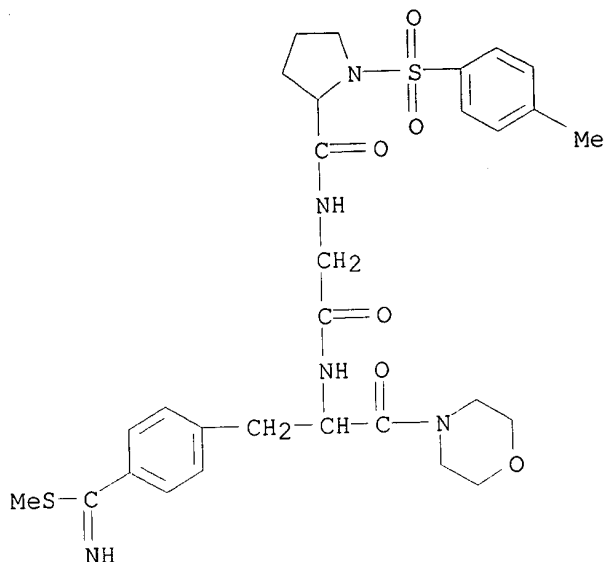
L12 ANSWER 507 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 109947-88-8 REGISTRY
 CN Glycinamide, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-N-[1-[[4-(aminoiminomethyl)phenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]-, monohydriodide (9CI) (CA INDEX NAME)
 MF C28 H36 N6 O6 S . H I
 SR CA
 LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
 (*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:97096

L12 ANSWER 510 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 109947-85-5 REGISTRY
 CN Glycinamide, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-N-[1-[[4-[imino(methylthio)methyl]phenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]-, monohydriodide (9CI) (CA INDEX NAME)
 MF C29 H37 N5 O6 S2 . H I
 SR CA
 LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
 (*File contains numerically searchable property data)



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:97096

L12 ANSWER 520 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 109947-75-3 REGISTRY

CN Phenylalanine, 4-cyano-N-[N-[1-[(4-methylphenyl)sulfonyl]-L-prolyl]glycyl]-
(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN DL-Phenylalanine, 4-cyano-N-[N-[1-[(4-methylphenyl)sulfonyl]-L-
prolyl]glycyl]-

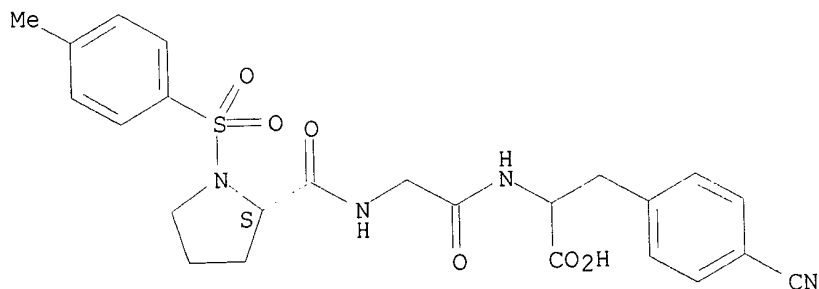
FS STEREOSEARCH

MF C24 H26 N4 O6 S

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:97096

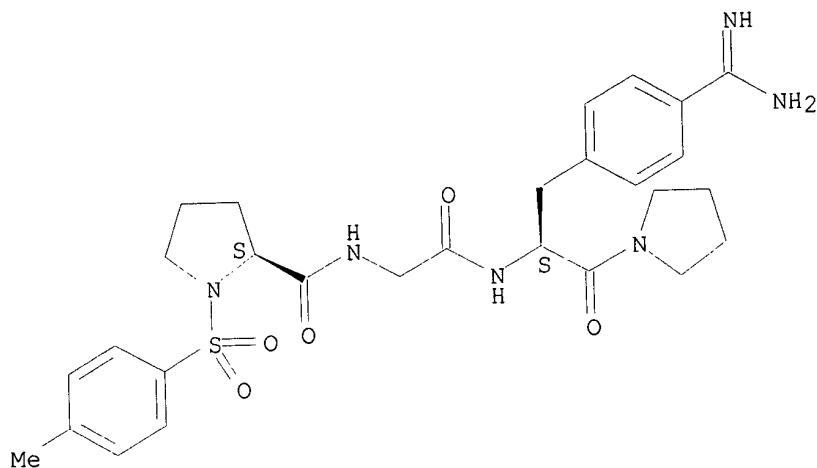
L12 ANSWER 530 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 109630-18-4 REGISTRY

CN Glycinamide, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-N-[1-[[4-
(aminoiminomethyl)phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, (S)-
(9CI) (CA INDEX NAME)

FS STEREOSEARCH
 MF C28 H36 N6 O5 S
 SR CA
 LC STN Files: BEILSTEIN*, CA, CAPLUS
 (*File contains numerically searchable property data)

Absolute stereochemistry.

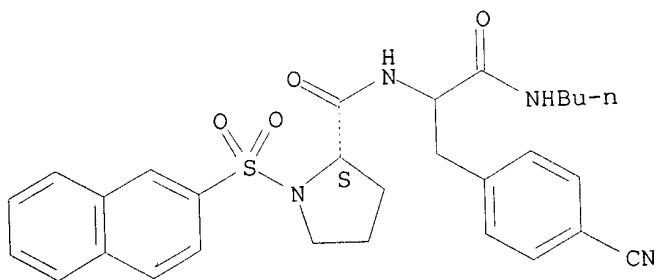


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:92532

L12 ANSWER 540 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 108022-40-8 REGISTRY
 CN Phenylalaninamide, 1-(2-naphthalenylsulfonyl)-L-prolyl-N-butyl-4-cyano-
 (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN DL-Phenylalaninamide, 1-(2-naphthalenylsulfonyl)-L-prolyl-N-butyl-4-cyano-
 FS STEREOSEARCH
 MF C29 H32 N4 O4 S
 SR CA
 LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
 (*File contains numerically searchable property data)

Absolute stereochemistry.

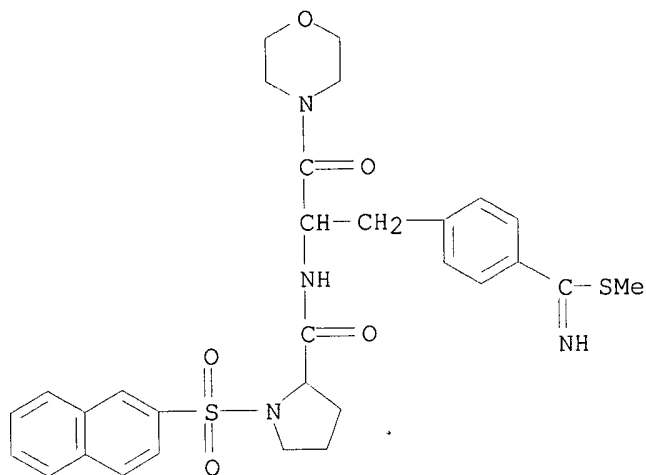


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:176825

L12 ANSWER 550 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 107994-30-9 REGISTRY
 CN Benzenecarboximidothioic acid, 4-[3-(4-morpholinyl)-2-[[[1-(2-naphthalenylsulfonyl)-2-pyrrolidinyl]carbonyl]amino]-3-oxopropyl]-, methyl

ester, monohydriodide (9CI) (CA INDEX NAME)
 MF C30 H34 N4 O5 S2 . H I
 SR CA
 LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
 (*File contains numerically searchable property data)

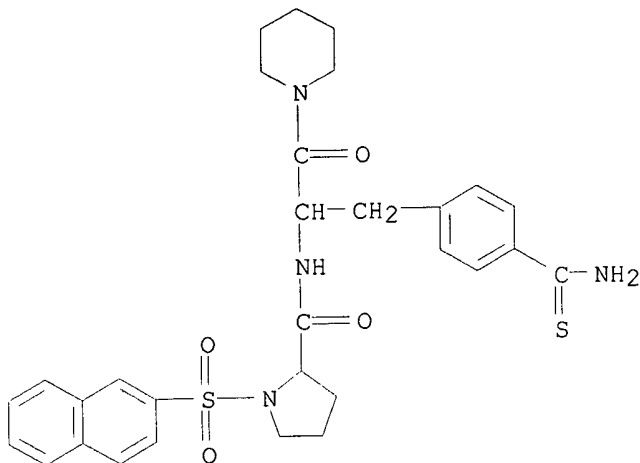


● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:176825

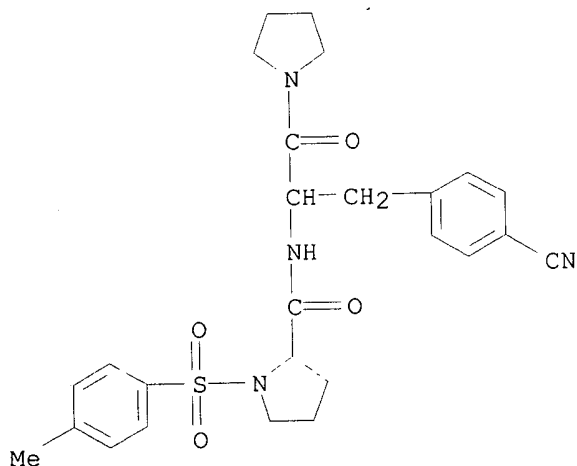
L12 ANSWER 560 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 107994-20-7 REGISTRY
 CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminothioxomethyl)phenyl]methyl]-2-oxo-2-(1-piperidinyl)ethyl]-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C30 H34 N4 O4 S2
 SR CA
 LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
 (*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:176825

L12 ANSWER 570 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 107994-10-5 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[1-[(4-cyanophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H30 N4 O4 S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



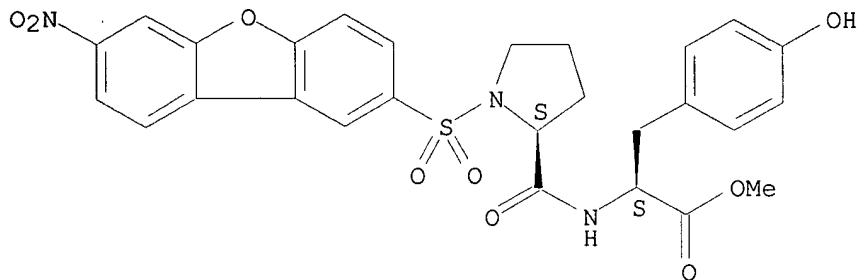
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:176825

L12 ANSWER 580 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 93044-94-1 REGISTRY
CN L-Tyrosine, N-[1-[(7-nitro-2-dibenzofuranyl)sulfonyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H25 N3 O9 S

SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

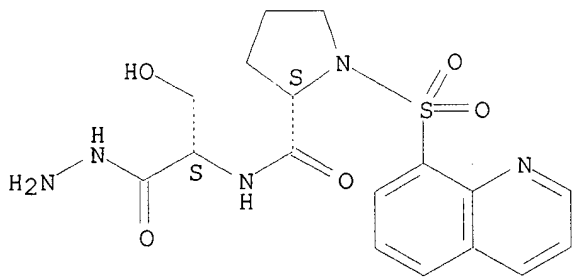


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 103:105304

L12 ANSWER 590 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 87650-93-9 REGISTRY
CN L-Serine, N-[1-(8-quinolinylsulfonyl)-L-prolyl]-, hydrazide (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H21 N5 O5 S
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

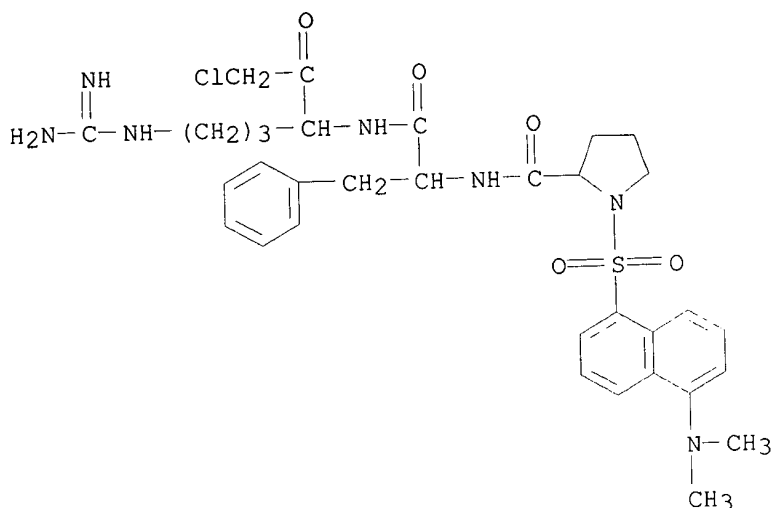


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 99:176262

L12 ANSWER 600 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 74431-05-3 REGISTRY
CN L-Phenylalaninamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-N-[4-[(aminoiminomethyl)amino]-1-(chloroacetyl)butyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)
MF C33 H42 Cl N7 O5 S . Cl H
LC STN Files: CA, CAPLUS
CRN (71259-32-0)

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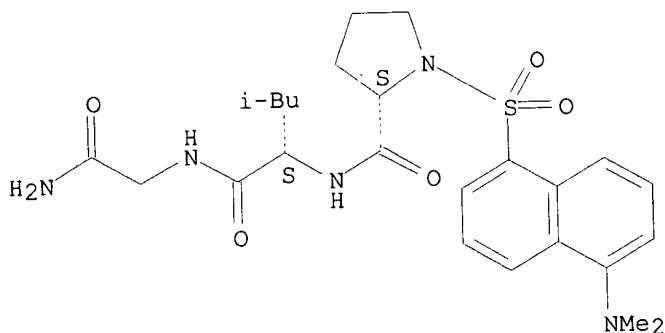
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1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 93:163452

L12 ANSWER 610 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 74260-41-6 REGISTRY
 CN Glycinamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C25 H35 N5 O5 S
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



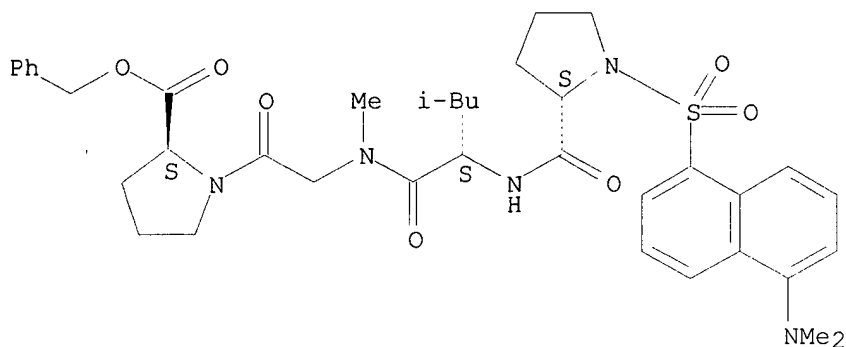
1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 93:40671

L12 ANSWER 620 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 59191-13-8 REGISTRY
 CN L-Proline, 1-[N-[N-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-L-leucyl]-N-methylglycyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C38 H49 N5 O7 S
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



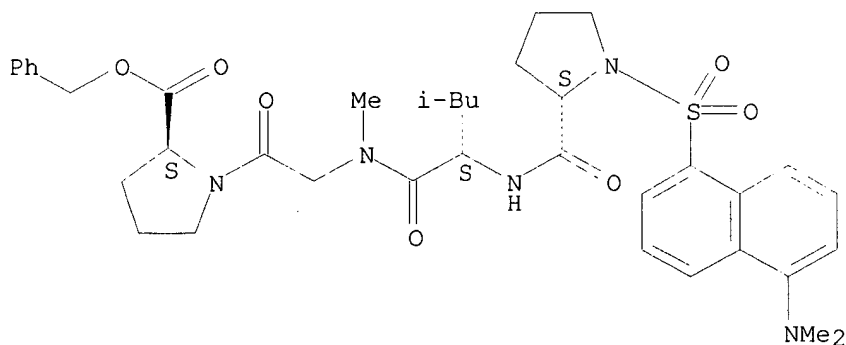
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 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 88:23408

REFERENCE 2: 84:180643

L12 ANSWER 620 OF 629 REGISTRY COPYRIGHT 1999 ACS
 RN 59191-13-8 REGISTRY
 CN L-Proline, 1-[N-[N-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-L-leucyl]-N-methylglycyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C38 H49 N5 O7 S
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

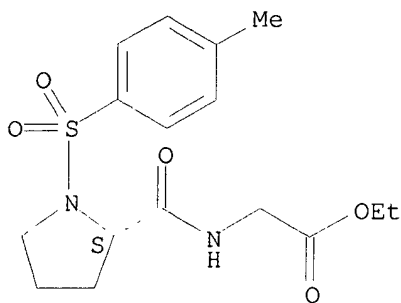
REFERENCE 1: 88:23408

REFERENCE 2: 84:180643

=> d ide can 112 629

L12 ANSWER 629 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 4172-31-0 REGISTRY
CN Glycine, N-[1-(p-tolylsulfonyl)-L-prolyl]-, ethyl ester (7CI, 8CI) (CA
INDEX NAME)
FS STEREOSEARCH
MF C16 H22 N2 O5 S
LC STN Files: CA, CAOLD, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 68:22261